

Connecting via Winsock to STN

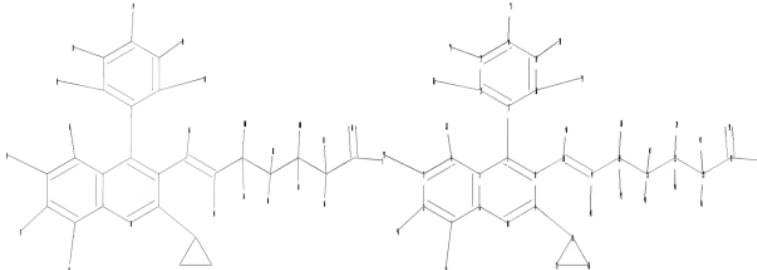
Welcome to STN International! Enter x:x

FILE 'HOME' ENTERED AT 10:48:00 ON 08 SEP 2008

=> file reg
www.cas.org/support/stnreg/stndoc/properties.html

=> file req

=>
Uploading C:\Program Files\Stnexp\Queries\10584208.str



```

chain nodes :
 17 18 19 20 21 22 23 24 28 29 30 31 32 33 34 35 36 37 38 39 40
 41 42 43 44 45 46 47
ring nodes :
 1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 25 26 27
chain bonds :
 1-35 2-34 3-33 4-32 7-11 8-18 9-25 12-36 13-37 14-17 15-38 16-39 18-19
18-40 19-20 19-41 20-21 20-28 20-42 21-22 21-43 21-44 22-23 22-29 22-45
23-24 23-46 23-47 24-30 24-31
ring bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16 25-26 25-27 26-27

```

10/584208

exact/norm bonds :
20-28 22-29 24-30 24-31 25-26 25-27 26-27
exact bonds :
1-35 2-34 3-33 4-32 7-11 8-18 9-25 12-36 13-37 14-17 15-38 16-39 18-19
18-40 19-20 19-41 20-21 20-42 21-22 21-43 21-44 22-23 22-45 23-24 23-46
23-47
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:Atom 26:Atom 27:Atom
28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS
36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS 43:CLASS
44:CLASS 45:CLASS 46:CLASS 47:CLASS

L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
Structure attributes must be viewed using STN Express query preparation.

=> s 11 full
L3 73 SEA SSS FUL L1

=> file ca

=> s 13
L4 720 L3

=> s crystal and 14
1335748 CRYSTAL
L5 8 CRYSTAL AND L4

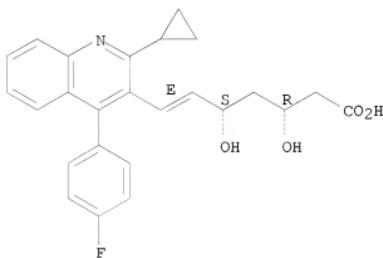
=> d ibib abs fhitstr 1-8

L5 ANSWER 1 OF 8 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 149:128752 CA
TITLE: Preparation of novel crystals of pitavastatin calcium
for treatment of hypercholesterolemia, familial
hypercholesterolemia, and atherosclerosis
INVENTOR(S): Huang, Yuming; Yang, Shengxi; Li, Yang; Luo, Jie; Lin,
Meng; Dan, Chunyan; Zhang, Daolin
PATENT ASSIGNEE(S): Chongqing Pharmaceutical Research Institute Co., Ltd.,
Peop. Rep. China

SOURCE: Faming Zhanli Shenqing Gongkai Shuomingshu, 8pp.
 CODEN: CNXXEV
 DOCUMENT TYPE: Patent
 LANGUAGE: Chinese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|------------------|----------|
| CN 101195603 | A | 20080611 | CN 2007-10093011 | 20071121 |
| PRIORITY APPLN. INFO.: | | | CN 2007-10093011 | 20071121 |
| AB | This invention relates to novel crystals of pitavastatin calcium, whose corresponding 20 value of characteristic diffraction line in powder X-ray diffraction patterns is 4.3 and 5.2. The preparation process comprises crystallizing from pitavastatin calcium-containing water solution or mixed solution containing pitavastatin calcium and organic solvent, then drying at 20-150°C to water content 0.5-3%. A medical composition containing pitavastatin calcium novel | | | |
| | crystals and medical adjuvants can be prepared as tablets and capsules, and can be used for treating hypercholesterolemia, familial hypercholesterolemia, and/or atherosclerosis (no data). | | | |
| IT | 147526-32-7P, Pitavastatin calcium | | | |
| | RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) | | | |
| | (preparation of novel crystals of pitavastatin calcium for treatment of hypercholesterolemia, familial hypercholesterolemia, and atherosclerosis) | | | |
| RN | 147526-32-7 CA | | | |
| CN | 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME) | | | |

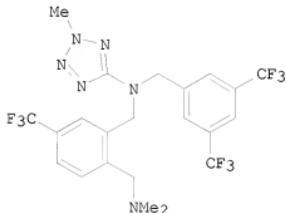
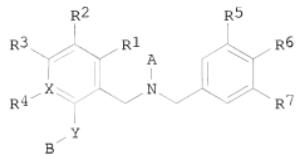
Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



●1/2 Ca

L5 ANSWER 2 OF 8 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 147:365510 CA
 TITLE: Dibenzyl amine compounds and derivatives as CETP inhibitors and their preparation, pharmaceutical compositions and use in the treatment of atherosclerosis and cardiovascular diseases
 INVENTOR(S): Chang, George; Garigipati, Ravi S.; Lefker, Bruce; Perry, David A.
 PATENT ASSIGNEE(S): Pfizer Inc, USA
 SOURCE: U.S. Pat. Appl. Publ., 124pp.
 CODEN: USXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|--------|------------|-----------------|------------|
| US 20070213314 | A1 | 20070913 | US 2007-619299 | 20070103 |
| WO 2007105049 | A1 | 20070920 | WO 2007-IB524 | 20070228 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| NL 2000527 | A1 | 20070911 | NL 2007-2000527 | 20070307 |
| NL 2000527 | C2 | 20080206 | | |
| PRIORITY APPLN. INFO.: | | | US 2006-781488P | P 20060310 |
| | | | US 2007-619299 | A 20070103 |
| OTHER SOURCE(S): | MARPAT | 147:365510 | | |
| GI | | | | |



AB Dibenzyl amine compds. and derivs. of formula I, pharmaceutical compns. containing such compds. and the use of such compds. to elevate certain plasma lipid levels, including high d. lipoprotein-cholesterol and to lower certain other plasma lipid levels, such as LDL-cholesterol and triglycerides and accordingly to treat diseases which are exacerbated by low levels of HDL cholesterol and/or high levels of LDL-cholesterol and triglycerides, such as atherosclerosis and cardiovascular diseases in some mammals, including humans. Compds. of formula I wherein A is C₂O-C₁-4 alkyl, CN, CHO, CONH₂, etc.; B is NH₂ and derivs., and (un)substituted 3- to 8-membered heterocyclic ring; X is X and N, wherein if X is N, R₄ is absent; R₁, R₂, R₃, R₄, R₅, R₆ and R₇ are independently H, halo, CN, OH, NO₂, (un)substituted C₁-6 alkyl, etc.; and their pharmaceutically acceptable salts thereof are claimed. Example compound II was prepared by reductive amination of 2-[(3,5-bis(trifluoromethyl)benzyl)(2-methyl-2H-tetrazol-5-yl)aminomethyl]-4-trifluoromethylbenzaldehyde with dimethylamine. All the invention compds. were evaluated for their CETP inhibitory activity (no data).

IT 147511-69-1, Pitavastatin

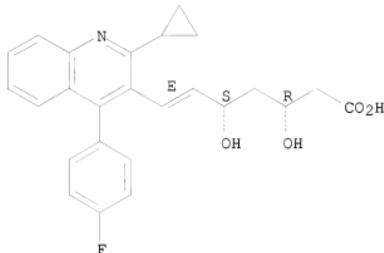
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(codrug; preparation of dibenzyl amine compds. and derivs. as CETP inhibitors and their use in the treatment of atherosclerosis and cardiovascular diseases)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



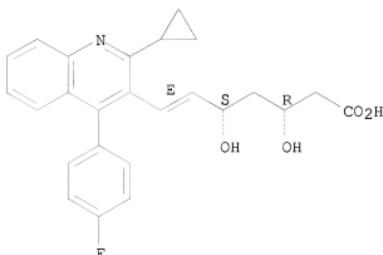
L5 ANSWER 3 OF 8 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 147:173649 CA
 TITLE: Combination of triazine derivatives and HMG-CoA reductase inhibitors
 INVENTOR(S): Moinet, Gerard; Cravo, Daniel; Mesangeau, Didier
 PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
 SOURCE: PCT Int. Appl., 34pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|----------|-----------------|------------|
| WO 2007079916 | A2 | 20070719 | WO 2006-EP12184 | 20061218 |
| WO 2007079916 | A3 | 20071206 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| FR 2896158 | A1 | 20070720 | FR 2006-343 | 20060113 |
| PRIORITY APPLN. INFO.: | | | FR 2006-343 | A 20060113 |
| OTHER SOURCE(S): MARPAT 147:173649 | | | | |
| AB | The present patent application relates to combinations of a triazine derivative with an HMG-CoA reductase inhibitor. Thus, a formulation contained pravastatin 10, and (+)-2-amino-3,6-dihydro-4-dimethylamino-6-methyl-1,3,5-triazine-HCl 750 mg in addition to conventional excipients. | | | |
| IT | 147511-69-1, Pitavastatin | | | |
| RL: | PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) | | | |

(combination of triazine derivs. and HMG-CoA reductase inhibitors)
 RN 147511-69-1 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



L5 ANSWER 4 OF 8 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 143:393062 CA
 TITLE: Combinations comprising (S)-amlodipine and an HMG-CoA reductase inhibitor and/or cholesterol absorption inhibitor for reducing hypertension
 INVENTOR(S): Barberich, Timothy J.
 PATENT ASSIGNEE(S): Sepracor Inc., USA
 SOURCE: PCT Int. Appl., 123 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2005097191 | A2 | 20051020 | WO 2005-US9910 | 20050325 |
| WO 2005097191 | A3 | 20051208 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

PRIORITY APPLN. INFO.: US 2004-559612P P 20040404
 AB The present invention relates to pharmaceutical compns. comprising optically pure (S)-amlodipine and a HMG-CoA reductase inhibitor,

preferably lovastatin. Another aspect of the present invention relates to a pharmaceutical composition comprising optically pure (S)-amlodipine and a cholesterol absorption inhibitor, preferably ezetimibe, or optically pure (S)-amlodipine, a HMG-CoA reductase inhibitor, and a cholesterol absorption inhibitor. The aforementioned pharmaceutical compns. further comprises niacin. The invention also relates to methods for treating a patient suffering from hypertension, hyperlipidemia, or a cardiac disorder. The invention also relates to methods for the treatment of hypertension and hyperlipidemia. For example, a solution of L-malic acid (6.68 kg, 49.82 mol) in isopropanol-water was added to a solution of (S)-amlodipine (19.5 kg, 47.69 mol) in isopropanol-MTBE and the reaction mixture was held with agitation for about one hour at about 50°C to form a slurry. The slurry was cooled with agitation to about 0° over 2.5 to 3 h and held with agitation at about 0° for about one hour. The solid product was isolated by filtration at about 0° and the wet cake obtained was dried at about 60° in vacuo to provide (S)-amlodipine L-malate (Form A) (25.41 kg, 46.79 mol, 98.1% yield). Tablets were prepared containing (S)-amlodipine L-malate (Form A) 3.32%, Avicel PH 101 70.7%, Starch 1500 20.75%, Explotab 5.0%, and magnesium stearate 0.25%.

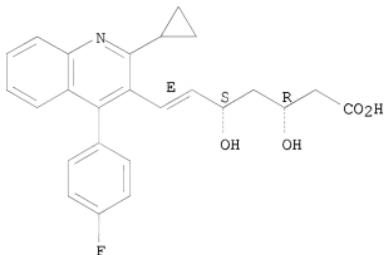
IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combinations comprising amlodipine and HMG-CoA reductase inhibitor
and/or cholesterol absorption inhibitor for treatment of cardiovascular
disorders)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-
dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L5 ANSWER 5 OF 8 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 143:139169 CA

TITLE: Preparation of crystal form of pitavastatin calcium

INVENTOR(S): Ohara, Yoshio; Takada, Yasutaka; Matsumoto, Hiroo;
Yoshida, Akihiro

PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2005063711 | A1 | 20050714 | WO 2004-JP19451 | 20041217 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004309241 | A1 | 20050714 | AU 2004-309241 | 20041217 |
| CA 2551050 | A1 | 20050714 | CA 2004-2551050 | 20041217 |
| EP 1697326 | A1 | 20060906 | EP 2004-807807 | 20041217 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS | | | | |
| CN 1898211 | A | 20070117 | CN 2004-80038955 | 20041217 |
| JP 2007516952 | T | 20070628 | JP 2006-520594 | 20041217 |
| KR 2007001910 | A | 20070104 | KR 2006-711877 | 20060616 |
| IN 2006KN01757 | A | 20070511 | IN 2006-KN1757 | 20060623 |
| US 20070112024 | A1 | 20070517 | US 2006-584208 | 20060623 |
| MX 2006PA07435 | A | 20061208 | MX 2006-PA7435 | 20060626 |
| PRIORITY APPLN. INFO.: | | | JP 2003-431788 | A 20031226 |
| | | | WO 2004-JP19451 | W 20041217 |

AB A method for producing a drug substance of crystalline pitavastatin calcium excellent in stability, is presented. In the production of a compound (pitavastatin calcium) the water content is adjusted to a level of 5-15%, and the crystal form is controlled to be crystal form A, thereby to obtain the drug excellent in stability.

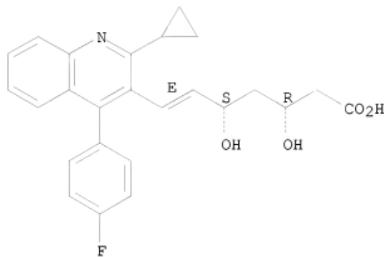
IT 147526-32-7P

RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of crystal form of pitavastatin calcium)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



● 1/2 Ca

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 8 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 141:230683 CA
 TITLE: Crystalline forms of pitavastatin calcium
 INVENTOR(S): Van Der Schaaf, Paul Adriaan; Blatter, Fritz;
 Szelagiewicz, Martin; Schoening, Kai-Uwe
 PATENT ASSIGNEE(S): Ciba Specialty Chemicals Holding Inc., Switz.
 SOURCE: PCT Int. Appl., 33 pp.
 CODEN: PIXX2D
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|----------------------|----------|
| WO 2004072040 | A1 | 20040826 | WO 2004-EP50066 | 20040202 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JE, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2004212160 | A1 | 20040826 | AU 2004-212160 | 20040202 |
| CA 2513837 | A1 | 20040826 | CA 2004-2513837 | 20040202 |
| EP 1592668 | A1 | 20051109 | EP 2004-707232 | 20040202 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006518354 | T | 20060810 | JP 2006-501997 | 20040202 |
| DE 202004021379 | U1 | 20080327 | DE 2004-202004021379 | 20040202 |
| CN 101219992 | A | 20080716 | CN 2008-10001291 | 20040202 |
| US 20060142582 | A1 | 20060629 | US 2005-544752 | 20050808 |

| | | | | |
|------------------------|---|----------|------------------|-------------|
| IN 2005CN02219 | A | 20070406 | IN 2005-CN2219 | 20050912 |
| PRIORITY APPLN. INFO.: | | | EP 2003-405080 | A 20030212 |
| | | | CN 2004-80003952 | A3 20040202 |
| | | | EP 2004-707232 | A 20040202 |
| | | | WO 2004-EP50066 | W 20040202 |

AB The present invention is directed to new crystalline forms of Pitavastatin hemicalcium salt, referred to hereinafter as polymorphic Forms A, B, C, D, E and F, as well as the amorphous form. Furthermore, the present invention is directed to processes for the preparation of these crystalline forms

and the amorphous form and pharmaceutical compns. comprising these crystalline forms or the amorphous form. The hemicalcium salt was prepared from pitavastatin tert-Bu ester in tert-Bu ether and MeOH, NaOH added, and aqueous phase extracted with Me tert-Bu ether. Then CaCl₂ was added to give a form A.

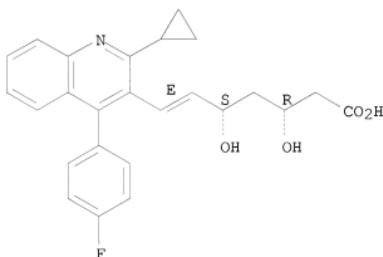
IT 147526-32-7P

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses) (crystalline forms of pitavastatin calcium)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



● 1/2 Ca

| | |
|---------------------|---|
| L5 ANSWER 7 OF 8 CA | COPYRIGHT 2008 ACS on STN |
| ACCESSION NUMBER: | 137:311199 CA |
| TITLE: | Amino acid complexes of C-aryl glucosides for treatment of diabetes |
| INVENTOR(S): | Gougoutas, Jack Z. |
| PATENT ASSIGNEE(S): | Bristol-Myers Squibb Company, USA |
| SOURCE: | PCT Int. Appl., 80 pp. |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | English |

FAMILY ACC. NUM. COUNT: 1

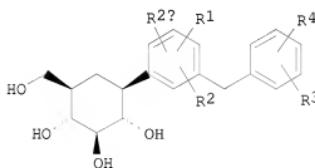
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|-------------|
| WO 2002083066 | A2 | 20021024 | WO 2002-US11066 | 20020408 |
| WO 2002083066 | A3 | 20030306 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2444481 | A1 | 20021024 | CA 2002-2444481 | 20020408 |
| AU 2002254567 | A1 | 20021028 | AU 2002-254567 | 20020408 |
| AU 2002254567 | B2 | 20071011 | | |
| US 20030064935 | A1 | 20030403 | US 2002-117914 | 20020408 |
| US 6774112 | B2 | 20040810 | | |
| EP 1385856 | A2 | 20040204 | EP 2002-723801 | 20020408 |
| EP 1385856 | B1 | 20060222 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004536047 | T | 20041202 | JP 2002-580871 | 20020408 |
| AT 318272 | T | 20060315 | AT 2002-723801 | 20020408 |
| ES 2258141 | T3 | 20060816 | ES 2002-723801 | 20020408 |
| HU 2006000232 | A2 | 20060828 | HU 2006-232 | 20020408 |
| AU 2008200159 | A1 | 20080207 | AU 2008-200159 | 20080111 |
| PRIORITY APPLN. INFO.: | | | US 2001-283097P | P 20010411 |
| | | | AU 2002-254567 | A3 20020408 |
| | | | WO 2002-US11066 | W 20020408 |

OTHER SOURCE(S):

MARPAT 137:311199

GI



AB Crystalline complexes are obtained from 1:1 or 2:1 mixts. of either the (D) or (L) enantiomer of natural amino acids and compds. of formula I [R1, R2, R2a = H, OH, OR5, alkyl, OCHF2, OCF3, SR5a, halogen; R3, R4 = H, OH, OR5b, alkyl, cycloalkyl, CF3, OCHF2, OCF3, halogen, CONR6R6a, CO2R5c, CO2H, COR6b, CH(OH)R6c, CH(OR5d)R6d, CN, NHCOR6a, NHSO2R5f, NHSO2-aryl, SR5g, SOR5h, SO2R5i, or a five, six or seven membered heterocycle which may contain 1 to 4 heteroatoms (N, O, S, SO, and/or SO2), or R3 and R4 together with the carbons to which they are attached form an annelated

five, six or seven membered carbocycle or heterocycle which may contain 1 to 4 heteroatoms in the ring; R5, R5a-R5i are independently alkyl; R6, R6a-R6d are independently H, alkyl, aryl, alkylaryl or cycloalkyl, or NR6R6a form an annelated five, six or seven membered heterocycle which may contain 1 to 4 heteroatoms in the ring]. A method is also provided for treating diabetes and related diseases employing an SGLT2 (sodium dependent glucose transporters found in the intestine and kidney) inhibiting amount of the above complex alone or in combination with another antidiabetic agent or other therapeutic agent. Thus, I (R1 = 4-Me, R4 = 4-OCHF₂, R2, R2a, R3 = H) was prepared by a multistep procedure starting from o-tolanic acid, anisole, 2,3,4,6-tetra-O-benzyl-β-D-glucofuranose, and CHF₂Cl and treated with L-phenylalanine to form the crystalline 1:1 complex.

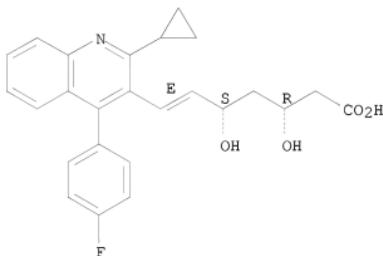
IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of amino acid/C-aryl glucoside complexes for treatment of diabetes and related diseases)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L5 ANSWER 8 OF 8 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 137140435 CA

TITLE: Benzopyran carboxylic acid derivatives with PPAR agonist activity for the treatment of diabetes and lipid disorders, and their preparation, pharmaceutical compositions, and use

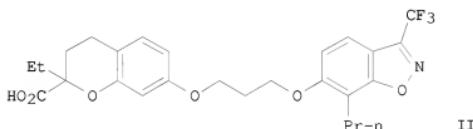
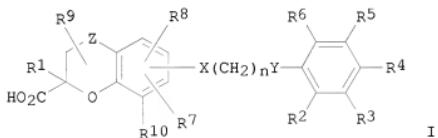
INVENTOR(S): Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.; Boueres, Julia K.; Desai, Ranjit C.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 42 pp.

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|-------------------|----------|-----------------|------------|
| US 20020103242 | A1 | 20020801 | US 2001-21667 | 20011029 |
| US 6713508 | B2 | 20040330 | | |
| CA 2427610 | A1 | 20020808 | CA 2001-2427610 | 20011026 |
| WO 2002060434 | A2 | 20020808 | WO 2001-US49501 | 20011026 |
| WO 2002060434 | A3 | 20030619 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002248221 | A1 | 20020812 | AU 2002-248221 | 20011026 |
| AU 2002248221 | B2 | 20060817 | | |
| EP 1347755 | A2 | 20031001 | EP 2001-997102 | 20011026 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004517938 | T | 20040617 | JP 2002-560626 | 20011026 |
| PRIORITY APPLN. INFO.: | | | US 2000-244698P | P 20001031 |
| | | | WO 2001-US49501 | W 20011026 |
| OTHER SOURCE(S): | MARPAT 137:140435 | | | |
| GI | | | | |



AB A class of benzopyran carboxylic acid derivs. is disclosed, which comprises compds. that are potent agonists (no data) of peroxisome proliferator activated receptors (PPAR) alpha and/or gamma, and are therefore useful in the treatment, control, or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR alpha and/or gamma mediated diseases, disorders and conditions. In particular, compds. I and

their pharmaceutically acceptable salts and/or prodrugs are disclosed [wherein: Z = CH₂, CO; R₁ = H, OH, halo, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, or aryl; or R₁ forms (un)substituted cyclopropane fusion to adjacent C atom; X, Y = O, S, SO, SO₂, CH₂, (un)substituted NH; n = 1-6; R₄ = (un)substituted benzoheterocyclyl, cycloalkyl, heterocyclyl, cycloalkyloxy, halo, OH or derivs., alk(en/yn)yl, alk(en/yn)yloxy, or aryl, etc.; other R groups = H, halo, OH, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, aryl, aryloxy, aroyl, etc.; or R₃R₄ or R₄R₅ = (un)substituted 5- or 6-membered heterocyclic ring]. A list of 29 compds. is claimed, and their preparation is described. For example, Et 7-hydroxy-4-oxo-4H-chromene-2-carboxylate underwent a sequence of: (1) complete hydrogenation of the enone (98%), (2) etherification of the alc. with PhCH₂O(CH₂)₃Br (66%), (3) alpha ethylation of the ester (70%), (4) hydrogenolytic debenzylation (100%), (5) conversion of the resultant alc. to a bromide (96%), (6) etherification of the bromide with 3-(trifluoromethyl)-7-propyl-6-hydroxybenz[4,5]isoxazole (85%), and (7) alkaline hydrolysis (100%), to give title compound II. PPAR binding assays using human recombinant PPAR are described without data. Co-administration of compds. I with a variety of other drug categories, including a number of specific drugs, is claimed.

IT 147511-69-1, Itavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic compns. also containing; preparation of benzopyrancarboxylic acid

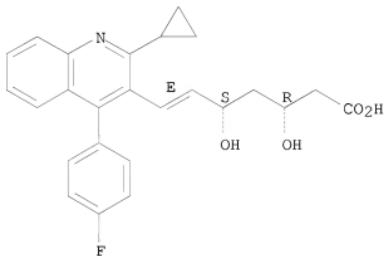
derivs. as PPAR agonists for treatment of diabetes and lipid disorders)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



=> d his

(FILE 'HOME' ENTERED AT 10:48:00 ON 08 SEP 2008)

FILE 'REGISTRY' ENTERED AT 10:48:22 ON 08 SEP 2008

FILE 'REGISTRY' ENTERED AT 10:48:39 ON 08 SEP 2008

L1 STRUCTURE UPLOADED
 L2 5 S L1 SAM
 L3 73 S L1 FULL

FILE 'CA' ENTERED AT 10:50:20 ON 08 SEP 2008
 L4 720 S L3
 L5 8 S CRYSTAL AND L4

=> s 14 and py<2004
 22793959 PY<2004
 L6 215 L4 AND PY<2004

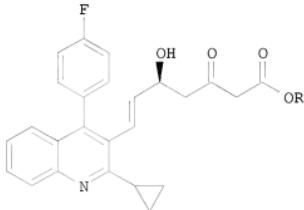
=> s 16 and (solid or cryst?)
 1101551 SOLID
 2223251 CRYST?
 L7 6 L6 AND (SOLID OR CRYST?)

=> d :ibib abs fhitstr kwic

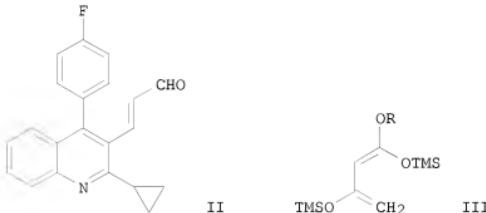
L7 ANSWER 1 OF 6 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 139:117344 CA
 TITLE: Process for producing optically active oxoheptenoic acid ester
 INVENTOR(S): Horiuchi, Takashi; Shimizu, Masamichi; Kondo, Shoichi;
 Soejima, Tadashi; Umeo, Kazuhiro
 PATENT ASSIGNEE(S): Nissan Chemical Industries, Ltd., Japan; Sankyo
 Chemical Industries, Ltd.
 SOURCE: PCT Int. Appl., 20 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|--------------|
| WO 2003042180 | A1 | 20030522 | WO 2002-JP11870 | 20021114 <-- |
| WO 2003042180 | A9 | 20030731 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2485580 | A1 | 20030522 | CA 2002-2485580 | 20021114 <-- |
| AU 2002343787 | A1 | 20030526 | AU 2002-343787 | 20021114 <-- |
| EP 1466905 | A1 | 20041013 | EP 2002-780087 | 20021114 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CN 1589263 | A | 20050302 | CN 2002-822734 | 20021114 |
| TW 243165 | B | 20051111 | TW 2002-91133400 | 20021114 |
| ZA 2004003722 | A | 20050516 | ZA 2004-3722 | 20040514 |
| IN 2004DN01342 | A | 20070316 | IN 2004-DN1342 | 20040518 |

| | | | |
|------------------------|-------------|--|------------|
| US 20050054853 | A1 20050310 | US 2004-495268 | 20040604 |
| US 7064209 | B2 20060620 | | |
| PRIORITY APPLN. INFO.: | | JP 2001-348569 | A 20011114 |
| | | WO 2002-JP11870 | W 20021114 |
| OTHER SOURCE(S): | | CASREACT 139:117344; MARPAT 139:117344 | |
| GI | | | |



I



AB Disclosed is a novel process for producing an optically active $(5S,6E)$ -7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-5-hydroxy-3-oxohept-6-enoic acid alkyl ester represented by the formula (I; R = C₁-4 alkyl), which is an important intermediate for $(3R,5S,6E)$ -7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid salt as a medicine for treating hyperlipidemia and arteriosclerosis. It comprises reacting a 1,3-bis(trimethylsilyloxy)-1-alkoxybuta-1,3-diene represented by the formula (II; R = C₁-4 alkyl) with (E) -3-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]prop-2-en-1-al, which is represented by the formula (III), in the presence of an optically active binaphthol-titanium complex obtained from 1,1'-bi-2-naphthol and titanium tetrakisopropoxide and of a metal salt and an amine and then subjecting the reaction product to desilylation. The use of metal salt and various amines in the above addition reaction markedly improves optical purity ($\geq 99\%$ ee) and yields ($\geq 85\%$). Thus, 25.0 g III was dissolved in 305.0 g THF under N₂ atmospheric and treated with a toluene solution (6.35 g) of (S)-(-)-1,1'-bi-2-naphthol and titanium tetrakisopropoxide (0.0016 mol) and then with 1.10 g LiCl and N,N,N',N'-tetramethylethylenediamine, followed by adding dropwise

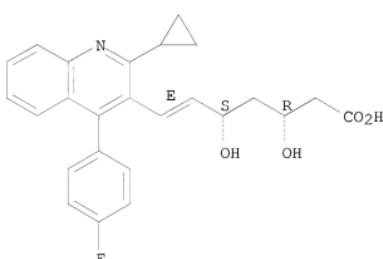
51.34 g II ($R = Et$), and the resulting mixture was stirred at 27–30° for 4 h, quenched by adding 32.5 mL ion-exchanged water and 32.5 mL aqueous saturated NaHCO₃ solution. THF was removed by distillation under reduced pressure and the organic layer was extracted with 675 mL EtOAc. The extract was washed with 125 mL ion-exchanged water and 125 mL aqueous saturated NaHCO₃ solution, dried over 20 g anhydrous MgSO₄, and filtered. The filtrate was cooled to 0°, treated dropwise with 23.9 g 50 weight% aqueous H₂SO₄ solution, stirred at 0–5° for 2 h, and filtered to collect the precipitated sulfate salt which was washed twice with 25 mL EtOAc, dispersed in a mixture of 250 mL EtOAc and 100 mL ion-exchanged water, treated with 150 mL 10 weight% aqueous Na₂CO₃ solution, stirred at 26–28° for 30 min to give, after further workup and crystallization from ethylcyclohexane, 30.06 g I ($R = Et$) (85.2% yield, 99% ee).

IT 147511-69-1DP, (3R,5S,6E)-7-[2-Cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid, salt
 RL: PNU (Preparation, unclassified); PREP (Preparation)
 (preparation of optically active alkyl [cyclopropyl(fluorophenyl)quinolinyl] hydroxyxoxoheptenoate by addition of bis(trimethylsilyloxy)alkoxybutadiene with [cyclopropyl(fluorophenyl)quinolinyl]propenal in presence of (S)-binaphthol-titanium complex)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| PI | WO 2003042180 A1 | 20030522 | KIND | DATE | APPLICATION NO. | DATE |
|----|------------------|----------|----------|-----------------|-----------------|-------|
| | | | ----- | ----- | ----- | ----- |
| PI | WO 2003042180 | A1 | 20030522 | WO 2002-JP11870 | 20021114 <-- | |
| | WO 2003042180 | A9 | 20030731 | | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,

LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
 PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
 UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2485580 A1 20030522 CA 2002-2485580 20021114 <--
 AU 2002343787 A1 20030526 AU 2002-343787 20021114 <--
 EP 1466905 A1 20041013 EP 2002-780087 20021114
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
 CN 1589263 A 20050302 CN 2002-822734 20021114
 TW 243165 B 20051111 TW 2002-91133400 20021114
 ZA 2004003722 A 20050516 ZA 2004-3722 20040514
 IN 2004DN01342 A 20070316 IN 2004-DN1342 20040518
 US 20050054853 A1 20050310 US 2004-495268 20040604
 US 7064209 B2 20060620
 AB . . . with 150 mL 10 weight% aqueous Na₂CO₃ solution, stirred at 26-28°
 for 30 min to give, after further workup and crystallization from
 ethylcyclohexane, 30.06 g I (R = Et) (85.2% yield, 99% ee).
 IT 147511-69-1DP, (3R,5S,6E)-7-[2-Cyclopropyl-4-(4-
 fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enic acid, salt
 RL: PNU (Preparation, unclassified); PREP (Preparation)
 (preparation of optically active alkyl [cyclopropyl(fluorophenyl)quinolinyl]
 hydroxyxooheptenoate by addition of bis(trimethylsilyloxy)alkoxybutadiene
 with [cyclopropyl(fluorophenyl)quinolinyl]propenal in presence of
 (S)-binaphthol-titanium complex)

L7 ANSWER 2 OF 6 CA COPYRIGHT 2008 ACS ON STN
 ACCESSION NUMBER: 138:287535 CA
 TITLE: Process for preparation of optically active
 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-
 dihydroxyhept-6-enic acid ethyl ester
 INVENTOR(S): Nishino, Shigeyoshi; Matsushita, Akio; Yokoyama,
 Shuji; Kawachi, Yasuhiro; Sasaki, Hiroshi
 PATENT ASSIGNEE(S): UBE Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 2003027073 | A1 | 20030403 | WO 2002-JP9638 | 20020919 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

| | | | | |
|------------------------|----|----------|----------------|--------------|
| JP 2005255522 | A | 20050922 | JP 2001-284633 | 20010919 |
| JP 2005255523 | A | 20050922 | JP 2001-284634 | 20010919 |
| AU 2002332184 | A1 | 20030407 | AU 2002-332184 | 20020919 <-- |
| PRIORITY APPLN. INFO.: | | | JP 2001-284633 | A 20010919 |
| | | | JP 2001-284634 | A 20010919 |
| | | | WO 2002-JP9638 | W 20020919 |

AB This invention pertains to prepn method of (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester useful as an intermediate for an HMG-CoA reductase inhibitor (cholesterol-lowering agent) in high yield by reacting an amine salt of (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid with an alc. in a solvent in the presence of an acid, or by a method comprising reacting the salt with an esterifying agent in a solvent in the presence of base. For example, 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid was reacted with PhCH₂NH₂ in AcOEt to obtain 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid benzylamine salt (94.9%). The above salt was resolved with THF to give (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid benzylamine salt (60.0%, 99.1% ee, 99.8% de). The above optically active salt was reacted with EtOH in the presence of concentrated aqueous HCl to afford (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester (100%), which was crystallized from (i-Pri)₂O and heptane to produce crystalline sample (91.0%, 99.9% ee, 99.8% de).

IT 503818-48-2P

RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; process for preparation of optically active 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester)

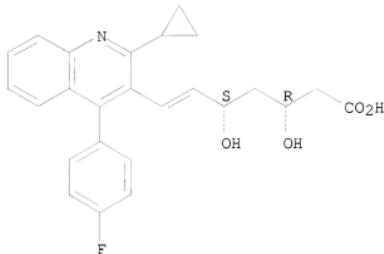
RN 503818-48-2 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, compd. with benzenemethanamine (1:1), (3R,5S)- (CA INDEX NAME)

CM 1

CRN 503818-47-1
CMF C25 H24 F N O4

Absolute stereochemistry.
Double bond geometry unknown.



CM 2

CRN 100-46-9
CMF C7 H9 NH₂N-CH₂-Ph

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| PI | WO 2003027073 A1 | 20030403 | KIND | DATE | APPLICATION NO. | DATE |
|----|------------------|----------|------|------|-----------------|------|
|----|------------------|----------|------|------|-----------------|------|

| | | | | | |
|----|---|--|----------|----------------|--------------|
| PI | WO 2003027073 | A1 | 20030403 | WO 2002-JP9638 | 20020919 <-- |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| | JP 2005255522 | A | 20050922 | JP 2001-284633 | 20010919 |
| | JP 2005255523 | A | 20050922 | JP 2001-284634 | 20010919 |
| | AU 2002332184 | A1 | 20030407 | AU 2002-332184 | 20020919 <-- |

AB . . . was reacted with EtOH in the presence of concentrated aqueous HCl to afford

(3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester (100%), which was crystallized from (i-Pr)₂O and heptane to produce crystalline sample (91.0%, 99.9% ee, 99.8% de).

IT 503818-48-2P

RL: IMF (Industrial manufacture); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; process for preparation of optically active 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-

enoic acid Et ester)

IT 475645-79-5P
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; process for preparation of optically active 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester)

IT 172336-32-2P
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (process for preparation of optically active 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester)

IT 64-17-5, Ethanol, reactions 74-96-4, Bromoethane 100-46-9,
 Benzylamine, reactions 121659-03-8, 7-[2-Cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (process for preparation of optically active 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid Et ester)

L7 ANSWER 3 OF 6 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 138:24649 CA
 TITLE: Process for preparation of 2-cyclopropyl-4-(4-fluorophenyl)quinoline-3-carbaldehyde by ozonolysis of ethyl (6E)-3,5-dihydroxy-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]hept-6-enoate

INVENTOR(S): Matsumoto, Hiroo; Shimizu, Takanori
 PATENT ASSIGNEE(S): Daicel Chemical Industries, Ltd., Japan; Nissan Chemical Industries, Ltd.
 SOURCE: PCT Int. Appl., 15 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2002098859 | A1 | 20021212 | WO 2002-JP4712 | 20020515 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2448421 | A1 | 20021212 | CA 2002-2448421 | 20020515 <-- |
| AU 2002308986 | A1 | 20021216 | AU 2002-308986 | 20020515 <-- |
| AU 2002308986 | B2 | 20070531 | | |
| EP 1391455 | A1 | 20040225 | EP 2002-776535 | 20020515 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| CN 1512984 | A | 20040714 | CN 2002-810769 | 20020515 |
| IN 2003KN01537 | A | 20060210 | IN 2003-KN1537 | 20031125 |
| KR 834326 | B1 | 20080602 | KR 2003-715406 | 20031125 |
| US 20040147750 | A1 | 20040729 | US 2003-479226 | 20031201 |

US 7193086 B2 20070320
 PRIORITY APPLN. INFO.: JP 2001-162986 A 20010530
 JP 2001-208501 A 20010709
 WO 2002-JP4712 W 20020515
 OTHER SOURCE(S): CASREACT 138:24649; MARPAT 138:24649
 GI

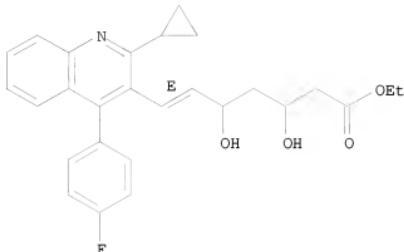
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Described is a process for preparing 2-cyclopropyl-4-(4-fluorophenyl)quinoline-3-carbaldehyde (I) which is important as an intermediate for the synthesis of drugs, i.e. HMG-CoA reductase inhibitor for cholesterol-lowering agent, efficiently from an unnecessary antipode, characterized by treating a compound represented by formula (II) or (III) (wherein A is -CHOH- or CO; and R is hydrogen, optionally branched C1-4 alkyl, Ph, an alkali metal ion, or an alkaline earth metal ion) with ozone and then conducting either reduction of the resulting compound with an inorg. sulfur compound or hydrogenolysis of the resulting compound. Thus, a solution of 5.0 g Et (6E)-3,5-dihydroxy-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]hept-6-enate in 50 g MeOH was cooled to 0°, followed by introducing 1 g ozone(g) to the solution at 0-5° over 1 h and removing excess ozone with N₂. To the resulting solution was added dropwise a solution of 0.85 g thiourea in 14.1 g H₂O at 0-5° over 10 min, stirred at the same temperature for 1 h, treated with 26 g H₂O₂, and stirred at 5° for 1 h to give, after filtering off precipitated crystals and washing them with 6 g 50% aqueous MeOH, and drying them, 2.81 g I (86.7% yield and 99.2% purity).

IT 477950-34-8
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (process for preparation of 2-cyclopropyl-4-(4-fluorophenyl)quinoline-3-carbaldehyde as intermediate for HMG-CoA reductase inhibitor for cholesterol-lowering agent)

RN 477950-34-8 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, ethyl ester, (6E)- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| PI | WO 2002098859 A1 | 20021212 | KIND | DATE | APPLICATION NO. | DATE |
|----|---|----------|----------|-----------------|-----------------|------|
| PI | WO 2002098859 | A1 | 20021212 | WO 2002-JP4712 | 20020515 <-- | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| | CA 2448421 | A1 | 20021212 | CA 2002-2448421 | 20020515 <-- | |
| | AU 2002308986 | A1 | 20021216 | AU 2002-308986 | 20020515 <-- | |
| | AU 2002308986 | B2 | 20070531 | | | |
| | EP 1391455 | A1 | 20040225 | EP 2002-776535 | 20020515 | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | |
| | CN 1512984 | A | 20040714 | CN 2002-810769 | 20020515 | |
| | IN 2003KN01537 | A | 20060210 | IN 2003-KN1537 | 20031125 | |
| | KR 834326 | B1 | 20080602 | KR 2003-715406 | 20031125 | |
| | US 20040147750 | A1 | 20040729 | US 2003-479226 | 20031201 | |
| | US 7193086 | B2 | 20070320 | | | |
| AB | . . . 1 h, treated with 26 g H2O, and stirred at 5° for 1 h to give, after filtering off precipitated crystals ad washing them with 6 g 50% aqueous MeOH, and drying them, 2.81 g I (86.7% yield and 99.2% purity). | | | | | |
| IT | 10028-15-6, Ozone, reactions 222306-13-0 477950-34-8 | | | | | |
| RL | RCT (Reactant); RACT (Reactant or reagent) (process for preparation of 2-cyclopropyl-4-(4-fluorophenyl)quinoline-3-carbaldehyde as intermediate for HMG-CoA reductase inhibitor for cholesterol-lowering agent) | | | | | |

L7 ANSWER 4 OF 6 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 137:384764 CA

TITLE: Process for producing (3R,5S)-7-substituted-3,5-dihydroxyhept-6-enoic acid

INVENTOR(S): Nishino, Shigeyoshi; Yokoyama, Shuji; Kawachi,

PATENT ASSIGNEE(S): Yasuhiro; Sasaki, Hiroshi
 Ube Industries, Ltd., Japan
 SOURCE: PCT Int. Appl., 33 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 2002092570 | A1 | 20021121 | WO 2002-JP4710 | 20020515 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, US, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| JP 2005047803 | A | 20050224 | JP 2001-145358 | 20010515 |
| AU 2002308984 | A1 | 20021125 | AU 2002-308984 | 20020515 <-- |
| PRIORITY APPLN. INFO.: | | | JP 2001-145358 | A 20010515 |
| | | | WO 2002-JP4710 | W 20020515 |

OTHER SOURCE(S): MARPAT 137:384764
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

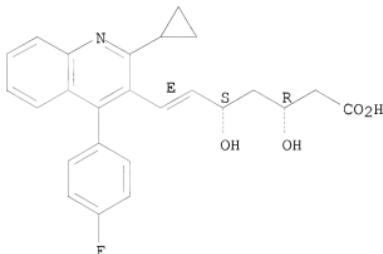
AB Disclosed is a process for producing a (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid represented by the formula (I) which comprises optically resolving with an achiral amine compound a mixture of optical isomers of a 7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid represented by the formula (II). The optical resolution involves contacting II with an achiral amine to form II achiral amine salt, recrystg. the salt to form I achiral amine salt, and contacting the I achiral recrystn. amine salt with an acid to give I. This process does not use expensive chiral amines and is suitable for industrial preparation of I which is an intermediate for an anticholesteremic agent (HMG-CoA reductase inhibitor). Thus, 4.21 g II (preparation given), 1.07 g benzylamine, and 30 mL EtOAc were added to a 50 mL flask and cooled to 0° with stirring, upon which crystals precipitated. The precipitated crystals were filtered, washed with EtOAc cooled at 0°, and dried under reduced pressure to give 94.9% II benzylamine salt. II benzylamine salt (4.22 g) and 84 mL THF were added to a 100 mL flask, warmed to 50° with stirring to give a homogeneous solution, and cooled to 0°, upon which crystals precipitated. The precipitated crystals were filtered and washed with 42 mL THF cooled at 0°. This procedure was repeated twice to give 2.52 g I benzyl amine salt (60.0%) which (2.11 g) and 10 mL MeOH were added to a 50 mL flask, adjusted to pH 3.5 by adding 1 M aqueous HCl, and extracted with 10 mL EtOAc twice, followed by drying the EtOAc extract over anhydrous MgSO₄ and

concentration to give 1.66 g I (99.0%).
 IT 475645-80-8P
 RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)-quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid by optical resolution using achiral amine via formation of achiral amine salt, recrystn., and treatment with acid)
 RN 475645-80-8 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, compd. with benzenemethanamine (1:1), (3R,5S,6E)- (CA INDEX NAME)

CM 1

CRN 147511-69-1
CMF C25 H24 F N O4

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



CM 2

CRN 100-46-9
CMF C7 H9 N

H2N-CH2-Ph

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| PI | WO 2002092570 A1 | 20021121 | KIND | DATE | APPLICATION NO. | DATE |
|----|------------------|----------|------|--|-----------------|------|
| PI | WO 2002092570 | 20021121 | A1 | WO 2002-JP4710 | 20020515 | <-- |
| | | | | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, | | |

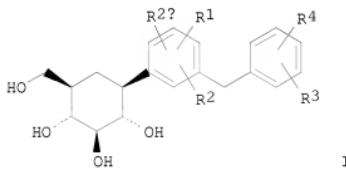
UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 JP 2005047803 A 20050224 JP 2001-145358 20010515
 AU 2002308984 A1 20021125 AU 2002-308984 20020515 <--
 AB . . . benzylamine, and 30 mL EtOAc were added to a 50 mL flask and
 cooled to 0° with stirring, upon which crystals precipitated
 The precipitated crystals were filtered, washed with EtOAc cooled at
 0°, and dried under reduced pressure to give 94.9% II benzylamine
 salt. II. . . a 100 mL flask, warmed to 50° with stirring to
 give a homogeneous solution, and cooled to 0°, upon which
 crystals precipitated The precipitated crystals were filtered and
 washed with 42 mL THF cooled at 0°. This procedure was repeated
 twice to give 2.52 g. . .
 IT 475645-80-8P
 RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic
 preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)-quinolin-3-yl]-
 3,5-dihydroxyhept-6-enoic acid by optical resolution using achiral amine
 via formation of achiral amine salt, recrystn., and treatment with
 acid)
 IT 121659-03-8P, 7-[2-Cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-
 3,5-dihydroxyhept-6-enoic acid 147511-69-1P 475645-77-3P,
 7-[2-Cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-5-hydroxy-3-oxohept-6-
 enoic acid isopropyl ester 475645-78-4P, 7-[2-Cyclopropyl-4-(4-
 fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-6-enoic acid isopropyl ester
 475645-79-5P 475645-81-9P 475645-82-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of (3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)-quinolin-3-yl]-
 3,5-dihydroxyhept-6-enoic acid by optical resolution using achiral amine
 via formation of achiral amine salt, recrystn., and treatment with
 acid)

L7 ANSWER 5 OF 6 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 137:311199 CA
 TITLE: Amino acid complexes of C-aryl glucosides for
 treatment of diabetes
 INVENTOR(S): Gougoutas, Jack Z.
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 80 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 2002083066 | A2 | 20021024 | WO 2002-US11066 | 20020408 <-- |
| WO 2002083066 | A3 | 20030306 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, | | | | |

UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
 CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2444481 A1 20021024 CA 2002-2444481 20020408 <--
 AU 2002254567 A1 20021028 AU 2002-254567 20020408 <--
 AU 2002254567 B2 20071011
 US 20030064935 A1 20030403 US 2002-117914 20020408 <--
 US 6774112 B2 20040810
 EP 1385856 A2 20040204 EP 2002-723801 20020408
 EP 1385856 B1 20060222
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004536047 T 20041202 JP 2002-580871 20020408
 AT 318272 T 20060315 AT 2002-723801 20020408
 ES 2258141 T3 20060816 ES 2002-723801 20020408
 HU 2006000232 A2 20060828 HU 2006-232 20020408
 AU 2008200159 A1 20080207 AU 2008-200159 20080111
 PRIORITY APPLN. INFO.: US 2001-283097P P 20010411
 GI AU 2002-254567 A3 20020408
 WO 2002-US11066 W 20020408

OTHER SOURCE(S): MARPAT 137:311199
 GI



AB Crystalline complexes are obtained from 1:1 or 2:1 mixts. of either the (D) or (L) enantiomer of natural amino acids and compds. of formula I [R1, R2, R2a = H, OH, OR5, alkyl, OCHF2, OCF3, SR5a, halogen; R3, R4 = H, OH, OR5b, alkyl, cycloalkyl, CF3, OCHF2, OCF3, halogen, CONR6R6a, CO2R5c, CO2H, COR6b, CH(OH)R6c, CH(OR5d)R6d, CN, NHCOR5e, NHSO2R5f, NHSO2-aryl, SR5g, SOR5h, SO2R5i, or a five, six or seven membered heterocycle which may contain 1 to 4 heteroatoms (N, O, S, SO, and/or SO2), or R3 and R4 together with the carbons to which they are attached form an annelated five, six or seven membered carbocycle or heterocycle which may contain 1 to 4 heteroatoms in the ring; R5, R5a-R5i are independently alkyl; R6, R6a-R6d are independently H, alkyl, aryl, alkylaryl or cycloalkyl, or NR6R6a form an annelated five, six or seven membered heterocycle which may contain 1 to 4 heteroatoms in the ring]. A method is also provided for treating diabetes and related diseases employing an SGLT2 (sodium dependent glucose transporters found in the intestine and kidney) inhibiting amount of the above complex alone or in combination with another antidiabetic agent or other therapeutic agent. Thus, I (R1 = 4-Me, R4 = 4-OCHF2, R2, R2a, R3 = H) was prepared by a multistep procedure starting from o-toluiic acid, anisole, 2,3,4,6-tetra-O-benzyl-β-D-glucolactone, and CHF2Cl and treated with L-phenylalanine to form the crystalline

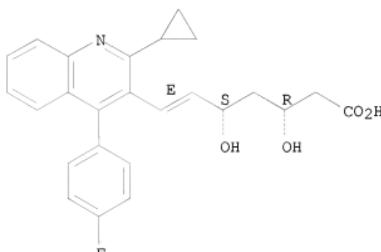
1:1 complex.

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of amino acid/C-aryl glucoside complexes for treatment of diabetes and related diseases)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.

| PI | WO 2002083066 A2 | 20021024 | | | |
|----|---|----------|----------|-----------------|--------------|
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| PI | WO 2002083066 | A2 | 20021024 | WO 2002-US11066 | 20020408 <-- |
| | WO 2002083066 | A3 | 20030306 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA | 2444481 | A1 | 20021024 | CA 2002-2444481 | 20020408 <-- |
| AU | 2002254567 | A1 | 20021028 | AU 2002-254567 | 20020408 <-- |
| AU | 2002254567 | B2 | 20071011 | | |
| US | 20030064935 | A1 | 20030403 | US 2002-117914 | 20020408 <-- |
| US | 6774112 | B2 | 20040810 | | |
| EP | 1385856 | A2 | 20040204 | EP 2002-723801 | 20020408 |
| EP | 1385856 | B1 | 20060222 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP | 2004536047 | T | 20041202 | JP 2002-580871 | 20020408 |
| AT | 318272 | T | 20060315 | AT 2002-723801 | 20020408 |
| ES | 2258141 | T3 | 20060816 | ES 2002-723801 | 20020408 |
| HU | 2006000232 | A2 | 20060828 | HU 2006-232 | 20020408 |
| AU | 2008200159 | A1 | 20080207 | AU 2008-200159 | 20080111 |
| AB | Crystalline complexes are obtained from 1:1 or 2:1 mixts. of either | | | | |

the (D) or (L) enantiomer of natural amino acids and . . . prepared by a multistep procedure starting from o-toluic acid, anisole, 2,3,4,6-tetra-O-benzyl- β -D-glucolactone, and CHF2Cl and treated with L-phenylalanine to form the crystalline 1:1 complex.

ST crystal structure amino acid complex aryl glucoside; amino acid complex aryl glucoside prepn antidiabetic

IT Antidiabetic agents
Antiobesity agents
Atherosclerosis
 Crystal structure
Diabetes mellitus
Human
Hyperglycemia
Hypertension
Hypertriglyceridemia
Hypolipemic agents
Obesity
 (preparation of amino acid/C-aryl glucoside complexes for treatment of diabetes and related diseases)

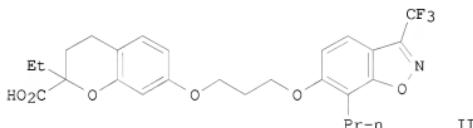
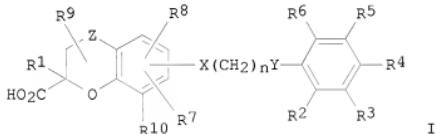
IT 51-64-9, Dexamphetamine 94-20-2, Chlorpropamide 122-09-8, Phentermine 637-07-0, Clofibrate 657-24-9, Metformin 9004-10-8, Insulin, biological studies 10238-21-8, Glyburide 14838-15-4, Phenylpropanolamine 21187-98-4, Gliclazide 22232-71-9, Mazindol 25812-30-0, Gemfibrozil 29094-61-9, Glipizide 49562-28-9, Fenofibrate 56180-94-0, Acarbose 72432-03-2, Miglitol 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin 93479-97-1, Glimepiride 93957-54-1, Fluvastatin 96829-58-2, Orlistat 97240-79-4, Topiramate 97322-87-7, Troglitazone 105816-04-4, Nateglinide 106650-56-0, Sibutramine 11025-46-8, Pioglitazone 122320-73-4, Rosiglitazone 134523-00-5, Atorvastatin 135062-02-1, Repaglinide 141750-63-2, Nisvastatin 141758-74-9, AC2993 144288-97-1, IS 962 145599-86-6, Cerivastatin 147511-69-1, Pitavastatin 152755-31-2, LY295427 159183-92-3, LY750355 161600-01-7, Isaglitazone 166518-60-1, Avasimibe 170861-63-9, JTT-501 176435-10-2, LY315902 178759-95-0, MD 700 196808-45-4 199113-98-9, NN-2344 199914-96-0, YM-440 213252-19-8, KRP297 244081-42-3, AJ9677 258345-41-4, GW-409544 282526-98-1, ATL-962 287714-41-4, Rosuvastatin 335149-08-1, L895645 335149-14-9, R-119702 335149-15-0, KAD1129 335149-17-2, ARHO39242 335149-23-0, NVPDPB-728A 335149-25-2, CP331648 430433-17-3, Glipyride 440469-80-1, Axokine
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (preparation of amino acid/C-aryl glucoside complexes for treatment of diabetes and related diseases)

L7 ANSWER 6 OF 6 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 137:140435 CA
 TITLE: Benzopyran carboxylic acid derivatives with PPAR agonist activity for the treatment of diabetes and lipid disorders, and their preparation, pharmaceutical compositions, and use
 INVENTOR(S): Sahoo, Soumya P.; Koyama, Hiroo; Miller, Daniel J.; Boueres, Julia K.; Desai, Ranjit C.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: U.S. Pat. Appl. Publ., 42 pp.
 CODEN: USXECO
 DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| US 20020103242 | A1 | 20020801 | US 2001-21667 | 20011029 <-- |
| US 6713508 | B2 | 20040330 | | |
| CA 2427610 | A1 | 20020808 | CA 2001-2427610 | 20011026 <-- |
| WO 2002060434 | A2 | 20020808 | WO 2001-US49501 | 20011026 <-- |
| WO 2002060434 | A3 | 20030619 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002248221 | A1 | 20020812 | AU 2002-248221 | 20011026 <-- |
| AU 2002248221 | B2 | 20060817 | | |
| EP 1347755 | A2 | 20031001 | EP 2001-997102 | 20011026 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004517938 | T | 20040617 | JP 2002-560626 | 20011026 |
| PRIORITY APPLN. INFO.: | | | US 2000-244698P | P 20001031 |
| | | | WO 2001-US49501 | W 20011026 |

OTHER SOURCE(S): MARPAT 137:140435
GI

AB A class of benzopyran carboxylic acid derivs. is disclosed, which comprises compds. that are potent agonists (no data) of peroxisome proliferator activated receptors (PPAR) alpha and/or gamma, and are therefore useful in the treatment, control, or prevention of non-insulin dependent diabetes mellitus (NIDDM), hyperglycemia, dyslipidemia, hyperlipidemia,

hypercholesterolemia, hypertriglyceridemia, atherosclerosis, obesity, vascular restenosis, inflammation, and other PPAR alpha and/or gamma mediated diseases, disorders and conditions. In particular, compds. I and their pharmaceutically acceptable salts and/or prodrugs are disclosed [wherein: Z = CH₂, CO; R₁ = H, OH, halo, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, or aryl; or R₁ forms (un)substituted cyclopropane fusion to adjacent C atom; X, Y = O, S, SO, SO₂, CH₂, (un)substituted NH; n = 1-6; R₄ = (un)substituted benzoheterocyclyl, cycloalkyl, heterocyclyl, cycloalkyloxy, halo, OH or derivs., alk(en/yn)yl, alk(en/yn)yloxy, or aryl, etc.; other R groups = H, halo, OH, (un)substituted alk(en/yn)yl, alk(en/yn)yloxy, aryl, aryloxy, aroyl, etc.; or R₃R₄ or R₄R₅ = (un)substituted 5- or 6-membered heterocyclic ring]. A list of 29 compds. is claimed, and their preparation is described. For example, Et 7-hydroxy-4-oxo-4H-chromene-2-carboxylate underwent a sequence of: (1) complete hydrogenation of the enone (98%), (2) etherification of the alc. with PhCH₂O(CH₂)₃Br (66%), (3) alpha ethylation of the ester (70%), (4) hydrogenolytic debenzylation (100%), (5) conversion of the resultant alc. to a bromide (96%), (6) etherification of the bromide with 3-(trifluoromethyl)-7-propyl-6-hydroxybenz[4,5]isoxazole (85%), and (7) alkaline hydrolysis (100%), to give title compound II. PPAR binding assays using human recombinant PPAR are described without data. Co-administration of compds. I with a variety of other drug categories, including a number of specific drugs, is claimed.

IT 147511-69-1, Itavastatin

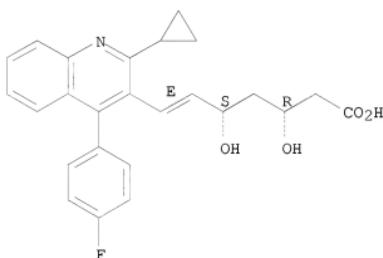
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (therapeutic compns. also containing; preparation of benzopyrancarboxylic acid

derivs. as PPAR agonists for treatment of diabetes and lipid disorders)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+). Double bond geometry as shown.



| PI | US 20020103242 A1 | 20020801 | KIND | DATE | APPLICATION NO. | DATE |
|------------|-------------------|----------|----------|-----------------|-----------------|-------|
| PATENT NO. | ----- | ----- | ----- | ----- | ----- | ----- |
| PI | US 20020103242 | A1 | 20020801 | US 2001-21667 | 20011029 <-- | |
| | US 6713508 | B2 | 20040330 | | | |
| | CA 2427610 | A1 | 20020808 | CA 2001-2427610 | 20011026 <-- | |

WO 2002060434 A2 20020808 WO 2001-US49501 20011026 <--
 WO 2002060434 A3 20030619
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
 LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,
 RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
 UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
 KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR,
 IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
 GO, GW, ML, MR, NE, SN, TD, TG
 AU 2002248221 A1 20020812 AU 2002-248221 20011026 <--
 AU 2002248221 B2 20060817
 EP 1347755 A2 20031001 EP 2001-997102 20011026 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
 JP 2004517938 T 20040617 JP 2002-560626 20011026
 IT Crystal structure
 Molecular structure
 (of enantiomeric (benzyloxy)alkylchromane carboxylic acid esters with
 pantolactone; preparation of benzopyran carboxylic acid derivs. as PPAR
 agonists for treatment of diabetes and lipid disorders)
 IT 406488-8P, (R)-Benzylxoy-2-ethylchromane-2-carboxylic acid ester with
 (S)-pantolactone
 RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP
 (Preparation); RACT (Reactant or reagent)
 (intermediate, crystal structure of; preparation of
 benzopyran carboxylic acid derivs. as PPAR agonists for treatment of
 diabetes and lipid disorders)
 IT 444341-94-0P, (S)-7-Benzylxoy-2-methylchromane-2-carboxylic acid ester
 with (R)-pantolactone
 RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP
 (Preparation); RACT (Reactant or reagent)
 (intermediate, x-ray crystal structure of; preparation of
 benzopyran carboxylic acid derivs. as PPAR agonists for treatment of
 diabetes and lipid disorders)
 IT 50-78-2, Aspirin 59-67-6, Nicotinic acid, biological studies 59-67-6D,
 Nicotinic acid, salts 64-77-7, Tolbutamide 100-55-0, Nicotinyl alcohol
 114-86-3, Phenformin 122-09-8, Phentermine 458-24-2, Fenfluramine
 599-79-1, Azulfidine 637-07-0, Clofibrate 657-24-9, Metformin
 943-45-3D, Fibric acid, derivs. 3239-44-9, Dexfenfluramine 9004-10-8D,
 Insulin, mimetics 9004-54-0D, Dextrans, crosslinked dialkylaminopolyl
 derivs. 11041-12-6, Cholestyramine 22232-71-9, Mazindol 23288-49-5,
 Probucl 25812-30-0, Gemfibrozil 29094-61-9, Glipizide 41859-67-0,
 Beazafibrate 49562-28-9, Fenofibrate 50925-79-6, Colestipol
 56180-94-0, Acarbose 75330-75-5, Lovastatin 79902-63-9, Simvastatin
 81093-37-0, Pravastatin 93957-54-1, Fluvastatin 96829-58-2, Orlistat
 97322-87-7, Troglitazone 106650-56-0, Sibutramine 109229-58-5,
 Englitazon 110125-46-8, Pioglitazone 122320-73-4, Rosiglitazone
 134523-00-5, Atorvastatin 143201-11-0, Rivastatin 147098-20-2, ZD-4522
 147511-69-1, Itavastatin 161600-01-7, MCC-555 163222-33-1,
 Ezetimibe 166518-60-1, Avasimibe 213252-19-8, KRP-297
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (therapeutic compns. also containing; preparation of benzopyran carboxylic
 acid derivs. as PPAR agonists for treatment of diabetes and lipid disorders)

=> d his

(FILE 'HOME' ENTERED AT 10:48:00 ON 08 SEP 2008)

FILE 'REGISTRY' ENTERED AT 10:48:22 ON 08 SEP 2008

FILE 'REGISTRY' ENTERED AT 10:48:39 ON 08 SEP 2008

L1 STRUCTURE UPLOADED
L2 5 S L1 SAM
L3 73 S L1 FULL

FILE 'CA' ENTERED AT 10:50:20 ON 08 SEP 2008

L4 720 S L3
L5 8 S CRYSTAL AND L4
L6 215 S L4 AND PY<2004
L7 6 S L6 AND (SOLID OR CRYST?)

=> s 16 not 17
L8 209 L6 NOT L7

=> s 18 and (ca or calcium)
 777397 CA
 870969 CALCIUM
L9 38 L8 AND (CA OR CALCIUM)

=> d ibib abs kwic 1-38

L9 ANSWER 1 OF 38 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 147:528186 CA
TITLE: Nanoparticulate fibrate formulations
INVENTOR(S): Ryde, Tuula; Gustow, Evan E.; Jain, Rajeev; Patel, Rakesh; Wilkins, Michael John
PATENT ASSIGNEE(S): Elan Pharma International, Ltd., Ire.
SOURCE: U.S. Pat. Appl. Publ., 33pp., Cont.-in-part of U.S. Ser. No. 522,528.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|--------------|
| US 20070264348 | A1 | 20071115 | US 2007-710607 | 20070226 |
| US 20030224058 | A1 | 20031204 | US 2003-370277 | 20030221 <-- |
| US 20050276974 | A1 | 20051215 | US 2003-444066 | 20030523 |
| US 7276249 | B2 | 20071002 | | |
| PRIORITY APPLN. INFO.: | | | US 2002-383294P | P 20020524 |
| | | | US 2003-370277 | B2 20030221 |
| | | | US 2003-444066 | A2 20030523 |
| | | | US 2005-275278 | B1 20051221 |
| | | | US 2006-522528 | B2 20060918 |

AB The present invention is directed to fibrate compns. having improved pharmacokinetic profiles and reduced fed/faasted variability. The fibrate particles of the composition have an effective average particle size of less than

about 2000 nm. Thus, formulation was prepared containing fenofibrate 5%, hydroxypropyl cellulose 1%, and dioctyl sodium sulfosuccinate 0.05%.

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| PI US 20070264348 | A1 | 20071115 | US 2007-710607 | 20070226 |
| US 20030224058 | A1 | 20031204 | US 2003-370277 | 20030221 <-- |
| US 20050276974 | A1 | 20051215 | US 2003-444066 | 20030523 |
| US 7276249 | B2 | 20071002 | | |
| IT Angiotensin receptor antagonists | | | | |
| Antidiabetic agents | | | | |
| Antihypertensives | | | | |
| Buccal drug delivery systems | | | | |
| Calcium channel blockers | | | | |
| Cardiovascular system, disease | | | | |
| Controlled-release drug delivery systems | | | | |
| Coronary artery disease | | | | |
| Diuretics | | | | |
| Drug bioavailability | | | | |
| Drug bioequivalence | | | | |
| Dyslipidemia | | | | |
| HMG-CoA reductase inhibitors | | | | |
| Hypercholesterolemia | | | | |
| Hyperlipidemia | | | | |
| Hypertriglyceridemia | | | | |
| Inhalation drug delivery systems | | | | |
| Nasal drug delivery systems | | | | |
| Ophthalmic drug delivery systems | | | | |
| Oral drug delivery systems | | | | |
| Pharmaceutical aerosols | | | | |
| Pharmaceutical capsules | | | | |
| Pharmaceutical gels | | | | |
| Pharmaceutical nanoparticles | | | | |
| Pharmaceutical ointments | | | | |
| Pharmaceutical suspensions | | | | |
| Pharmaceutical tablets | | | | |
| Rectal drug delivery systems | | | | |
| Topical drug delivery systems | | | | |
| Vaginal drug delivery systems | | | | |
| α -Adrenoceptor antagonists | | | | |
| β -Adrenoceptor antagonists | | | | |
| (nanoparticulate fibrate formulations) | | | | |
| IT 56-81-5, Glycerol, biological studies 57-09-0, | | | | |
| Hexadecyltrimethylammonium bromide 57-11-4, Stearic acid, biological | | | | |
| studies 57-50-1, Sucrose, biological studies 57-88-5, Cholesterol, | | | | |
| biological studies 62-49-7D, Choline, esters 75-50-3D, Trimethylamine, | | | | |
| halide salts 79-43-6, biological studies 102-71-6, Triethanolamine, | | | | |
| biological studies 109-97-7D, Pyrrole, 2,3-disubstituted derivs. | | | | |
| 110-00-9D, Furan, 2,3-disubstituted derivs. 110-02-1D, Thiophene, | | | | |
| 2,3-disubstituted derivs. 110-94-1D, Pentanedioic acid, derivs. | | | | |
| 112-00-5, Lauryl trimethylammonium chloride 122-19-0D, Stearalkonium | | | | |
| chloride, compound 123-03-5, Cetylpyridinium chloride 124-40-3D, | | | | |
| Dimethylamine, dialkyls derivs., salts 139-07-1, Lauryl dimethyl | | | | |
| benzylammonium chloride 140-72-7, Cetylpyridinium bromide 151-21-3, | | | | |
| Sodium lauryl sulfate, biological studies 504-31-4D, 2-Pyranone, | | | | |
| pyrrol-1-ylalkyl derivs. 506-59-2, Dimethylammonium chloride 577-11-7, | | | | |
| Dioctyl sodium sulfosuccinate 593-81-7D, Trimethylammonium chloride, | | | | |
| coconut derivs. 657-24-9, Metformin 674-26-0D, Mevalonolactone, | | | | |

analogs 1119-94-4 1119-97-7, Tetradecyltrimethylammonium bromide 1327-43-1, Magnesium aluminum silicate 1592-23-0, Calcium stearate 1643-19-2, Tetrabutylammonium bromide 1875-92-9D, Dimethylbenzylammonium chloride, alkylated 2082-84-0, Decyltrimethylammonium bromide 2498-25-1D, C12-15-alkyl derivs. 2840-24-6, Trimethylammonium bromide 2840-24-6D, Trimethylammonium bromide, coconut derivs. 5137-55-3, Methyltriocetylaminium chloride 5350-41-4, Benzyltrimethylammonium bromide 6303-21-5D, Phosphinic acid, compds. 7173-51-5, Dimethyl didecyl ammonium chloride 7281-04-1, Lauryl dimethyl benzylammonium bromide 9000-01-5, Gum acacia 9000-30-0, Guar 9000-65-1, Tragacanth 9001-63-2, Lysozyme 9002-89-5, Polyvinyl alcohol 9003-39-8, Polyvinylpyrrolidone 9004-32-4, Carboxymethylcellulose sodium 9004-34-6, Cellulose, biological studies 9004-54-0, Dextran, biological studies 9004-62-0, Hydroxyethylcellulose 9004-64-2, Hydroxypropyl cellulose 9004-65-3, Hypromellose 9004-67-5, Methylcellulose 9004-99-3, Polyoxyethylene stearate 9005-32-7, Alginic acid 9011-14-7, Polymethyl methacrylate 9050-04-8 9050-31-1, Hypromellose phthalate 10041-19-7, Diocetyl sulfosuccinate 12441-09-7D, Sorbitan, esters 16749-13-6D, Phosphonium, compound 16969-45-2D, Pyridinium, salts, alkylated 18155-21-0D, Sulfonium, compound 18186-71-5, Dodecyltriethylammonium bromide 21424-22-6 21424-24-8 25086-89-9, Vinyl acetate-vinylpyrrolidone copolymer 25301-02-4 25322-68-3 25322-68-3D, PEG, phospholipid derivs. 25377-46-2D, Heptenoic acid, pyridyl dihydroxy derivs. 26062-79-3, Poly-diallyldimethylammonium chloride 27195-16-0, Sucrose distearate 27321-96-6D, PEG-cholesterol, derivative 28228-56-0 28299-33-4D, quaternized, salt 28679-24-5, Dodecylbenzyl triethyl ammonium chloride 29454-16-8D, Sodium sulfosuccinate, dialkylester 29836-26-8, n-Octyl- β -D-glucopyranoside 31244-58-3, Octahydronaphthalene 31566-31-1, Glycerol monostearate 37318-31-3, Sucrose stearate 38443-60-6, Decyl triethylammonium chloride 39995-55-6 52467-63-7, Tricetyl methylammonium chloride 52539-48-7 54060-15-0D, coconut derivs. 58846-77-8, n-Decyl- β -D-glucopyranoside 59080-45-4, n-Hexyl- β -D-glucopyranoside 59122-55-3, n-Dodecyl- β -D-glucopyranoside 63722-04-3D, C12-14-alkyl derivs. 65059-43-0 69227-93-6, n-Dodecyl- β -D-maltoside 69984-73-2 75330-75-5, Lovastatin 75330-75-5D, Mevinolin, analogs 78617-12-6, n-Heptyl- β -D-glucopyranoside 79902-63-9, Simvastatin 81093-37-0, Pravastatin 81859-24-7, PolyQUAT 10 82494-09-5, n-Decyl- β -D-maltopyranoside 85261-19-4, Nonanoyl-N-methylglucamide 85261-20-7 85316-98-9 85618-20-8, n-Heptyl- β -D-thioglucoside 85618-21-9, Octyl- β -D-thioglucopyranoside 93957-54-1, Fluvastatin 93957-55-2, Flindostatin 101397-87-9, Heptanoyl-N-methylglucamide 106392-12-5, Poloxamer 113079-72-4D, derivs. 134523-00-5, Atorvastatin 135241-51-9D, coconut derivs. 137360-57-7D, C12-15-alkyl derivs. 143201-11-0, Rivastatin 147511-69-1, Pitavastatin 283158-20-3 287714-41-4, Rosuvastatin 329326-68-3, p-Isononylphenoxypoly-(glycidol) 503178-50-5 608094-65-1, PEG-vitamin A 630400-66-7 630400-67-8 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (nanoparticulate fibrate formulations)

L9 ANSWER 2 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 146:330827 CA
 TITLE: Bile preparations for colorectal disorders
 INVENTOR(S): Yoo, Seo Hong
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 24pp., Cont.-in-part of U.S.

Ser. No. 996,945.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|--------------|
| US 20070072828 | A1 | 20070329 | US 2006-522162 | 20060915 |
| US 6251428 | B1 | 20010626 | US 1999-357549 | 19990720 <-- |
| US 20020031558 | A1 | 20020314 | US 2001-778154 | 20010205 <-- |
| US 7303768 | B2 | 20071204 | | |
| US 20050158408 | A1 | 20050721 | US 2004-996945 | 20041124 |
| AU 2004325203 | A1 | 20060601 | AU 2004-325203 | 20041124 |
| CA 2588168 | A1 | 20060601 | CA 2004-2588168 | 20041124 |
| EP 1819318 | A1 | 20070822 | EP 2004-812094 | 20041124 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| CN 101065110 | A | 20071031 | CN 2004-80044467 | 20041124 |
| BR 2004019213 | A | 20071218 | BR 2004-19213 | 20041124 |
| JP 2008521800 | T | 20080626 | JP 2007-543006 | 20041124 |
| AU 2006203315 | A1 | 20060824 | AU 2006-203315 | 20060803 |
| IN 2007CN02532 | A | 20070907 | IN 2007-CN2532 | 20070612 |
| KR 2007098821 | A | 20071005 | KR 2007-714361 | 20070622 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 1998-94069P | P 19980724 |
| | | | US 1999-357549 | A2 19990720 |
| | | | US 2000-180268P | P 20000204 |
| | | | US 2001-778154 | A2 20010205 |
| | | | US 2004-996945 | A2 20041124 |
| | | | AU 2001-36685 | A3 20010205 |
| | | | WO 2004-US39507 | A 20041124 |

AB The present disclosure relates to methods and compns. to ameliorate or treat at least one symptom of colorectal cancer and/or adenomatous polyposis coli (APC). For example, some embodiments of the methods and compns. may reduce recurrence of colorectal adenomas and/or extend the life of a subject having colorectal cancer and/or APC. Some embodiments of the disclosure include maintaining a the total body weight in a subject having colorectal cancer and/or APC. According to some embodiments, a method of the disclosure may include administering a bile acid composition to a subject. A bile acid composition may include, in some embodiments, an aqueous solution that is free or substantially free of ppts. or particles. A aqueous solution may include (1) a bile acid, an aqueous soluble derivative of a bile acid, a bile acid salt, and/or 7-ketolithocholic acid, (2) a carbohydrate, and (3) water. An aqueous composition may further include an alkali.

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| PI US 20070072828 | A1 | 20070329 | US 2006-522162 | 20060915 |
| US 6251428 | B1 | 20010626 | US 1999-357549 | 19990720 <-- |
| US 20020031558 | A1 | 20020314 | US 2001-778154 | 20010205 <-- |
| US 7303768 | B2 | 20071204 | | |
| US 20050158408 | A1 | 20050721 | US 2004-996945 | 20041124 |
| AU 2004325203 | A1 | 20060601 | AU 2004-325203 | 20041124 |
| CA 2588168 | A1 | 20060601 | CA 2004-2588168 | 20041124 |
| EP 1819318 | A1 | 20070822 | EP 2004-812094 | 20041124 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, | | | | |

| | IS, IT, LI, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | |
|--|--|-----------------------------------|--------------------|
| CN 101065110 | A 20071031 | CN 2004-80044467 | 20041124 |
| BR 2004019213 | A 20071218 | BR 2004-19213 | 20041124 |
| JP 2008521800 | T 20080626 | JP 2007-543006 | 20041124 |
| AU 2006203315 | A1 20060824 | AU 2006-203315 | 20060803 |
| IN 2007CN02532 | A 20070907 | IN 2007-CN2532 | 20070612 |
| KR 2007098821 | A 20071005 | KR 2007-714361 | 20070622 |
| IT 471-34-1, Calcium carbonate, biological studies | 497-19-8, | | |
| Sodium carbonate, biological studies | 584-08-7, Potassium carbonate | | |
| 1305-62-0, Calcium hydroxide, biological studies | 1310-58-3, | | |
| Potassium hydroxide, biological studies | 1310-73-2, Sodium hydroxide, | | |
| biological studies | 7664-41-7, Ammonia, biological studies | 8027-56-3, | |
| Liquid glucose | 9004-32-4, Carboxymethylcellulose | 9004-34-6D, | |
| Cellulose, derivs. | 9004-53-9, Fibersol-2 | 9004-62-0, | |
| Hydroxyethylcellulose | 9004-64-2, Hydroxypropylcellulose | 9004-65-3, | |
| Hydroxypropylmethylcellulose | 9005-25-8, Starch, biological studies | | |
| 9050-36-6, Maltodextrin | 11138-66-2, Xanthan gum | | |
| RL: MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses) | | | |
| | (bile prepsn. for colorectal disorders) | | |
| IT 50-02-2, Dexamethasone | 50-23-7, Hydrocortisone | 50-24-8, Prednisolone | |
| 50-44-2, Mercaptopurine | 50-76-0, Dactinomycin | 50-78-2, Aspirin | |
| 51-21-8, 5-Fluorouracil | 51-48-9, Levthyroxine, biological studies | | |
| 53-86-1, Indometacin | 55-86-7, Mechlorethamine hydrochloride | 55-98-1, | |
| Busulfan | 58-05-9, Leucovorin | 61-68-7, Mefenamic acid | 69-72-7, |
| Salicylic acid, biological studies | 83-43-2, Methylprednisolone | | |
| 83-49-8, Hyodeoxycholic acid | 83-79-4, Rotenone | 89-57-6, Mesalamine | |
| 119-36-8, Methyl salicylate | 128-13-2, Ursodeoxycholic acid | 147-94-4, | |
| Cytarabine | 148-82-3, Melphalan | 154-42-7, Thioguanine | 302-79-4, |
| Tretinoin | 305-03-3, Chlorambucil | 315-30-0, Allopurinol | 326-91-0, |
| Thenoyltrifluoroacetone | 446-86-6, Azathioprine | 644-62-2, Meclofenamic | |
| acid | 645-05-6, Altretamine | 671-16-9, Procarbazine | 1327-53-3, Arsenic |
| 1397-94-0, Antimycin A | 1404-19-9, Oligomycin | 1972-08-3, | |
| Dronabinol | 2898-95-5, Ursodeoxycholic acid sodium salt | 2998-57-4, | |
| Estramustine | 4291-63-8, Cladribine | 4651-67-6, 7-Ketolithocholic acid | |
| 5003-48-5, Benorylate | 5104-49-4, Flurbiprofen | 8065-29-0, Liotrix | |
| 9000-01-5, Acacia gum | 13311-84-7, Flutamide | 15307-86-5, Diclofenac | |
| 15687-27-1, Ibuprofen | 20537-88-6, Amifostine | 21256-18-8, Oxaprozin | |
| 22071-15-4, Ketoprofen | 22204-53-1, Naproxen | 22494-42-4, Diflunisal | |
| 23214-92-8, Doxorubicin | 24280-93-1, Mycophenolic acid | 24584-09-6, | |
| Dexrazoxane | 29679-58-1, Fenoprofen | 33005-95-7, Tiaprofenic acid | |
| 33069-62-4, Paclitaxel | 36322-90-4, Piroxicam | 38194-50-2, Sulindac | |
| 40391-99-9 | 41340-25-4, Etodolac | 42924-53-8, Nabumetone | 51481-61-9, |
| 51803-78-2, Nimesulide | 513123-88-9, Sirolimus | 53714-56-0, | |
| Leuprolide | 53716-49-7, Carprofen | 53910-25-1, Pentostatin | 56420-45-2, |
| Epirubicin | 58957-92-9, Idarubicin | 59865-13-3, Cyclosporine | |
| 61825-94-3, Oxaliplatin | 65271-80-9, Mitoxantrone | 65807-02-5, Goserelin | |
| 68767-14-6, Loxoprofen | 71125-38-7, Meloxicam | 71486-22-1, Vinorelbine | |
| 73573-88-3, Mevastatin | 74103-06-3, Ketorolac | 75330-75-5, Lovastatin | |
| 76706-55-3, Myxothiazol | 76712-82-8, Histrelin | 79902-63-9, Simvastatin | |
| 80573-04-2, Balsalazide | 81093-37-0, Pravastatin | 83150-76-9, Octreotide | |
| 85622-93-1, Temozolomide | 87806-31-3, Porfimer | 90357-06-5, Bicalutamide | |
| 93957-54-1, Fluvastatin | 95058-81-4, Gemcitabine | 97682-44-5, Irinotecan | |
| 98530-12-2, Interferon alfa-2b | 99614-02-5, Ondansetron | 104987-11-3, | |
| Tacrolimus | 107868-30-4, Exemestane | 109889-09-0, Granisetron | |
| 110942-02-4, Aldesleukin | 112809-51-5, Letrozole | 115956-12-2, | |
| Dolasetron | 118072-93-8, Zoledronic acid | 120511-73-1, Anastrozole | |

121181-53-1, Filgrastim 123318-82-1, Clofarabine 123774-72-1,
 Sargramostim 123948-87-8, Topotecan 124508-66-3, Triptorelin pamoate
 128794-94-5, Mycophenolate mofetil 129453-61-8, Fulvestrant
 134523-00-5, Atorvastatin 134774-45-1, Rasburicase 135729-61-2,
 Palonosetron 137281-23-3, Pemetrexed 145599-86-6, Cerivastatin
 147511-69-1, Pitavastatin 152459-95-5, Imatinib 152923-56-3,
 Daclizumab 153559-49-0, Bevacizumab 154361-50-9, Capecitabine
 162011-90-7, Rofecoxib 162394-19-6, Palifermin 169590-42-5, Celecoxib
 170729-80-3, Aprepitant 173146-27-5, Denileukin diftitox 174722-31-7,
 Rituximab 179045-86-4, Basiliximab 179324-69-7, Bortezomib
 180288-69-1, Trastuzumab 181695-72-7, Valdecoxib 183321-74-6,
 Erlotinib 184475-35-2, Gefitinib 198470-84-7, Parecoxib 202409-33-4,
 Etoricoxib 205923-56-4, Cetuximab 206181-63-7, Ibrutumomab tiuxetan
 208265-92-3, Pegfilgrastim 208921-02-2, Tositumomab 216503-57-0,
 Alemtuzumab 216974-75-3, Bevacizumab 220578-59-6, Gentuzumab
 ozogamicin 226256-56-0, Cinacalcet 287714-41-4, Rosuvastatin
 777076-34-3, 2,2-Bis-(4-(4-amino-3-hydroxyphenoxy)phenyl) adamantan
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (bile prepns. for colorectal disorders)

L9 ANSWER 3 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 145342445 CA

TITLE: Dual controlled release osmotic device comprising two
 different active agents

INVENTOR(S): Vergez, Juan A.; Ricci, Marcelo A.

PATENT ASSIGNEE(S): Argent.

SOURCE: U.S. Pat. Appl. Publ., 29pp., Cont.-in-part of U.S.
 Ser. No. 321,736.

CODEN: USXKC0

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|--------------|
| US 20060204578 | A1 | 20060914 | US 2006-355315 | 20060215 |
| US 20030185882 | A1 | 20031002 | US 2001-992488 | 20011106 <-- |
| US 20060177510 | A1 | 20060810 | US 2005-321736 | 20051229 |
| PRIORITY APPLN. INFO.: | | | US 2001-992488 | B3 20011106 |
| | | | US 2005-321736 | A2 20051229 |

AB A dosage form that provides a controlled release of at least two different active agents is provided. Particular embodiments include a dosage form that provides therapeutically effective levels of a first active agent and a second active agent in a mammal for an extended period of time following oral administration. An osmotic device containing a bilayered core is provided. The osmotic device provides a dual controlled release of both drugs from the core. The layers of the core are in stacked, substantially concentric or substantially eccentric arrangement. For example, bilayered controlled release tablet was prepared containing first layer comprised of oxybutynin hydrochloride 5.15 mg, Myvacet 5-07 10.80 mg, Povidone K25 5.40 mg, microcryst. cellulose spheres 68.68 mg, cellulose acetophthalate 4.10 mg, colloidal silicon dioxide 0.60 mg, and magnesium stearate 10.80 mg; second layer comprised of tolterodine L-tartrate 2.92 mg, Myavplex 600P NF 82.07 mg, red iron oxide 0.15 mg, microcryst. cellulose spheres 67.76 mg, cellulose acetophthalate 4.10 mg, colloidal silicon dioxide 1.80 mg,

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|--------------|
| PI | US 20060204578 | A1 | 20060914 | US 2006-355315 | 20060215 |
| | US 20030185882 | A1 | 20031002 | US 2001-992488 | 20011106 <-- |
| | US 20060177510 | A1 | 20060810 | US 2005-321736 | 20051229 |
| IT | Adrenoceptor agonists | | | | |
| | Amebicides | | | | |
| | Analgesics | | | | |
| | Anti-Alzheimer's agents | | | | |
| | Anti-inflammatory agents | | | | |
| | Antiarthritics | | | | |
| | Antiasthmatics | | | | |
| | Antibiotics | | | | |
| | Anticoagulants | | | | |
| | Anticonvulsants | | | | |
| | Antidepressants | | | | |
| | Antidiabetic agents | | | | |
| | Antihistamines | | | | |
| | Antihypertensives | | | | |
| | Antimalarials | | | | |
| | Antiparkinsonian agents | | | | |
| | Antipsychotics | | | | |
| | Antitumor agents | | | | |
| | Antiulcer agents | | | | |
| | Antiviral agents | | | | |
| | Anxiolytics | | | | |
| | Calcium channel blockers | | | | |
| | Cardiovascular agents | | | | |
| | Contraceptives | | | | |
| | Decongestants | | | | |
| | Diagnostic agents | | | | |
| | Dissolution | | | | |
| | Diuretics | | | | |
| | Fungicides | | | | |
| | Hypnotics and Sedatives | | | | |
| | Hypolipemic agents | | | | |
| | Muscle relaxants | | | | |
| | Parasiticides | | | | |
| | Prokinetic agents | | | | |
| | Tranquilizers | | | | |
| | β-Adrenoceptor antagonists | | | | |
| | dual controlled-release osmotic device comprising two different active agents) | | | | |
| IT | 50-47-5, Desipramine 50-48-6, Amitriptyline 50-49-7, Imipramine 50-52-2, Thioridazine 50-53-3, biological studies 50-99-7, Dextrose, biological studies 51-71-8, Phenelzine 52-86-8, Haloperidol 58-00-4, Apomorphine 58-38-8 58-39-9, Perphenazine 59-67-6, Nicotinic acid, biological studies 59-92-7, Levodopa, biological studies 64-77-7, Tolbutamide 69-09-0, Chloropromazine hydrochloride 69-23-8, Fluphenazine 69-65-8, Mannitol 72-69-5, Nortriptyline 77-37-2, Procyclidine 87-69-4, Tartaric acid, biological studies 94-20-2, Chloropropamide 102-76-1, Triacetin 117-89-5, Trifluoperazine 132-17-2, Benztoprine mesylate 137-53-1, Dextrothyroxine sodium 144-11-6 155-09-9, Tranylcypromine 298-46-4, Carbamazepine 303-49-1, Clomipramine 321-64-2, Tacrine 322-35-0 339-43-5, Carbutamide 339-44-6, Glymidine 357-70-0, Galantamine 438-60-8, Protriptyline | | | | |

451-71-8, Glyhexamide 511-45-5, Pridinol 514-65-8, Biperiden 535-65-9, Glybutethiazole 557-04-0, Magnesium stearate 637-07-0, Clofibrate 657-24-9, Metformin 664-95-9, Tolcyclamide 739-71-9, Trimipramine 768-94-5, Amantadine 968-81-0, Acetohexamide 1156-19-0, Tolazamide 1228-19-9, Glypinamide 1309-37-1, Iron oxide (Fe203), biological studies 1492-02-0, Glybzazole 1668-19-5, Doxepin 1977-10-2, Loxapine 2062-78-4, Pimozide 2295-31-0, Thiazolidinedione 3149-00-6, Phenbutamide 3313-26-6, Thiotixene 4618-41-1, 1-Butyl-3-metanilylurea 5588-33-0, Mesoridazine 5786-21-0, Clozapine 6882-47-9, Biguanidine 7416-34-4, Molindone 7631-86-9, Silica, biological studies 7647-14-5, Sodium chloride (NaCl), biological studies 9003-39-8, Povidone 9004-34-6, Cellulose, biological studies 9004-35-7 9004-38-0, Cellulose acetophthalate 9004-65-3, Hydroxypropyl methylcellulose 9004-67-5, Methylcellulose 9005-65-6, Polysorbate 80 10238-21-8, Glibenclamide 10262-69-8, Maprotiline 11041-12-6, Cholestyramine 13463-67-7, Titanium oxide (TiO₂), biological studies 14028-44-5, Amoxapine 14611-51-9, Selegiline 18016-80-3, Lisuride 1979-43-2, Trazodone 19982-08-2, Memantine 21187-98-4, Gliclazide 23288-49-5, Probucon 25046-79-1, Glisoxepid 25086-89-9 25322-68-3, Polyethylene glycol 25614-03-3, Bromocriptine 25812-30-0, Gemfibrozil 26944-48-9, Glibornuride 28721-07-5, Oxcarbazepine 28860-95-9, Carbidiopa 28981-97-7, Alprazolam 29094-61-9, Glipizide 31566-31-1 33342-05-1, Gliquidone 34911-55-2, Bupropion 36282-47-0, Tramadol hydrochloride 50925-79-6, Cholestipol 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 59729-33-8, Citalopram 61036-40-6, Myvacet 5-07 61869-08-7, Paroxetine 62571-86-2, Captopril 63675-72-9, Nisoldipine 66104-22-1, Pergolide 68291-97-4, Zonisamide 73573-88-3, Mevastatin 74811-65-7, Croscarmellose sodium 75330-75-5, Lovastatin 79617-96-2, Sertraline 79902-63-9, Simvastatin 81093-37-0, Pravastatin 81409-90-7, Cabergoline 83366-66-9, Nefazodone 84057-84-1, Lamotrigine 85650-52-8, Mirtazapine 91374-21-9, Ropinirole 93413-69-5, Venlafaxine 93957-54-1, Fluvastatin 97240-79-4, Topiramate 97322-87-7, Troglitazone 102767-28-2, Levetiracetam 104632-26-0, Pramipexole 105816-04-4, Nateglinide 106266-06-2, Risperidone 111025-46-8, Pioglitazone 111974-69-7, Quetiapine 112529-15-4, Pioglitazone hydrochloride 120014-06-4, Donepezil 122320-73-4, Rosiglitazone 123441-03-2, Rivastigmine 130929-57-6, Entacapone 132539-06-1, Olanzapine 133099-07-7, Darifenacin hydrobromide 134308-13-7, Tolcapone 134523-00-5, Atorvastatin 134523-03-8, Atorvastatin calcium 135062-02-1, Repaglinide 136434-34-9, Duloxetine hydrochloride 146939-27-7, Ziprasidone 147511-69-1, Pitavastatin 149202-17-5, Cellactose 156897-06-2, Licoferolone 162011-90-7, Rofecoxib 163222-33-1, Ezetimibe 909710-69-6, Opadry Y 1-18-128A White
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(dual controlled-release osmotic device comprising two different active agents)

L9 ANSWER 4 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 142:49262 CA
 TITLE: Orally administered small peptides synergize statin activity, and therapeutic uses
 INVENTOR(S): Fogelman, Alan M.; Anantharamaiah, Gattadahalli M.; Navab, Mohamad
 PATENT ASSIGNEE(S): The Regents of the University of California, USA
 SOURCE: U.S. Pat. Appl. Publ., 159 pp., Cont.-in-part of U.S. Ser. No. 423,830.

CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 9
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|--------------|
| US 20040254120 | A1 | 20041216 | US 2003-649378 | 20030826 |
| US 7148197 | B2 | 20061212 | | |
| US 6664230 | B1 | 20031216 | US 2000-645454 | 20000824 <-- |
| US 20030045460 | A1 | 20030306 | US 2001-896841 | 20010629 <-- |
| US 6933279 | B2 | 20050823 | | |
| CN 1375299 | A | 20021023 | CN 2001-103876 | 20010823 <-- |
| CN 1739787 | A | 20060301 | CN 2005-10103876 | 20010823 |
| CN 1911439 | A | 20070214 | CN 2006-10100670 | 20010823 |
| CN 1931358 | A | 20070321 | CN 2006-10100667 | 20010823 |
| CN 1931359 | A | 20070321 | CN 2006-10100669 | 20010823 |
| CN 1943781 | A | 20070411 | CN 2006-10100668 | 20010823 |
| EP 1864675 | A1 | 20071212 | EP 2007-7775 | 20010823 |
| R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR | | | | |
| US 20030171277 | A1 | 20030911 | US 2002-187215 | 20020628 <-- |
| US 7144862 | B2 | 20061205 | | |
| US 20030229015 | A1 | 20031211 | US 2002-273386 | 20021016 <-- |
| US 7166578 | B2 | 20070123 | | |
| US 20040266671 | A1 | 20041230 | US 2003-423830 | 20030425 |
| US 7199102 | B2 | 20070403 | | |
| US 20050164950 | A1 | 20050728 | US 2004-913800 | 20040806 |
| AU 2004264944 | A1 | 20050224 | AU 2004-264944 | 20040810 |
| CA 2534676 | A1 | 20050224 | CA 2004-2534676 | 20040810 |
| WO 2005016280 | A2 | 20050224 | WO 2004-US26288 | 20040810 |
| WO 2005016280 | A3 | 20060105 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1660112 | A2 | 20060531 | EP 2004-786504 | 20040810 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| CN 1867348 | A | 20061122 | CN 2004-80029870 | 20040810 |
| JP 2007512228 | T | 20070517 | JP 2006-523396 | 20040810 |
| HU 2007000157 | A2 | 20070529 | HU 2007-157 | 20040810 |
| JP 2006056899 | A | 20060302 | JP 2005-304531 | 20051019 |
| MX 2006PA01743 | A | 20060512 | MX 2006-PA1743 | 20060213 |
| NO 200601139 | A | 20060508 | NO 2006-1139 | 20060309 |
| IN 2006KN00576 | A | 20070803 | IN 2006-KN576 | 20060310 |
| US 20070060527 | A1 | 20070315 | US 2006-485620 | 20060711 |
| JP 2006312650 | A | 20061116 | JP 2006-220831 | 20060814 |
| JP 20072777250 | A | 20071025 | JP 2007-118451 | 20070427 |

| | | | | |
|------------------------|----|----------|------------------|-------------|
| JP 2008150358 | A | 20080703 | JP 2007-250264 | 20070926 |
| AU 2007237157 | A1 | 20071213 | AU 2007-237157 | 20071126 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 2000-645454 | A2 20000824 |
| | | | US 2001-896841 | A2 20010629 |
| | | | US 2002-187215 | A2 20020628 |
| | | | US 2002-273386 | A2 20021016 |
| | | | US 2003-423830 | A2 20030425 |
| | | | US 2003-494449P | P 20030811 |
| | | | CN 2001-103876 | A3 20010823 |
| | | | CN 2001-817280 | A3 20010823 |
| | | | CN 2005-10103876 | A3 20010823 |
| | | | EP 2001-966198 | A3 20010823 |
| | | | JP 2002-520844 | A3 20010823 |
| | | | WO 2001-US26497 | A2 20010823 |
| | | | US 2003-649378 | A1 20030826 |
| | | | WO 2004-US26288 | W 20040810 |
| | | | JP 2005-304531 | A3 20051019 |
| | | | AU 2006-200035 | A3 20060106 |
| | | | JP 2006-220831 | A3 20060814 |

OTHER SOURCE(S): MARPAT 142:49262

AB The invention provides peptides that ameliorate one or more symptoms of atherosclerosis. The peptides are highly stable and readily administered via an oral route. The peptides are effective to stimulate the formation and cycling of pre- β high d. lipoprotein-like particles and/or to promote lipid transport and detoxification. The invention also provides a method of tracking a peptide in a mammal. In addition, the peptides inhibit osteoporosis. When administered with a statin, the peptides enhance the activity of the statin permitting the statin to be used at significantly lower dosages and/or cause the statins to be significantly more antiinflammatory at any given dose.

REFERENCE COUNT: 301 THERE ARE 301 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFERENCED FORMAT

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|--------------|
| PI US 20040254120 | A1 | 20041216 | US 2003-649378 | 20030826 |
| US 7148197 | B2 | 20061212 | | |
| US 6664230 | B1 | 20031216 | US 2000-645454 | 20000824 <-- |
| US 20030045460 | A1 | 20030306 | US 2001-896841 | 20010629 <-- |
| US 6933279 | B2 | 20050823 | | |
| CN 1375299 | A | 20021023 | CN 2001-103876 | 20010823 <-- |
| CN 1739787 | A | 20060301 | CN 2005-10103876 | 20010823 |
| CN 1911439 | A | 20070214 | CN 2006-10100670 | 20010823 |
| CN 1931358 | A | 20070321 | CN 2006-10100667 | 20010823 |
| CN 1931359 | A | 20070321 | CN 2006-10100669 | 20010823 |
| CN 1943781 | A | 20070411 | CN 2006-10100668 | 20010823 |
| EP 1864675 | A1 | 20071212 | EP 2007-7775 | 20010823 |
| R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR | | | | |
| US 20030171277 | A1 | 20030911 | US 2002-187215 | 20020628 <-- |
| US 7144862 | B2 | 20061205 | | |
| US 20030229015 | A1 | 20031211 | US 2002-273386 | 20021016 <-- |
| US 7166578 | B2 | 20070123 | | |
| US 20040266671 | A1 | 20041230 | US 2003-423830 | 20030425 |
| US 7199102 | B2 | 20070403 | | |
| US 20050164950 | A1 | 20050728 | US 2004-913800 | 20040806 |
| AU 2004264944 | A1 | 20050224 | AU 2004-264944 | 20040810 |

| | | | | |
|--|----|----------|------------------|----------|
| CA 2534676 | A1 | 20050224 | CA 2004-2534676 | 20040810 |
| WO 2005016280 | A2 | 20050224 | WO 2004-US26288 | 20040810 |
| WO 2005016280 | A3 | 20060105 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1660112 | A2 | 20060531 | EP 2004-786504 | 20040810 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | | |
| CH 1867348 | A | 20061122 | CN 2004-80029870 | 20040810 |
| JP 2007512228 | T | 20070517 | JP 2006-523396 | 20040810 |
| HU 2007000157 | A2 | 20070529 | HU 2007-157 | 20040810 |
| JP 2006056899 | A | 20060302 | JP 2005-304531 | 20051019 |
| MX 2006PA01743 | A | 20060512 | MX 2006-PA1743 | 20060213 |
| NO 2006001139 | A | 20060508 | NO 2006-1139 | 20060309 |
| IN 2006KN00576 | A | 20070803 | IN 2006-KN576 | 20060310 |
| US 20070060527 | A1 | 20070315 | US 2006-485620 | 20060711 |
| JP 2006312650 | A | 20061116 | JP 2006-220831 | 20060814 |
| JP 2007277250 | A | 20071025 | JP 2007-118451 | 20070427 |
| JP 2008150358 | A | 20080703 | JP 2007-250264 | 20070926 |
| AU 2007237157 | A1 | 20071213 | AU 2007-237157 | 20071126 |
| IT 7440-70-2, Calcium, biological studies | | | | |
| RL: BSU (Biological study, unclassified); BIOL (Biological study) (bone decalcification/recalcification; orally administered small peptides synergize statin activity, and therapeutic uses) | | | | |
| IT 58-85-5D, Biotin, peptide conjugates 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin 93957-54-1, Fluvastatin 134523-00-5, Atorvastatin 145599-86-6, Cerivastatin 147511-69-1, Pitavastatin 163222-33-1, Ezetimibe 287714-41-4, Rosuvastatin | | | | |
| RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (orally administered small peptides synergize statin activity, and therapeutic uses) | | | | |

L9 ANSWER 5 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 140:406798 CA
 TITLE: Preparation of benzoxepinopyridines as HMG-CoA
 reductase inhibitors
 INVENTOR(S): Robl, Jeffrey A.; Chen, Bang-chi; Sun, Chong-qing
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: U.S. Pat. Appl. Publ., 44 pp., Cont.-in-part of U.S.
 Ser. No. 875,155, abandoned.
 CODEN: USXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|-----------------|---|---|
| US 20040092573 | A1 | 20040513 | US 2003-602752 | 20030624 |
| US 6812345 | B2 | 20041102 | | |
| US 20020013334 | A1 | 20020131 | US 2001-875155 US 2000-211595P US 2001-875155 | 20010606 <-- P 20000615 B2 20010606 |
| PRIORITY APPLN. INFO.: | | | | |
| OTHER SOURCE(S): | | MAPT 140:406798 | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = O, S, SO, SO₂, NR₇; Z = HOCH₂CH(OH)CH₂CO₂R₃, 4-hydroxy-2-oxopyran-6-yl, etc.; n = 0, 1; R₁, R₂ = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R₃ = H, alkyl, metal ion; R₄ = H, halo, CF₃, etc.; R₇ = H, alkyl, aryl, alkanoyl, aroyl, alkoxy carbonyl, etc.; R₉, R₁₀ = H, alkyl], were prepared as HMG CoA reductase inhibitors active in inhibiting cholesterol biosynthesis, modulating blood serum lipids such as lowering LDL cholesterol and/or increasing HDL cholesterol, and treating hyperlipidemia, hypercholesterolemia, hypertriglyceridemia and atherosclerosis (no data). A multistep synthesis of II is reported.

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------|------|----------|-----------------|--------------|
| PI US 20040092573 | A1 | 20040513 | US 2003-602752 | 20030624 |
| US 6812345 | B2 | 20041102 | | |
| US 20020013334 | A1 | 20020131 | US 2001-875155 | 20010606 <-- |

IT Calcium channel
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (T-type, antagonists, coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

IT Calcium channel blockers
 (T-type, coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

IT Receptors
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (calcium, antagonists, coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

IT 5-HT reuptake inhibitors
 Angiotensin receptor antagonists
 Anti-Alzheimer's agents
 Anti-infective agents
 Anti-inflammatory agents
 Antianginal agents
 Antiarrhythmics

| | |
|----|--|
| | Antiarthritics |
| | Antidiabetic agents |
| | Antihypertensives |
| | Antiobesity agents |
| | Antiosteoporotic agents |
| | Antioxidants |
| | Antitumor agents |
| | Appetite depressants |
| | Calcium channel blockers |
| | Cardiovascular agents |
| | Diuretics |
| | Hormone replacement therapy |
| | Hypolipemic agents |
| | Immunomodulators |
| | α-Adrenoceptor antagonists |
| | β-Adrenoceptor antagonists |
| | β3-Adrenoceptor agonists |
| | (coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders) |
| IT | 50-78-2, Aspirin 51-64-9, Dexamphetamine 52-01-7, Spironolactone 52-53-9, Verapamil 54-31-9, Furosemide 58-32-2, Dipyridamole 58-93-5, Hydrochlorothiazide 59-67-6, Niacin, biological studies 94-20-2, Chlorpropamide 122-09-8, Phentermine 525-66-6, Propranolol 564-25-0, Doxycycline 637-07-0, Clofibrate 657-24-9, Metformin 1684-40-8, Tacrine hydrochloride 3416-24-8, Glucosamine 4205-91-8, Clonidine hydrochloride 9004-61-9, Hyaluronic acid 9007-28-7, Chondroitin sulfate 10118-90-8, Minocycline 10238-21-8, Glyburide 14838-15-4, Phenylpropanolamine 19237-84-4, Prazosin hydrochloride 21187-98-4, Gliclazide 21829-25-4, Nifedipine 22232-71-9, Mazindol 25812-30-0, Gemfibrozil 26807-65-8, Indapamide 29094-61-9, Glipizide 29122-68-7, Atenolol 42200-33-9, Nadolol 49562-28-9, Fenofibrate 55142-85-3, Ticlopidine 56180-94-0, Acarbose 56211-40-6, Torasemide 62571-86-2, Captoril 68475-42-3, Anagrelide 72432-03-2, Miglitol 72956-09-3, Carvedilol 75330-75-5, Lovastatin 75847-73-3, Enalapril 76547-98-3, Lisinopril 79902-63-9, Simvastatin 80830-42-8, Fentripril 81093-37-0, Pravastatin 85441-61-8, Quinapril 86541-75-5, Benazepril 87333-19-5, Ramipril 89750-14-1, Glucagon-like peptide I 93479-97-1, Glimepiride 93957-54-1, Fluvastatin 96829-58-2, Orlistat 97240-79-4, Topiramate 97322-87-7, Troglitazone 98048-97-6, Fosinopril 103775-10-6, Moexipril 105816-04-4, Nateglinide 106650-56-0, Sibutramine 111025-46-8, Pioglitazone 113665-84-2, Clopidogrel 114798-26-4, Losartan 120014-06-4, Donepezil 122320-73-4, Rosiglitazone 134523-00-5, Atorvastatin 135062-02-1, Repaglinide 137862-53-4, Valsartan 138402-11-6, Irbesartan 141758-74-9, AC2993 143443-90-7, Ifetroban 143653-53-6, Abciximab 144288-97-1, TS 962 144494-65-5, Tirofiban 145599-86-6, Cevimeline 147511-69-1, Pitavastatin 152755-31-2, LY 295427 159183-92-3, L 750355 160135-92-2, Gemopatrilat 161600-01-7, Isaglitazone 162011-90-7, Viox™ 166518-60-1, Avasimibe 167305-00-2, Omapatrilat 169319-62-4, CGS 30440 169590-42-5, Celebrex 170861-63-9, JTT-501 176435-10-2, LY315902 178759-95-0, MD 700 182815-44-7, Cholestagel 188627-80-7, Eptifibatide 196808-45-4, GI-262570 199113-98-9, NN-2344 199914-96-0, YM-440 212325-19-8, KRP297 244081-42-3, AJ9677 246852-12-0, Amlodipine mesylate 251572-86-8, P32/98 258345-41-4, GW-409544 282526-98-1, ATL-962 287714-41-4, Rosuvastatin 335149-08-1, L895645 335149-14-9, |

R-119702 335149-15-0, KAD1129 335149-17-2, AR-HO39242 335149-23-0,
 NVP-DPP-728A 335149-25-2, CP 331648 430433-17-3, Glipyride
 430433-43-5, CP 644673 440469-80-1, Axokine
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (coadministered agents; preparation of benzoxepinopyridines as HMG-CoA
 reductase inhibitors for treatment of hyperlipidemia,
 hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other
 disorders)

L9 ANSWER 6 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 140:31532 CA
 TITLE: Controlled-release drug composition containing
 pitavastatin
 INVENTOR(S): Tanizawa, Yoshio; Shimokawa, Tatsuharu; Ogawa,
 Hirotada; Watanabe, Mayumi; Ohashi, Chihiro;
 Kawashima, Hiroyuki; Shinoda, Yasuo; Inagi, Toshio
 PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan; Nissan Chemical Industries,
 Ltd.
 SOURCE: PCT Int. Appl., 44 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2003105848 | A1 | 20031224 | WO 2003-JP7605 | 20030616 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, RU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, RU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2003241671 | A1 | 20031231 | AU 2003-241671 | 20030616 <-- |
| US 20040018235 | A1 | 20040129 | US 2003-461432 | 20030616 |
| EP 1514547 | A1 | 20050316 | EP 2003-733434 | 20030616 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1662237 | A | 20050831 | CN 2003-814053 | 20030616 |
| HK 1082909 | A1 | 20071026 | HK 2006-102664 | 20060228 |
| PRIORITY APPLN. INFO.: | | | US 2002-388740P | P 20020617 |
| | | | WO 2003-JP7605 | W 20030616 |
| AB Disclosed is a controlled-release drug composition characterized by comprising a composition (A) that contains pitavastatin or its salt or ester and initiates release thereof at least in the stomach and an enteric composition (B) that contains pitavastatin or its salt or ester. The use of this controlled-release drug composition leads to prolonged appropriate maintenance, starting just after administration, of the blood level of pitavastatin, so that safe and highly effective reduction of the blood cholesterol level can be realized. Enteric-coated granules containing pitavastatin calcium and methacrylic acid-Me methacrylate copolymer (Eudragit L), etc., were | | | | |

prepared, and then further coated with pitavastatin calcium-containing layers to obtain controlled-release granules.

REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| PI | WO 2003105848 A1 20031224 | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|--------------|
| PI | WO 2003105848 | A1 | 20031224 | WO 2003-JP7605 | 20030616 <-- |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BE, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU | 2003241671 | A1 | 20031231 | AU 2003-241671 | 20030616 <-- |
| US | 20040018235 | A1 | 20040129 | US 2003-461432 | 20030616 |
| EP | 1514547 | A1 | 20050316 | EP 2003-733434 | 20030616 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, SK | | | | |
| CN | 1662237 | A | 20050831 | CN 2003-814053 | 20030616 |
| HK | 1082909 | A1 | 20071026 | HK 2006-102664 | 20060228 |
| AB | . . . pitavastatin, so that safe and highly effective reduction of the blood cholesterol level can be realized. Enteric-coated granules containing pitavastatin calcium and methacrylic acid-Me methacrylate copolymer (Eudragit L), etc., were prepared, and then further coated with pitavastatin calcium-containing layers to obtain controlled-release granules. | | | | |
| IT | 147511-69-1, Pitavastatin 147526-32-7 | | | | |
| RL | PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses) | | | | |
| | (controlled-release pitavastatin compns. containing enteric layers) | | | | |

| | | | |
|-------------------------|----------------|----|--|
| L9 | ANSWER 7 OF 38 | CA | COPYRIGHT 2008 ACS on STN 139:399770 CA |
| ACCESSION NUMBER: | | | |
| TITLE: | | | Medical goods comprising heparin or chitosan-based hemocompatible coating |
| INVENTOR(S): | | | Horres, Roland; Linssen, Marita Katharina; Hoffmann, Michael; Faust, Volker; Hoffmann, Erika; Di Biase, Donato |
| PATENT ASSIGNEE(S): | | | Hemotec G.m.b.H., Germany |
| SOURCE: | | | PCT Int. Appl., 93 pp. |
| | | | CODEN: PIXXD2 |
| DOCUMENT TYPE: | | | Patent |
| LANGUAGE: | | | German |
| FAMILY ACC. NUM. COUNT: | 2 | | |
| PATENT INFORMATION: | | | |

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|--------------|
| WO 2003094990 | A1 | 20031120 | WO 2003-DE1253 | 20030415 <-- |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, | | | |

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
 PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 DE 10221055 A1 20031127 DE 2002-10221055 20020510 <--
 DE 10221055 B4 20071025
 DE 10261986 A1 20040318 DE 2002-10261986 20020510
 DE 10261986 B4 20080131
 AU 2003240391 A1 20031111 AU 2003-240391 20030415 <--
 AU 2003240391 B2 20070517
 CA 2484269 A1 20031120 CA 2003-2484269 20030415 <--
 CN 1543362 A 20041103 CN 2003-800770 20030415
 EP 1501565 A1 20050202 EP 2003-729829 20030415
 EP 1501565 B1 20061102
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 BR 2003011446 A 20050315 BR 2003-11446 20030415
 CN 1665554 A 20050907 CN 2003-815926 20030415
 JP 2005534724 T 20051117 JP 2004-503070 20030415
 AT 344064 T 20061115 AT 2003-729829 20030415
 ES 2276065 T3 20070616 ES 2003-729829 20030415
 NZ 536331 A 20070831 NZ 2003-536331 20030415
 IN 2004MN00606 A 20050218 IN 2004-MN606 20041028
 ZA 2004008791 A 20050527 ZA 2004-8791 20041028
 ZA 2004008757 A 20050531 ZA 2004-8757 20041028
 US 20050176678 A1 20050811 US 2004-513982 20041108
 MX 2004PA11112 A 20050714 MX 2004-PA11112 20041109
 IN 2005MN01451 A 20070706 IN 2005-MN1451 20051230
 PRIORITY APPLN. INFO.: US 2002-378676P P 20020509
 DE 2002-10221055 A 20020510
 WO 2003-DE1253 W 20030415
 IN 2004-MN606 A3 20041028

AB The invention relates to oligo- and polysaccharides containing the sugar structural element N-acylglucosamine or N-acylgalactosamine, in addition to the use thereof for producing hemocompatible surfaces and to methods for coating surfaces in a hemocompatible manner with said oligo- and polysaccharides, which constitute the common biosynthetic precursor substances of heparin, heparan sulfates and chitosan. The invention also relates to methods for producing the oligo- and/or polysaccharides, in addition to diverse application options involving hemocompatible surfaces. The invention specifically relates to the use of the oligo- and/or polysaccharides on stents involving at least one hemocompatible coating that has been applied according to the invention and that contains an anti-proliferative, anti-inflammatory and/or thrombogenic active ingredient, to methods for producing said stents and to the use of the latter for preventing restenosis. Thus desulfated and reacetylated heparin was prepared; the Ac-heparin product was used for coating coronary metal stents. The stents were implanted in swines; after four weeks the animals were anesthetized and the artery segments removed for histomorphometric anal.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
 PI WO 2003094990 A1 20031120
 PATENT NO. KIND DATE APPLICATION NO. DATE

| | | | | | |
|---|---|----------|------------------|----------------|--------------|
| PI | WO 2003094990 | A1 | 20031120 | WO 2003-DE1253 | 20030415 <-- |
| | W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10221055 | A1 | 20031127 | DE 2002-10221055 | | 20020510 <-- |
| DE 10221055 | B4 | 20071025 | | | |
| DE 10261986 | A1 | 20040318 | DE 2002-10261986 | | 20020510 |
| DE 10261986 | B4 | 20080131 | | | |
| AU 2003240391 | A1 | 20031111 | AU 2003-240391 | | 20030415 <-- |
| AU 2003240391 | B2 | 20070517 | | | |
| CA 2484269 | A1 | 20031120 | CA 2003-2484269 | | 20030415 <-- |
| CN 1543362 | A | 20041103 | CN 2003-800770 | | 20030415 |
| EP 1501565 | A1 | 20050202 | EP 2003-729829 | | 20030415 |
| EP 1501565 | B1 | 20061102 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| BR 2003011446 | A | 20050315 | BR 2003-11446 | | 20030415 |
| CN 1665554 | A | 20050907 | CN 2003-815926 | | 20030415 |
| JP 2005534724 | T | 20051117 | JP 2004-503070 | | 20030415 |
| AT 344064 | T | 20061115 | AT 2003-729829 | | 20030415 |
| ES 2276065 | T3 | 20070616 | ES 2003-729829 | | 20030415 |
| NZ 536331 | A | 20070831 | NZ 2003-536331 | | 20030415 |
| IN 2004MN00606 | A | 20050218 | IN 2004-MN606 | | 20041028 |
| ZA 2004008791 | A | 20050527 | ZA 2004-8791 | | 20041028 |
| ZA 2004008757 | A | 20050531 | ZA 2004-8757 | | 20041028 |
| US 20050176678 | A1 | 20050811 | US 2004-513982 | | 20041108 |
| MX 2004PA11112 | A | 20050714 | MX 2004-PA11112 | | 20041109 |
| IN 2005MN01451 | A | 20070706 | IN 2005-MN1451 | | 20051230 |
| IT Calcium-binding proteins | | | | | |
| RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (S=100; medical goods comprising a heparin-based hemocompatible coating) | | | | | |
| IT 65277-42-1, Ketoconazole 65807-02-5, Goserelin 66107-60-6, Baccatin 67763-96-6, IGF-1 69306-88-3, Strychnophylline 69521-94-4, Thymosin α -1 70322-87-1, Vismidine B 70322-88-2, Vismidine A 71125-38-7, Meloxicam 71142-71-7, PPACK 71486-22-1, Vinorelbine 71610-00-9, Cephalomannine 71695-69-7, Baccharinoid B 1 71718-23-5, Baccharinoid B 7 71748-64-6, Baccharinoid B 2 72074-16-9, Baccharinoid B 3 73211-35-5 73981-34-7, Kamebakaurin 74045-97-9D, Psorospermin, derivs. 74863-84-6, Argatroban 75207-66-8, Longikaurin B 75330-75-5, Lovastatin 75607-67-9 75706-12-6, Leflunomide 78536-36-4, Excisanin B 78536-37-5, Excisanin A 79439-84-2, Yadanzioside P 79498-26-3, Leukamenin A 79498-27-4, Leukamenin B 79902-63-9, Simvastatin 80214-83-1, Roxithromycin 80890-47-7, Concanamycin 81093-37-0, Pravastatin 81103-11-9, Clarithromycin 82151-95-9D, derivs. 82410-32-0, Ganciclovir 82657-92-9, Prourokinase 83905-01-5, Azithromycin 84316-84-7, Maytenfoliol 85287-59-8, Sculponeatin C 85505-64-2, Vapiprost 85622-93-1, Temozolomide 85721-33-1, Ciprofloxacin 86293-25-6, Iso-iridogermanal 88418-46-6, Marchantin A | | | | | |

91161-71-6, Terbinafine 93957-54-1, Fluvastatin 94450-14-3
 95058-81-4, Gemcitabine 96203-70-2, Pancratistatine 97682-44-5,
 Irinotecan 97915-43-0, 1-Hydroxy-11-Methoxycanthin-6-one 98932-70-8,
 Foliomycin 99283-10-0, Molgramostim 99331-25-6, Triazolopyrimidine
 101391-05-3, Bruceanol B 101391-06-4, Bruceanol A 101560-00-3,
 Yadanzioside N 101809-47-6, Mansonine 102904-16-5, Mallotochromanol
 102904-17-6, Mallotolerin 103839-24-3, 1,11-Dimethoxycanthin-6-one
 104987-11-3, Tacrolimus 104987-12-4, Ascomycin 105608-32-0,
 Bryophyllin A 105661-18-5, Hippocaesculin 107868-30-4, Exemestane
 108736-35-2, Angiopeptin 108864-22-8, Tomenphantopin A 108864-23-9,
 Tomenphantopin B 109237-00-5, Stizophyllin 109351-36-2, Sinocoucline
 110024-07-2, Agrostistachin 110024-07-2D, Agrostistachin, derivs.
 110187-24-1, Maquiroside A 110300-76-0, Taxamairin A 110300-77-1,
 Taxamairin B 110942-02-4, Aldesleukin 112078-76-9, Bisparthenolidine
 112809-51-5, Letrozole 112965-21-6, Calcipotriol 114076-69-6,
 Agroskerin 114076-70-9 114586-21-9, Bruceanol C 114727-97-8,
 Cudraisoflavone A 114828-46-5, Periplocoside A 114977-28-5, Docetaxel
 116963-87-2, Manwuweizic acid 118711-55-0, Hyptistic acid A
 119188-33-9, Coronarin A 119188-35-1, Coronarin C 119188-37-3,
 Coronarin D 119188-38-4, Coronarin B 119459-76-6, Ghalakinoside
 120511-73-1, Anastrozole 121181-53-1, Filgrastim 123948-87-8,
 Topotecan 128270-60-0, Bivalirudin 129399-53-7,
 Isobutyrylmallotochromanol 130062-03-2, Larreaticin 130167-69-0,
 Pegaspargase 134523-00-5, Atorvastatin 135968-09-1, Lenogrestim
 137071-32-0, Pimecrolimus 138068-37-8, r-Hirudin 139639-23-9, Tissue
 plasminogen activator 140208-23-7, Plasminogen activator inhibitor-1
 143090-92-0, Anakinra 143653-53-6, Abciximab 145599-86-6, Cerivastatin
 147511-69-1, Pitavastatin 151499-39-7, Bafilomycin
 152044-53-6, Epothilone A 152044-54-7, Epothilone B 152923-56-3,
 Daclizumab 153212-75-0, 6 α -Hydroxy-Paclitaxel 154361-50-9,
 Capecitabine 159351-69-6, Everolimus 169590-42-5, Celecoxib
 179045-86-4, Basiliximab 180288-69-1, Trastuzumab 185077-23-0, PI 88
 185243-69-0, Etanercept 204205-90-3, D-24851 215647-85-1,
 Peginterferon alfa-2b 265646-19-3, Indanocine 287714-41-4,
 Rosuvastatin 625456-01-1, biological studies
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (medical goods comprising a heparin-based hemocompatible coating)

L9 ANSWER 8 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 139:391031 CA
 TITLE: Pitavastatin Inhibits Upregulation of Intermediate
 Conductance Calcium-Activated Potassium
 Channels and Coronary Arteriolar Remodeling Induced by
 Long-Term Blockade of Nitric Oxide Synthesis
 AUTHOR(S): Terata, Yutaka; Saito, Takashi; Fujiwara, Yoshimasa;
 Hasegawa, Hitoshi; Miura, Hiroto; Watanabe, Hiroyuki;
 Chiba, Yoshikatsu; Kibira, Satoshi; Miura, Mamoru
 CORPORATE SOURCE: Second Department of Internal Medicine, Akita
 University, Akita, Japan
 SOURCE: Pharmacology (2003), 68(4), 169-176
 CODEN: PHMGBN; ISSN: 0031-7012
 PUBLISHER: S. Karger AG
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB We have reported that intermediate conductance Ca²⁺-activated K⁺ channels
 (ImK) showed augmented expression in angiotensin II (AII) type 1
 receptor-dependent manner in post-ischemic rat heart. ImK has tyrosine

phosphorylation sequence in the C-terminus and motifs for NFkB and AP1 in the promoter. While statin inhibits AII-mediated vascular remodeling via anti-inflammatory effect independent of cholesterol lowering. To test the possible effect of statin on expression of ImK, Wistar-Kyoto rats received L-nitro-arginine Me ester (LNAME: 1 mg/mL in drinking water) for 4 wk in group L. While in L+P group, rats received both LNAME and pitavastatin (PTV: 1 mg/kg/day in drinking water). Temporal profile of ImK mRNA was examined by RT-PCR using specific primers for ImK. Long-term LNAME administration produced significant hypertension and resulted in marked microvascular remodeling characterized by medial thickening and perivascular fibrosis of coronary arterioles (100–200 μ m in diameter). RT-PCR revealed significant up-regulation of ImK mRNA with two distinct peaks in L group in the early phase (days 3–7) and the late phase (4 wk). PTV partially inhibited a rise in systolic blood pressure, but completely abolished the first peak of ImK upregulation (0.76 ± 0.04 vs. 3.96 ± 1.43 folds at day 7, $p < 0.001$). Co-treatments with PTV also significantly inhibited medial thickening and perivascular fibrosis. These findings indicate that statin inhibits microvascular remodeling induced by chronic inhibition of NO synthesis through the action independent of cholesterol lowering.

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

- TI Pitavastatin Inhibits Upregulation of Intermediate Conductance Calcium-Activated Potassium Channels and Coronary Arteriolar Remodeling Induced by Long-Term Blockade of Nitric Oxide Synthesis
 - SO Pharmacology (2003), 68(4), 169–176
 - CODEN: PHMGBN; ISSN: 0031-7012
 - ST pitavastatin statin calcium activated potassium channel NO coronary remodeling
 - IT Electric conductivity
 - (biol.; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)
 - IT Potassium channel
 - RL: BSU (Biological study, unclassified); BIOL (Biological study)
 - (calcium-activated; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)
 - IT Fibrosis
 - (cardiac, coronary arteriole fibrosis; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)
 - IT Cardiovascular agents
 - Cytoprotective agents
 - (cardioprotective agents; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)
 - IT Artery
 - (coronary, arteriole; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)
 - IT Heart, disease
 - (fibrosis, coronary arteriole fibrosis; pitavastatin inhibits

upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT Blood vessel
 (microvessel; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT Anti-inflammatory agents
 Remodeling
 (pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT 9028-35-7, NADPH-hydroxymethylglutaryl-CoA reductase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (inhibitors, statins; pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

IT 10102-43-9, Nitric oxide, biological studies 125978-95-2, NO synthase
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

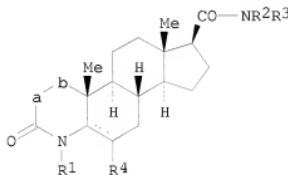
IT 147511-69-1, Pitavastatin
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)

L9 ANSWER 9 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 139:375605 CA
 TITLE: Synthesis and uses of 4-azasteroid derivatives as selective androgen receptor modulators (SARMs)
 INVENTOR(S): Wang, Jiabing; McVean, Carol A.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 181 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|--------------|
| WO 2003092588 | A2 | 20031113 | WO 2003-US13120 | 20030425 <-- |
| WO 2003092588 | A3 | 20040715 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, | | | |

| | | |
|--|-----------------|--------------|
| BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | |
| CA 2484173 A1 20031113 | CA 2003-2484173 | 20030425 <-- |
| AU 2003223754 A1 20031117 | AU 2003-223754 | 20030425 <-- |
| AU 2003223754 B2 20070816 | | |
| EP 1501512 A2 20050202 | EP 2003-719957 | 20030425 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | |
| JP 2005529897 T 20051006 | JP 2004-500773 | 20030425 |
| US 20050131005 A1 20050616 | US 2004-512800 | 20041027 |
| US 20060281761 A1 20061214 | US 2006-504325 | 20060814 |
| PRIORITY APPLN. INFO.: | US 2002-376779P | P 20020430 |
| | WO 2003-US13120 | W 20030425 |
| | US 2004-512800 | A1 20041027 |

OTHER SOURCE(S): MARPAT 139:375605
GI



AB Compds. of structural formula (I) are modulators of the androgen receptor (AR) in a tissue selective manner. They are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR in the prostate of a male patient or in the uterus of a female patient. These compds. are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, Alzheimer's disease, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

| PI | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------|--|-------|----------|-----------------|--------------|
| ----- | ----- | ----- | ----- | ----- | ----- |
| PI | WO 2003092588 | A2 | 20031113 | WO 2003-US13120 | 20030425 <-- |
| | WO 2003092588 | A3 | 20040715 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, | | | | |

UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2484173 A1 20031113 CA 2003-2484173 20030425 <--
 AU 2003223754 A1 20031117 AU 2003-223754 20030425 <--
 AU 2003223754 B2 20070816
 EP 1501512 A2 20050202 EP 2003-719957 20030425
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 JP 2005529897 T 20051006 JP 2004-500773 20030425
 US 20050131005 A1 20050616 US 2004-512800 20041027
 US 20060281761 A1 20061214 US 2006-504325 20060814

IT Receptors
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (calcium, antagonist, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT 7440-70-2, Calcium, biological studies
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (dietary supplements, in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)

IT 50-28-2, 17 β -Estradiol, biological studies 53-16-7, Estrone, biological studies 64-96-0 67-96-9, Dihydrotestosterone 67-98-1, Mer-25 68-22-4, Norethindrone 71-58-9, Medroxyprogesterone acetate 471-34-1, Calcium carbonate, biological studies 911-45-5, Clomiphene 1406-16-2, Vitamin D 1406-16-2D, Vitamin D, derivs. 1845-11-0, Nafoxidine 2809-21-4 4717-38-8, 17 β -Ethynodiol 5863-35-4, CI-628 7440-70-2D, Calcium, salts 7681-49-4, Sodium fluoride, biological studies 7693-13-2, Calcium citrate 9002-64-6, Parathyroid hormone 9002-64-6D, Parathyroid hormone, analog 9002-72-6, Somatotropin 9007-12-9, Calcitonin 10540-29-1, Tamoxifen 10596-23-3 12001-79-5, Vitamin K 12001-79-5D, Vitamin K, derivs. 15690-55-8, Zuclomiphene 15690-57-0, Encloimiphene 16984-48-8D, Fluoride, salts 19356-17-3 20859-36-3, Monosodium fluorophosphate 32222-06-3 35212-22-7, Ipriflavone 40391-99-9 41294-56-8 47931-85-1, Salmon calcitonin 52232-67-4, Human PTH (1-34) 54573-75-0 56287-31-1, CI-680 57333-95-6 57333-96-7 61912-98-9, Insulin-like growth factor 66376-36-1, Alendronate 67763-96-6, IGF I 67763-97-7, IGF II 75330-75-5, Lovastatin 75755-07-6 78994-23-7, Levormeloxifene 79778-41-9, Neridronate 79902-63-9, Simvastatin 81093-37-0, Pravastatin 82413-20-5, Dcloxifene 83805-11-2 84449-90-1, Raloxifene 89778-26-7, Toremifene 89987-06-4, Tiludronate 93957-54-1, Fluvastatin 103909-75-7, 22-Oxacalcitriol 104121-92-8, ED71 105462-24-6 106096-92-8, Acidic fibroblast growth factor 106096-93-9, Basic fibroblast growth factor 112965-21-6, Calcipotriol 114084-78-5, Ibandronate 116057-75-1, Idoxifene 118072-93-8, Zoledronate 118694-43-2, Ro 23-7553 121009-77-6 121268-17-5, Alendronate monosodium trihydrate 121368-58-9, Olpadronate 130447-37-9 131875-08-6, KH1060 134404-52-7, EB1089 134523-00-5, Atorvastatin 134523-84-5 138330-18-4, Incadronate 141750-63-2, Nisvastatin 145599-86-6, Cerivastatin 147511-69-1, Pitavastatin

180064-38-4 180916-16-9, Lasofoxifene 182133-25-1, Arzoxifene
 182167-02-8, EM-652 182167-03-9, EM-800 187483-31-4, U-100A
 193830-08-9, GDF5 198481-33-3, TSE 424 205944-50-9, Osteoprotegerin
 260055-05-8, Alendronate monosodium monohydrate 287714-41-4,
 Rosuvastatin 304853-26-7, Growth hormone secretagogue 583063-07-4,
 1-84-Parathormone (human)
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (in addition to SARMs treatment; synthesis and uses of 4-azasteroid
 derivs. as selective androgen receptor modulators (SARMs) in the
 treatment of androgen deficiency-related diseases)

L9 ANSWER 10 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 139:297028 CA
 TITLE: Remedies for glomerular diseases containing
 antiplatelet agents and HMG-CoA reductase inhibitors
 INVENTOR(S): Nakagawa, Takashi; Toyozumi, Sayaka; Isuge, Masako
 PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan; Nissan Chemical Industries,
 Ltd.
 SOURCE: PCT Int. Appl., 19 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|--|----------------|------------------|-------------|
| WO 2003082338 | A1 | 20031009 | WO 2003-JP3995 | 20030328 <- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| TW 290833 | B | 20071211 | TW 2003-92106940 | 20030327 |
| CA 2478017 | A1 | 20031009 | CA 2003-2478017 | 20030328 <- |
| AU 2003220958 | A1 | 20031013 | AU 2003-220958 | 20030328 <- |
| EP 1488808 | A1 | 20041222 | EP 2003-715612 | 20030328 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1642574 | A | 20050720 | CN 2003-807203 | 20030328 |
| US 20050256141 | A1 | 20051117 | US 2004-504851 | 20040826 |
| US 20060257474 | A1 | 20061116 | US 2006-434061 | 20060516 |
| PRIORITY APPLN. INFO.: | | | | |
| | | JP 2002-92238 | A 20020328 | |
| | | WO 2003-JP3995 | W 20030328 | |
| | | US 2004-504851 | B1 20040826 | |
| AB | Preventives or remedies for glomerular diseases comprising as the active ingredients an antiplatelet agent and an HMG-CoA reductase inhibitor. The above drugs are useful in preventing or treating various glomerular diseases such as chronic glomerular nephritis. The effect of administration of pitavastatin calcium in combination with dilazep hydrochloride in nephritis rats was examined A tablet containing | | | |

pitavastatin calcium 2, dilazep hydrochloride 100, lactose 70, low-substituted hydroxypropyl cellulose 20, hydroxypropyl cellulose 6, and magnesium stearate 2 mg was formulated.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| PI | WO 2003082338 A1 | 20031009 | KIND | DATE | APPLICATION NO. | DATE |
|----|---|----------|----------|------------------|-----------------|------|
| PI | WO 2003082338 | A1 | 20031009 | WO 2003-JP3995 | 20030328 | <-- |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UV, VC, VN, YU, ZA, ZM, ZW | | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| TW | 290833 | B | 20071211 | TW 2003-92106940 | 20030327 | |
| CA | 2478017 | A1 | 20031009 | CA 2003-2478017 | 20030328 | <-- |
| AU | 2003220958 | A1 | 20031013 | AU 2003-220958 | 20030328 | <-- |
| EP | 1488808 | A1 | 20041222 | EP 2003-715612 | 20030328 | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| CN | 1642574 | A | 20050720 | CN 2003-807203 | 20030328 | |
| US | 20050256141 | A1 | 20051117 | US 2004-504851 | 20040826 | |
| US | 20060257474 | A1 | 20061116 | US 2006-434061 | 20060516 | |

AB . . . are useful in preventing or treating various glomerular diseases such as chronic glomerular nephritis. The effect of administration of pitavastatin calcium in combination with dilazep hydrochloride in nephritis rats was examined. A tablet containing pitavastatin calcium 2, dilazep hydrochloride 100, lactose 70, low-substituted hydroxypropyl cellulose 20, hydroxypropyl cellulose 6, and magnesium stearate 2 mg was formulated.

IT 20153-98-4 147526-32-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(remedies for glomerular diseases containing antiplatelet agents and HMG-CoA reductase inhibitors)

IT 58-32-2, Dipyridamol 5011-34-7, Trimetazidine 15421-84-8, Trapidil 35898-87-4, Dilazep 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin 93957-54-1, Fluvastatin 134523-00-5, Atorvastatin 145599-86-6, Cerivastatin 147511-69-1, Pitavastatin 287714-41-4, Rosuvastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(remedies for glomerular diseases containing antiplatelet agents and HMG-CoA reductase inhibitors)

L9 ANSWER 11 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1391277056 CA

TITLE: Preparation of fluorinated 4-aza-androstan-3-one-17 β -carboxamide derivatives as androgen receptor modulators

INVENTOR(S): Meissner, Robert S.; Perkins, James J.

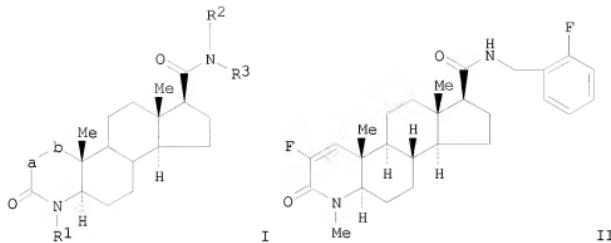
PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 95 pp.

CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2003077919 | A1 | 20030925 | WO 2003-US8277 | 20030307 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UV, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2478186 | A1 | 20030925 | CA 2003-2478186 | 20030307 <-- |
| AU 2003218235 | A1 | 20030929 | AU 2003-218235 | 20030307 <-- |
| AU 2003218235 | B2 | 20080515 | | |
| EP 1485095 | A1 | 20041215 | EP 2003-714228 | 20030307 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003008355 | A | 20050125 | BR 2003-8355 | 20030307 |
| CN 1652786 | A | 20050810 | CN 2003-810485 | 20030307 |
| JP 2005526082 | T | 20050902 | JP 2003-575972 | 20030307 |
| NZ 534946 | A | 20070531 | NZ 2003-534946 | 20030307 |
| RU 2320670 | C2 | 20080327 | RU 2004-130452 | 20030307 |
| IN 2004CN02007 | A | 20060224 | IN 2004-CN2007 | 20040908 |
| US 20050165039 | A1 | 20050728 | US 2004-507239 | 20040909 |
| US 7186838 | B2 | 20070306 | | |
| MX 2004PA08800 | A | 20041126 | MX 2004-PA8800 | 20040910 |
| NO 2004004312 | A | 20041012 | NO 2004-4312 | 20041012 |
| US 20070088042 | A1 | 20070419 | US 2006-605090 | 20061128 |
| PRIORITY APPLN. INFO.: | | | US 2002-363822P | P 20020313 |
| | | | WO 2003-US8277 | W 20030307 |
| | | | US 2004-507239 | A1 20040909 |

OTHER SOURCE(S): MARPAT 139:277056
 GI



AB Fluorinated 4-aza-androstan-3-one-17 β -carboxamide derivs., such as I [$a-b = CF_2CH$, CHFC $_2$, CF $_2CH_2$; R1 = H, CH2OH, (un)substituted alkyl; R2 = H, alkyl; R3 = alkyl, cycloheteroalkyl, aryl, heteroaryl; R2R3 = 5 or 6-membered ring fused with a 5- or 6-membered aromatic ring system having 0-2 heteroatoms], or a pharmaceutically acceptable salt or an enantiomer thereof, were prepared for their use as modulators of the androgen receptor (AR) in a tissue selective manner. Thus, 4-aza-androstan-3-one-17 β -carboxamide derivative II, was prepared via a multiple step reaction sequence starting from 4-methyl-4-aza-androstan-3-one-17-carboxylic acid Me ester and 2-fluoro-benzylamine. The prepared compds. are useful as agonists of the androgen receptor in bone and/or muscle tissue while antagonizing the AR in the prostate of a male patient or in the uterus of a female patient. I are therefore useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteoporosis, osteopenia, glucocorticoid-induced osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, obesity, aplastic anemia and other hematopoietic disorders, inflammatory arthritis and joint repair, HIV-wasting, prostate cancer, cancer cachexia, muscular dystrophies, premature ovarian failure, and autoimmune disease, alone or in combination with other active agents.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT.

| PI | WO 2003077919 A1 | 20030925 | RECORD: ALL CITATIONS AVAILABLE IN THE RE PORT | | | |
|----|------------------|--|--|-----------------|--|-------------|
| | PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
| PI | WO 2003077919 | A1 | 20030925 | WO 2003-US8277 | | 20030307--> |
| | W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, NI, NO, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: | GH, GM, KE, LS, MW, MD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, DT, TG | | | | |

CA 2478186 A1 20030925 CA 2003-2478186 20030307 <--
AU 2003218235 A1 20030929 AU 2003-218235 20030307 <--
AU 2003218235 B2 20080515
EP 1485095 A1 20041215 EP 2003-714228 20030307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, SK
BR 2003008355 A 20050125 BR 2003-8355 20030307
CN 1652786 A 20050810 CN 2003-810485 20030307
JP 200526082 T 20050902 JP 2003-575972 20030307
NZ 534946 A 20070531 NZ 2003-534946 20030307
RU 2320670 C2 20080327 RU 2004-130452 20030307
IN 2004CN0207 A 20060224 IN 2004-CN2007 20040908
US 20050165039 A1 20050728 US 2004-507239 20040909
US 7186838 B2 20070306
MX 2004PA08800 A 20041126 MX 2004-PA8800 20040910
NO 2004004312 A 20041012 NO 2004-4312 20041012
US 20070088042 A1 20070419 US 2006-605090 20061128

IT Receptors
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(calculus, antagonist, bone strengthening agents as adjuvant
therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17 β -
carboxamide derivs. as androgen receptor modulators and their
therapeutic uses)

IT Dietary supplements
(calculus, bone strengthening agents as adjuvant therapeutics;
preparation of fluorinated 4-aza-androstan-3-one-17 β -carboxamide
derivs. as androgen receptor modulators and their therapeutic uses)

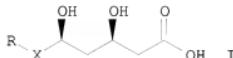
IT 50-28-2, 17 β -Estradiol, biological studies 53-16-7, Estrone,
biological studies 67-96-9, Dihydrotestosterone acetate 911-45-5,
68-22-4, Norethindrone 71-58-9, Medroxyprogesterone acetate 67-98-1, Mer-25
Clomiphene 1845-11-0, Nafoxidine 2809-21-4 4717-38-8,
17 β -Ethynodiol 5863-35-4, CI-628 7681-49-4, Sodium
fluoride, biological studies 9007-12-9, Calcitonin 10540-29-1,
TAMOXIFEN 10596-23-3 13598-36-2D, Phosphonic acid,
alkylidene-bis-derivs. 15690-55-8, Zuclophene 15690-57-0,
Enclomiphene 19356-17-3 20859-36-3, Monosodium fluorophosphate
32222-06-3 35212-22-7, Ipriflavone 40391-99-9 41294-56-8
50948-44-2, U-11, biological studies 54573-75-0 56287-31-1, CI-680
57333-95-6 57333-96-7 61912-98-9, Insulin-like growth factor
62031-54-3, Fibroblast growth factor 66376-36-1, Alendronate
75330-75-5, Lovastatin 75755-07-6 78994-23-7, Levormeloxifene
79778-41-9, Neridronate 79902-63-9, Simvastatin 81093-37-0,
Pravastatin 82413-20-5, Droloxifene 83805-11-2 84449-90-1,
Raloxifene 89778-26-7, Toremifene 89987-06-4, Tiludronate
93957-54-1, Fluvastatin 103909-75-7, 22-Oxacalcitriol 104121-92-8,
ED71 105462-24-6 112965-21-6, Calcipotriol 114084-78-5, Ibandronate
116057-75-1, Idoxifene 118072-93-8, Zoledronate 118694-43-2
121268-17-5, Alendronate monosodium trihydrate 121368-58-9, Olpadronate
130447-37-9 131875-08-6, KH1060 134404-52-7, EB1089 134523-00-5,
Atorvastatin 134523-84-5 138330-18-4, Incadronate 141750-63-2,
Nisvastatin 145599-86-6, Cerivastatin 147511-69-1,
Pitavastatin 180064-38-4 180916-16-9, Lasofloxifene 182167-02-8,
EM-652 182167-03-9, EM-800 187483-31-4, U-100A 198481-33-3, Tse-424
205944-50-9, Osteoprotogerin 260055-05-8, Alendronate monosodium
monohydrate 287714-41-4, Rosuvastatin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(bone strengthening agents as adjuvant therapeutics; preparation of

fluorinated 4-aza-androstan-3-one- β -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)
 IT 471-34-1, Calcium carbonate, biological studies 7693-13-2,
 Calcium citrate
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (dietary calcium supplement as adjuvant bone strengthening agents; preparation of fluorinated 4-aza-androstan-3-one- β -carboxamide derivs. as androgen receptor modulators and their therapeutic uses)

L9 ANSWER 12 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 139:214343 CA
 TITLE: Process for the manufacture of HMG-CoA reductase inhibitory mevalonic acid derivatives
 INVENTOR(S): Sedelmeier, Gottfried; Mathes, Christian
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
 SOURCE: PCT Int. Appl., 44 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2003070717 | A1 | 20030828 | WO 2003-EP1738 | 20030220 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW | | | | |
| RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, ER, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR | | | | |
| CA 2473075 | A1 | 20030823 | CA 2003-2473075 | 20030220 <-- |
| AU 2003218994 | A1 | 20030909 | AU 2003-218994 | 20030220 <-- |
| AU 2003218994 | B2 | 20070809 | | |
| EP 1478640 | A1 | 20041124 | EP 2003-714750 | 20030220 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003007801 | A | 20041221 | BR 2003-7801 | 20030220 |
| CN 1636004 | A | 20050706 | CN 2003-804288 | 20030220 |
| JP 2005520818 | T | 20050714 | JP 2003-569624 | 20030220 |
| NZ 534394 | A | 20061027 | NZ 2003-534394 | 20030220 |
| ZA 2004005436 | A | 20050617 | ZA 2004-5436 | 20040708 |
| US 20050159480 | A1 | 20050721 | US 2004-504655 | 20040813 |
| US 7208623 | B2 | 20070424 | | |
| IN 2004CN01834 | A | 20070921 | IN 2004-CN1834 | 20040817 |
| MX 2004PA08110 | A | 20041126 | MX 2004-PA8110 | 20040820 |
| NO 2004003919 | A | 20040920 | NO 2004-3919 | 20040920 |
| US 20070155970 | A1 | 20070705 | US 2007-684134 | 20070309 |
| PRIORITY APPLN. INFO.: | | | GB 2002-4129 | A 20020221 |
| | | | WO 2003-EP1738 | W 20030220 |
| | | | US 2004-504655 | A3 20040813 |

OTHER SOURCE(S): MARPAT 139:214343
 GI



AB Mevalonic acid derivs. I [R = cyclic residue; X = CH₂CH₂, CH:CH] are prepared by treating R₁R₂R₃P:CHCOCH₂CO₂R₄ [R₁-R₃ = (un)substituted Ph; R₄ = aliphatic, cycloaliph., aromatic] with RCHO, reducing the resulting RCH:CHCOCH₂CO₂R₄ in presence of a chiral metal BINAP or TsDPEN catalyst, treating the resulting alc. with an ester enolate, reducing the second oxo group, and hydrolyzing the ester group. Thus, ClCH₂COCH₂CO₂Et was treated with PPh₃ to give Ph₃P:CHCOCH₂CO₂Et which was treated with 2-cyclopropyl-4-(4-fluorophenyl)quinoline-3-carboxaldehyde to give (E)-5-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3-oxopent-4-enoic acid Et ester. This ester was reduced with Ru(1R,2R)-p-TsNCHPhCHPhNH₂ (η-p-cymene) and treated with Me₃COAc to give (E)-(S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-5-hydroxy-3-oxohept-4-enoic acid tert.-Bu ester which was reduced with MeOB₂Td and hydrolyzed to give (E)-(3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-4-enoic acid calcium salt.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| PI | WO 2003070717 A1 | 20030828 | | | |
|----|---|---|----------|-----------------|--------------|
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| PI | WO 2003070717 | A1 | 20030828 | WO 2003-EP1738 | 20030220 <-- |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW | | | | |
| | RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR | | | | |
| CA | 2473075 | A1 | 20030823 | CA 2003-2473075 | 20030220 <-- |
| AU | 2003218994 | A1 | 20030909 | AU 2003-218994 | 20030220 <-- |
| AU | 2003218994 | B2 | 20070809 | | |
| EP | 1478640 | A1 | 20041124 | EP 2003-714750 | 20030220 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR | 2003007801 | A | 20041221 | BR 2003-7801 | 20030220 |
| CN | 1636004 | A | 20050706 | CN 2003-804288 | 20030220 |
| JP | 2005520818 | T | 20050714 | JP 2003-569624 | 20030220 |
| NZ | 534394 | A | 20061027 | NZ 2003-534394 | 20030220 |
| ZA | 2004005436 | A | 20050617 | ZA 2004-5436 | 20040708 |
| US | 20050159480 | A1 | 20050721 | US 2004-504655 | 20040813 |
| US | 7208623 | B2 | 20070424 | | |
| IN | 2004CN01834 | A | 20070921 | IN 2004-CN1834 | 20040817 |
| MX | 2004PA08110 | A | 20041126 | MX 2004-PA8110 | 20040820 |
| NO | 2004003919 | A | 20040920 | NO 2004-3919 | 20040920 |
| US | 20070155970 | A1 | 20070705 | US 2007-684134 | 20070309 |
| AB | . . . | treated with Me ₃ COAc to give (E)-(S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-5-hydroxy-3-oxohept-4-enoic acid tert.-Bu | | | |

ester which was reduced with MeOBEt₂ and hydrolyzed to give (E)-(3R,5S)-7-[2-cyclopropyl-4-(4-fluorophenyl)quinolin-3-yl]-3,5-dihydroxyhept-4-enic acid calcium salt.

IT 13148-05-5P 106302-03-8P 194934-95-7P 194934-96-8P 194935-00-7P
 375846-20-1P 562099-44-9P 586966-50-9P 586966-51-0P 586966-52-1P
 586966-53-2P 586966-54-3P 586966-55-4P 586966-56-5P
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (process for the manufacture of HMG-CoA reductase inhibitory mevalonic acid derivs.)

IT 94061-80-0P 587840-28-6P
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (process for the manufacture of HMG-CoA reductase inhibitory mevalonic acid derivs.)

L9 ANSWER 13 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 139:191440 CA
 TITLE: Methods of treating or preventing a cardiovascular condition using a cyclooxygenase-1 inhibitor
 INVENTOR(S): Krul, Elaine S.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 32 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|--------------|
| US 20030162824 | A1 | 20030828 | US 2002-292255 | 20021112 <-- |
| PRIORITY APPLN. INFO.: | | | US 2001-331346P | P 20011112 |
| | | | US 2001-338291P | P 20011113 |

OTHER SOURCE(S): MARPAT 139:191440
 AB Methods for treating or preventing one or more cardiovascular conditions in a subject comprises treating the subject with a therapeutically effective amount of a selective cyclooxygenase-1 inhibitor or a pharmaceutically-acceptable salt, tautomer or prodrug thereof alone or in combination with either a drug used in the treatment or prevention of a cardiovascular condition or a non-drug therapy used in the treatment of a cardiovascular condition. Cyclooxygenase-1 inhibitor, 5-(4-Chlorophenyl)-1-(4-methoxyphenyl)-3-(trifluoromethyl)pyrazole (I), was prepared from 4'-chloroacetophenone and (4-methoxyphenyl)hydrazine hydrochloride. I inhibited development of atherosclerosis in cholesterol-fed apoE knockout mice.

PI US 20030162824 A1 20030828
 PATENT NO. KIND DATE APPLICATION NO. DATE
 ----- ----- ----- ----- -----

PI US 20030162824 A1 20030828 US 2002-292255 20021112 <--

IT Aneurysm

Angiotensin receptor antagonists
 Angiotensin receptor antagonists
 Anti-inflammatory agents
 Antiarteriosclerotics
 Antioxidants
 Arteriosclerosis

- Atherosclerosis
 - Calcium channel blockers
- Diuretics
- Drug delivery systems
- Embolism
- Human
- Kidney, disease
- Mammalia
- Radiotherapy
- Thrombosis
- Vasodilators
- α -Adrenoceptor antagonists
- β -Adrenoceptor antagonists
 - (cyclooxygenase-1 inhibitor for treating or preventing cardiovascular conditions)
- IT 66085-59-4, Nimodipine 101477-55-8, Lomerizine
 - RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (calcium channel blocker, cerebral vasodilator;
 - cyclooxygenase-1 inhibitor for treating or preventing cardiovascular conditions)
- IT 90-54-0, Etafenone 13042-18-7, Fendiline
 - RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (calcium channel blocker, coronary vasodilator;
 - cyclooxygenase-1 inhibitor for treating or preventing cardiovascular conditions)
- IT 298-57-7, Cinnarizine 2179-37-5, Bencyclane 52468-60-7, Flunarizine
 - RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (calcium channel blocker, vasodilator; cyclooxygenase-1 inhibitor for treating or preventing cardiovascular conditions)
- IT 52-53-9, Verapamil 390-64-7, Frenylanidine 3416-26-0, Lidoflazine
 - 6621-47-2, Perhexiline 15793-40-5, Terodiline 16662-47-8, Gallopamil 21829-25-6, Nifedipine 31309-39-4, Medipine 39562-70-4, Nitrendipine 42399-41-7, Diltiazem 55985-32-5, Nicardipine 63675-72-9, Nisoldipine 64706-54-3, Bepridil 72509-76-3, Felodipine 75530-68-6, Nilvadipine 75695-93-1, Isradipine 86780-90-7, Aranidipine 88150-42-9, Amlodipine 96125-53-0, Clentiazem 100427-26-7, Lercanidipine 103890-78-4, Lacidipine 104713-75-9, Barnidipine 105979-17-7, Benidipine 111011-63-3, Efonidipine 116476-13-2, Semotiadil 116644-53-2, Mibepradil 119413-55-7, Elgodipine 132203-70-4, Cilnidipine
 - RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (calcium channel blocker; cyclooxygenase-1 inhibitor for treating or preventing cardiovascular conditions)
 - IT 73573-88-3, Mevastatin 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin 93957-54-1, Fluvastatin 134523-00-5, Atorvastatin 147511-69-1, Pitavastatin 287714-41-4, Rosuvastatin
 - RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 - (lipid-lowering drug; cyclooxygenase-1 inhibitor for treating or preventing cardiovascular conditions)

TITLE: Asymmetric titanium mediated disilyloxydiene/aldehyde addition process for the preparation of δ -hydroxy- β -ketoesters.
 INVENTOR(S): Chen, Guang-Pei; Kapa, Prasad Koteswara; Loeser, Eric M.; Beutler, Ulrich; Zaugg, Werner; Grgis, Michael J.
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
 SOURCE: PCT Int. Appl., 53 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2003064382 | A2 | 20030807 | WO 2003-EP804 | 20030127 <-- |
| WO 2003064382 | A3 | 20031211 | | |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW | | | | |
| RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR | | | | |
| US 20030208072 | A1 | 20031106 | US 2003-350615 | 20030124 <-- |
| US 6835838 | B2 | 20041228 | | |
| CA 2472340 | A1 | 20030807 | CA 2003-2472340 | 20030127 <-- |
| EP 1472227 | A2 | 20041103 | EP 2003-734696 | 20030127 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR 2003007236 | A | 20041207 | BR 2003-7236 | 20030127 |
| JP 2005516064 | T | 20050602 | JP 2003-564005 | 20030127 |
| CN 1625550 | A | 20050608 | CN 2003-802877 | 20030127 |
| AU 2003239294 | B2 | 20061019 | AU 2003-239294 | 20030127 |
| NZ 534136 | A | 20070831 | NZ 2003-534136 | 20030127 |
| ZA 2004005239 | A | 20050617 | ZA 2004-5239 | 20040701 |
| US 20040249154 | A1 | 20041209 | US 2004-891357 | 20040714 |
| IN 2004CN01635 | A | 20060224 | IN 2004-CN1635 | 20040723 |
| MX 2004PA07308 | A | 20041029 | MX 2004-PAT7308 | 20040728 |
| NO 2004003586 | A | 20041007 | NO 2004-3586 | 20040827 |
| AU 2006225205 | A1 | 20061026 | AU 2006-225205 | 20061003 |
| AU 2006225206 | A1 | 20061026 | AU 2006-225206 | 20061003 |
| PRIORITY APPLN. INFO.: | | | US 2002-352316P | P 20020128 |
| | | | US 2002-383188P | P 20020524 |
| | | | US 2003-350615 | A3 20030124 |
| | | | WO 2003-EP804 | W 20030127 |

OTHER SOURCE(S): CASREACT 139:164712; MARPAT 139:164712
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A process for the preparation of I [R1 = (un)substituted (cyclo)alkyl, aralkyl; R2-7 = H, halo, OH, (un)substituted (cyclo)alkyl, aryl, aralkyl, etc.] and

analogs is disclosed. The process involves the Ti(OPr-i)4/(S)-BINOL mediated addition of II [R1 = as above; R, R' = alkyl] to III [R2-7 = as above]. For instance, II [R1 = Et; R, R' = Me] (preparation given) is reacted with III [R2 = F; R3-7 = H] (THF, 4Å mol. sieves, (S)-BINOL/Ti(OPr-i)4, 19°, 2 days) to give I [R1 = Et; R2 = F; R3-7 = H] in 81.6% yield (after purification) and the amount of undesired enantiomer was below the limit of detection. Addnl. examples demonstrated sidechain manipulation (to the $\delta(S)$ - $\beta(R)$ -ester) and subsequent conversion to pitavastatin (calcium salt) via the intermediacy of the 2H-pyranone. Exptl. details regarding mol. sieve preparation and their use in a fixed bed reactor are given.

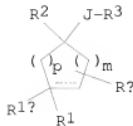
| PI | WO 2003064382 A2 | 20030807 | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|----------|------------|-----------------|--------------|-----------------|------|
| PI | WO 2003064382 | A2 | 20030807 | WO 2003-EP804 | 20030127 <-- | | |
| | WO 2003064382 | A3 | 20031211 | | | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR | | | | | | |
| | US 20030208072 | A1 | 20031106 | US 2003-350615 | 20030124 <-- | | |
| | US 6835838 | B2 | 20041228 | | | | |
| | CA 2472340 | A1 | 20030807 | CA 2003-2472340 | 20030127 <-- | | |
| | EP 1472227 | A2 | 20041103 | EP 2003-734696 | 20030127 | | |
| | R: AI, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | | |
| | BR 2003007236 | A | 20041207 | BR 2003-7236 | 20030127 | | |
| | JP 2005016064 | T | 20050602 | JP 2003-564005 | 20030127 | | |
| | CN 1625550 | A | 20050608 | CN 2003-802877 | 20030127 | | |
| | AU 2003239294 | B2 | 20061019 | AU 2003-239294 | 20030127 | | |
| | NZ 534136 | A | 20070831 | NZ 2003-534136 | 20030127 | | |
| | ZA 2004005239 | A | 20050617 | ZA 2004-5239 | 20040701 | | |
| | US 20040249154 | A1 | 20041209 | US 2004-891357 | 20040714 | | |
| | IN 2004CN01635 | A | 20060224 | IN 2004-CN1635 | 20040723 | | |
| | MX 2004PA07308 | A | 20041029 | MX 2004-PAT308 | 20040728 | | |
| | NO 2004003586 | A | 20041007 | NO 2004-3586 | 20040827 | | |
| | AU 2006225205 | A1 | 20061026 | AU 2006-225205 | 20061003 | | |
| | AU 2006225206 | A1 | 20061026 | AU 2006-225206 | 20061003 | | |
| AB | . . . enantiomer was below the limit of detection. Addnl. examples demonstrated sidechain manipulation (to the $\delta(S)$ - $\beta(R)$ -ester) and subsequent conversion to pitavastatin (calcium salt) via the intermediacy of the 2H-pyranone. Exptl. details regarding mol. sieve preparation and their use in a fixed bed. | | | | | | |
| IT | 13257-83-5P, 3-((Trimethylsilyanyl)oxy)but-2-enoic acid ethyl ester 89186-81-2P, 1-Ethoxy-1,3-bis(trimethylsilyloxy)butan-1,3-diene 141750-63-2P 167073-19-0P 254452-91-0P 574705-92-3P RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (asym. titanium mediated disilyloxydiene/aldehyde addition process for preparation of δ -hydroxy- β -ketoesters) | | | | | | |
| IT | 147526-32-7P 562099-39-2P 562099-40-5P 562099-41-6P 562099-43-8P 573649-74-8P 573649-75-9P RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP | | | | | | |

(Preparation)
 (asym. titanium mediated disilyloxydiene/aldehyde addition process for preparation of δ -hydroxy- β -ketoesters)

L9 ANSWER 15 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 139:164542 CA
 TITLE: Preparation of cycloalkyl inhibitors of potassium channel function for preventing/treating arrhythmia and IKur-associated conditions
 INVENTOR(S): Lloyd, John; Jeon, Yoon T.; Finlay, Heather; Yan, Lin; Gross, Michael F.; Beaudooin, Serge
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA; Icagen, Inc.
 SOURCE: PCT Int. Appl., 312 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2003063797 | A2 | 20030807 | WO 2003-US3170 | 20030131 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2474451 | A1 | 20030807 | CA 2003-2474451 | 20030131 <-- |
| US 20040072880 | A1 | 20040415 | US 2003-356158 | 20030131 |
| EP 1507504 | A1 | 20050223 | EP 2003-735126 | 20030131 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CN 1732146 | A | 20060208 | CN 2003-807570 | 20030131 |
| JP 2006508016 | T | 20060309 | JP 2003-563493 | 20030131 |
| BR 2003007329 | A | 20060411 | BR 2003-7329 | 20030131 |
| NZ 534098 | A | 20070427 | NZ 2003-534098 | 20030131 |
| IN 2004DN02052 | A | 20050401 | IN 2004-DN2052 | 20040716 |
| MX 2004PA07365 | A | 20050331 | MX 2004-PA7365 | 20040729 |
| NO 2004003645 | A | 20040831 | NO 2004-3645 | 20040831 |
| US 20050234106 | A1 | 20051020 | US 2004-997734 | 20041124 |
| US 7202253 | B2 | 20070410 | | |
| ZA 2004005905 | A | 20060531 | ZA 2004-5905 | 20060313 |
| US 20070142333 | A1 | 20070621 | US 2007-670482 | 20070202 |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 2002-353884P | P 20020201 |
| | | | US 2003-356158 | B1 20030131 |
| | | | WO 2003-US3170 | W 20030131 |
| | | | US 2004-997734 | A3 20041124 |

OTHER SOURCE(S): MARPAT 139:164542
 GI



AB Claimed are novel cycloalkyl compds. (shown as I; variables defined below; e.g. cis- and trans-N-(4-hydroxy-1-thiophen-2-ylcyclohexylimethyl)-2-methoxybenzamide and trans-N-[4-[N'-cyano-N''-ethyl-N-(furan-2-ylmethyl)guanidino]-1-phenylcyclohexyl]methyl]-2-methoxybenzamide) useful as inhibitors of K channel function (especially inhibitors of the Kv1 subfamily of voltage gated K⁺ channels, especially inhibitors Kv1.5 which was linked to the ultra-rapidly activating delayed rectifier K⁺ current IKur; no data), methods of using such compds. in the prevention and treatment of arrhythmia and IKur-associated conditions, and pharmaceutical compns. containing

such compds. For I: dashed line = an optional double bond, provided that R1a is absent when a double bond is present; m and p = 0-3; R1 = H, NR8C(W)NR6R7 (W = NR8a2, NCO2R8a2, NC(O)R8a2, NCN, NSO2R8a2), NR8SO2NR6R7, etc.; R1a = H, RX; or R1 and R1a together form oxo; or R1 and R1a together with the C atom to which they are attached combine to form an (un)substituted spiro-fused heterocyclo group; or R1 and R1a together combine to form :CR8R9. R2 is heteroaryl, (heteroaryl)alkyl, aryl, (aryl)alkyl, heterocyclo, (heterocyclo)cycloalkyl, alkyl, alkenyl or cycloalkyl; J is a bond, C1-4 alkylene or C1-4 alkenylene; R3 = R5 (R5 = NR6aR7a, heteroaryl, (heteroaryl)alkyl, aryl, arylalkyl, alkyl, etc.), OR5, C(:Z1)R5, OC(:Z1)OR5, C(:Z1)R5, NR8a1C(:Z1)R5, etc.; RX is one or more optional substituents, attached to any available ring carbon atom; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, >600 example prepns. are included.

PI WO 2003063797 A2 20030807

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| PI WO 2003063797 | A2 | 20030807 | WO 2003-US3170 | 20030131 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2474451 | A1 | 20030807 | CA 2003-2474451 | 20030131 <-- |
| US 20040072880 | A1 | 20040415 | US 2003-356158 | 20030131 |
| EP 1507504 | A1 | 20050223 | EP 2003-735126 | 20030131 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CN 1732146 | A | 20060208 | CN 2003-807570 | 20030131 |
| JP 2006508016 | T | 20060309 | JP 2003-563493 | 20030131 |
| BR 2003007329 | A | 20060411 | BR 2003-7329 | 20030131 |

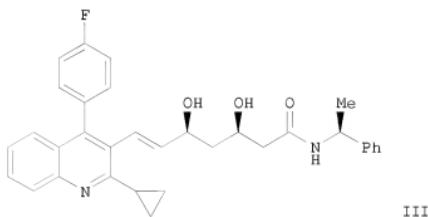
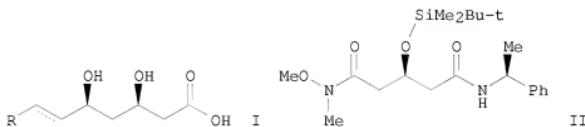
| | | | | | | |
|----|---|---|--------------------------|--------------|-------------|----------|
| NZ | 534098 | A | 20070427 | NZ | 2003-534098 | 20030131 |
| IN | 2004DN02052 | A | 20050401 | IN | 2004-DN2052 | 20040716 |
| MX | 2004PA07365 | A | 20050331 | MX | 2004-PA7365 | 20040729 |
| NO | 2004003645 | A | 20040831 | NO | 2004-3645 | 20040831 |
| US | 20050234106 | A1 | 20051020 | US | 2004-997734 | 20041124 |
| US | 7202253 | B2 | 20070410 | | | |
| ZA | 2004005905 | A | 20060531 | ZA | 2004-5905 | 20060313 |
| US | 20070142333 | A1 | 20070621 | US | 2007-670482 | 20070202 |
| IT | Angiotensin receptor antagonists | | | | | |
| | Anticoagulants | | | | | |
| | Antihypertensives | | | | | |
| | Calcium channel blockers | | | | | |
| | Platelet aggregation inhibitors | | | | | |
| | β -Adrenoceptor antagonists | | | | | |
| | (combined with cycloalkyl inhibitors of potassium channel function for preventing/treating arrhythmia and IKur-associated conditions) | | | | | |
| IT | 50-78-2, Aspirin | 52-01-7, Spironolactone | 52-53-9, Verapamil | | | |
| | 56-03-1D, Biguanide, derivs. | 81-81-2, Warfarin | 630-60-4, Ouabain | | | |
| | 3930-20-9, Sotalol | 9005-49-6D, Heparin, derivs. | 10238-21-8D, | | | |
| | Glyburide, combinations with biguanide | 42399-41-7, Diltiazem | | | | |
| | 62571-86-2, Captopril | 75330-75-5, Lovastatin | 75847-73-3, Enalapril | | | |
| | 76547-98-3, Lisinopril | 79902-63-9, Simvastatin | 81093-37-0, Pravastatin | | | |
| | 81872-10-8, Zofenopril | 82924-03-6, Pentopril | 83435-66-9, Delapril | | | |
| | 85441-61-8, Quinapril | 87333-19-5, Ramipril | 88768-40-5, Cilazapril | | | |
| | 98048-97-6, Fosinopril | 107724-20-9, Eplerenone | 111223-26-8 | | | |
| | 113665-84-2, Clopidogrel | 115256-11-6, Dofetilide | 134523-00-5, | | | |
| | Atorvastatin | 143443-90-7, Ifetroban | 147511-69-1 | 160135-92-2, | | |
| | Gemopatrilat | 167305-00-2, Omapatrilat | 171870-23-8, Lanoteplase | | | |
| | 191588-94-0, Tenecteplase | 287714-41-4, Rosuvastatin | | | | |
| | RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) | (combined with cycloalkyl inhibitors of potassium channel function for preventing/treating arrhythmia and IKur-associated conditions) | | | | |

L9 ANSWER 16 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 139:149536 CA
 TITLE: Preparation of an asymmetric β,δ -dihydroxycarboxylic acid side chain used for the manufacture of a HMG-CoA reductase inhibitors
 INVENTOR(S): Acemoglu, Murat; Riss, Bernhard
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
 SOURCE: PCT Int. Appl., 51 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| ----- | ---- | ----- | ----- | ----- |
| WO 2003064392 | A1 | 20030807 | WO 2003-EP954 | 20030130 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, | | | | |

| SK, TR | | | | | | | |
|------------------------|---|----|----------|----|--------------|----------|----------|
| CA | 2472776 | A1 | 20030807 | CA | 2003-2472776 | 20030130 | <-- |
| EP | 1472228 | A1 | 20041103 | EP | 2003-734716 | 20030130 | |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | | |
| BR | 2003007303 | A | 20050111 | BR | 2003-7303 | 20030130 | |
| CN | 1622937 | A | 20050601 | CN | 2003-802740 | 20030130 | |
| JP | 2005520814 | T | 20050714 | JP | 2003-564015 | 20030130 | |
| NZ | 534232 | A | 20060331 | NZ | 2003-534232 | 20030130 | |
| AU | 2003226971 | B2 | 20061130 | AU | 2003-226971 | 20030130 | |
| RU | 2299196 | C2 | 20070520 | RU | 2004-126442 | 20030130 | |
| ZA | 2004005322 | A | 20050617 | ZA | 2004-5322 | 20040705 | |
| US | 20050070605 | A1 | 20050331 | US | 2004-502177 | 20040721 | |
| US | 7371865 | B2 | 20080513 | | | | |
| IN | 2004CN01647 | A | 20060512 | IN | 2004-CN1647 | 20040726 | |
| MX | 2004PA07396 | A | 20041011 | MX | 2004-PA7396 | 20040730 | |
| NO | 2004003611 | A | 20040830 | NO | 2004-3611 | 20040830 | |
| US | 20080182873 | A1 | 20080731 | US | 2008-54193 | 20080324 | |
| PRIORITY APPLN. INFO.: | | | | US | 2002-353787P | P | 20020131 |
| | | | | WO | 2003-EFP954 | W | 20030130 |
| | | | | US | 2004-502177 | A1 | 20040721 |

OTHER SOURCE(S): MARPAT 139:149536
GI



AB A process for the stereoselective preparation of a β,δ -dihydroxycarboxylic acid I [R = cyclic residue] is disclosed. For instance, glutaric acid diamide analog II (preparation given) is reacted with methanephosphonic acid di-Et ester (THF, n-BuLi, -78°) and the resulting phosphonate condensed with [2-cyclopentyl-4-(4-fluorophenyl)quinolin-3-yl]carboxaldehyde (i-ProOH, CsCO₃) to give the

corresponding E-olefin. This intermediate is deprotected and reduced (THF, NaBH4, Me2BOMe, -78°, 30 min) to give III. Addnl. examples demonstrate the conversion of III (optionally via the intermediary of a 2H-pyran intermediate) to pitavastatin (calcium salt).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| PI | WO 2003064392 A1 20030807 | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|--------------|
| PI | WO 2003064392 | A1 | 20030807 | WO 2003-EP954 | 20030130 <-- |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR | | | | |
| CA | 2472776 | A1 | 20030807 | CA 2003-2472776 | 20030130 <-- |
| EP | 1472228 | A1 | 20041103 | EP 2003-734716 | 20030130 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| BR | 2003007303 | A | 20050111 | BR 2003-7303 | 20030130 |
| CN | 1622937 | A | 20050601 | CN 2003-802740 | 20030130 |
| JP | 2005520814 | T | 20050714 | JP 2003-564015 | 20030130 |
| NZ | 534232 | A | 20060331 | NZ 2003-534232 | 20030130 |
| AU | 2003226971 | B2 | 20061130 | AU 2003-226971 | 20030130 |
| RU | 2299196 | C2 | 20070520 | RU 2004-126442 | 20030130 |
| ZA | 2004005322 | A | 20050617 | ZA 2004-5322 | 20040705 |
| US | 20050070605 | A1 | 20050331 | US 2004-502177 | 20040721 |
| US | 7371865 | B2 | 20080513 | | |
| IN | 2004CN01647 | A | 20060512 | IN 2004-CN1647 | 20040726 |
| MX | 2004PA07396 | A | 20041011 | MX 2004-PA7396 | 20040730 |
| NO | 2004003611 | A | 20040830 | NO 2004-3611 | 20040830 |
| US | 20080182873 | A1 | 20080731 | US 2008-54193 | 20080324 |

AB . . . to give III. Addnl. examples demonstrate the conversion of III (optionally via the intermediary of a 2H-pyran intermediate) to pitavastatin (calcium salt).

IT 94061-80-OP 147526-32-7P, Pitavastatin hemicalcium

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(preparation of an asym. β,δ-dihydroxycarboxylic acid side chain used for manufacture of a HMG-CoA reductase inhibitors)

L9 ANSWER 17 OF 38 CA COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 139:90459 CA
TITLE: Use of an immediate-release powder in pharmaceutical and nutraceutical compositions
INVENTOR(S): Besse, Jerome; Besse, Laurence
PATENT ASSIGNEE(S): Fr.
SOURCE: U.S. Pat. Appl. Publ., 5 pp.
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| US 20030124191 | A1 | 20030703 | US 2002-106923 | 20020325 <-- |
| FR 2834212 | A1 | 20030704 | FR 2001-16934 | 20011227 <-- |
| FR 2834212 | B1 | 20040709 | | |
| CA 2471903 | A1 | 20030710 | CA 2002-2471903 | 20021227 <-- |
| WO 2003055464 | A1 | 20030710 | WO 2002-FR4575 | 20021227 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002364489 | A1 | 20030715 | AU 2002-364489 | 20021227 <-- |
| EP 1458356 | A1 | 20040922 | EP 2002-799854 | 20021227 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| BR 2002015380 | A | 20041207 | BR 2002-15380 | 20021227 |
| JP 2005520799 | T | 20050714 | JP 2003-556042 | 20021227 |
| HU 2005000509 | A2 | 20050928 | HU 2005-509 | 20021227 |
| RU 2302232 | C2 | 20070710 | RU 2004-122919 | 20021227 |
| MX 2004PA06181 | A | 20050419 | MX 2004-PAG181 | 20040622 |
| NO 200403172 | A | 20040914 | NO 2004-3172 | 20040726 |
| US 20050118272 | A1 | 20050602 | US 2005-500213 | 20050204 |
| PRIORITY APPLN. INFO.: | | | FR 2001-16934 | A 20011227 |
| | | | WO 2002-FR4575 | W 20021227 |
| AB The present invention relates to the use of a powder comprising at least one active substance, at least one surfactant, at least one wetting agent and at least one diluent, for preparing a pharmaceutical or nutraceutical composition, this composition allowing rapid and immediate release of the active substance. Granules containing phloroglucinol 10, sorbitol 89, and propylene glycol 1% were prepared | | | | |
| PI US 20030124191 A1 20030703 | | | | |
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| PI US 20030124191 | A1 | 20030703 | US 2002-106923 | 20020325 <-- |
| FR 2834212 | A1 | 20030704 | FR 2001-16934 | 20011227 <-- |
| FR 2834212 | B1 | 20040709 | | |
| CA 2471903 | A1 | 20030710 | CA 2002-2471903 | 20021227 <-- |
| WO 2003055464 | A1 | 20030710 | WO 2002-FR4575 | 20021227 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002364489 | A1 | 20030715 | AU 2002-364489 | 20021227 <-- |
| EP 1458356 | A1 | 20040922 | EP 2002-799854 | 20021227 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
 BR 2002015380 A 20041207 BR 2002-15380 20021227
 JP 2005520799 T 20050714 JP 2003-556042 20021227
 HU 2005000509 A2 20050928 HU 2005-509 20021227
 RU 2302232 C2 20070710 RU 2004-122919 20021227
 MX 2004PA06181 A 20050419 MX 2004-PA6181 20040622
 NO 2004003172 A 20040914 NO 2004-3172 20040726
 US 20050118272 Al 20050602 US 2005-500213 20050204
 IT 50-03-3, Hydrocortisone acetate 50-23-7, Hydrocortisone 50-28-2,
 Oestradiol, biological studies 50-28-2D, Oestradiol, derivs. 50-70-4,
 Sorbitol, biological studies 50-99-7, Dextrose, biological studies
 51-34-3, Scopolamine 51-98-9, Norethisterone acetate 54-11-5, Nicotine
 54-21-7, Sodium salicylate 55-63-0, Trinitrin 56-81-5, Glycerol,
 biological studies 57-09-0, Cetrimonium bromide 57-13-6, Urea,
 biological studies 57-47-6, Physostigmine 57-48-7, Fructose,
 biological studies 57-50-1, Sucrose, biological studies 57-55-6,
 Propylene glycol, biological studies 57-63-6, Ethynodiol oestradiol
 57-83-0, Progesterone, biological studies 58-08-2, Caffeine, biological
 studies 58-22-0, Testosterone 59-66-5, Acetazolamide 60-40-2,
 Mecamylamine 63-42-3, Lactose 64-17-5, Ethanol, biological studies
 67-73-2, Fluocinolone acetonide 69-65-8, Mannitol 71-52-3,
 Bicarbonate, biological studies 81-13-0, Dexpanthenol 87-33-2,
 Isosorbide dinitrate 87-99-0, Xylitol 89-78-1, Menthol) 94-36-0,
 Benzoyl peroxide, biological studies 97-53-0, Eugenol 101-20-2,
 Triclocarban 106-24-1, Geraniol 106-25-2, Nerol 106-60-5,
 5-Aminolevulinic acid 108-73-6, Phloroglucinol 110-27-0, Isopropyl
 myristate 112-62-9, Methyl oleate 112-80-1, Oleic acid, biological
 studies 113-45-1, Methyl phenidate 114-07-8, Erythromycin 123-03-5,
 Cetylpyridinium chloride 124-94-7, Triamcinolone 137-58-6, Lidocaine
 139-33-3 143-07-7, Lauric acid, biological studies 144-80-9,
 Sulphacetamide 145-42-6, Sodium taurocholate 147-24-0, Diphenhydramine
 hydrochloride 151-21-3, Sodium lauryl sulphate, biological studies
 152-97-6, Fluocortolone 302-79-4, Tretinoin 303-40-2, Fluocortolone
 hexanoate 356-12-7, Fluocinolide 437-38-7, Fentanyl 443-48-1,
 Metronidazole 470-82-6, Eucalyptol 471-34-1, Calcium
 carbonate, biological studies 497-19-8, Sodium carbonate, biological
 studies 521-18-6, Dihydrotestosterone 585-86-4, Lactitol 611-53-0,
 Ibacitabine 638-94-8, Desonide 645-92-1 745-65-3, Alprostadil
 797-63-7, Levonorgestrel 863-57-0, Sodium glycocholate 1180-95-6,
 Sodium taurodeoxycholate 2002-29-1, Flumetasone pivalate 2152-44-5,
 Betamethasone valerate 2438-72-4, Bufexamac 3764-87-2 4205-90-7,
 Clonidine 4394-00-7, Niflumic acid 4759-48-2, Isotretinoin
 4985-25-5, Pyrazinobutazone 5104-49-4, Flurbiprofen 5593-20-4,
 Betamethasone dipropionate 5633-20-5, Oxybutynin 5716-20-1, Bamethan
 sulfate 6805-41-0, Escin 7757-93-9, Dibasic calcium
 phosphate 7758-87-4, Tribasic calcium phosphate 7759-35-5,
 Nestorone 7778-18-9, Calcium sulphate 9000-30-0, Guar gum
 9002-72-6, Growth hormone 9003-39-8, Povidone 9004-10-8, Insulin,
 biological studies 9004-32-4, Sodium carboxymethylcellulose 9004-53-9,
 Dextrins 9004-57-3, Ethylcellulose 9004-65-3,
 Hydroxypropylmethylcellulose 9004-67-5, Methylcellulose 9005-25-8,
 Starch, biological studies 9005-32-7, Alginic acid 9005-63-4D,
 Polyoxethylene sorbitan, esters with fatty acids 9005-65-6, Polysorbate
 80 9042-14-2, Dextran sulphate 9087-70-1, Aprotinin 12619-70-4,
 Cyclodextrins 12794-10-4, Benzodiazepine 14611-51-9, Selegiline
 15307-86-5, Diclofenac 15687-27-1, Ibuprofen 16409-34-0, Sodium

glycodeoxycholate 18559-94-9, Salbutamol 19216-56-9, Prazosin
 22071-15-4, Ketoprofen 22832-87-7, Miconazole nitrate 22916-47-8,
 Miconazole 23674-86-4, Difluprednate 24169-02-6, Econazole nitrate
 25122-46-7, Clobetasol propionate 25322-68-3, Polyethylene glycol
 25655-41-8, Povidone Iodine 25717-80-0, Molsidomine 28981-97-7,
 Alprozolam 29205-06-9, Fluocortolone pivalate 29679-58-1, Fenoprofen
 29984-33-6, Vitarabine monophosphate 34580-13-7, Ketotifen 36322-90-4,
 Piroxicam 36505-84-7, Buspirone 38304-91-5, Minoxidil 39219-28-8,
 Promestriene 39404-33-6, Dextrates 39809-25-1, Penciclovir
 41570-61-0, Tulobuterol 51022-69-6, Amcinnide 52485-79-7,
 Buprenorphine 53016-31-2, Norelgestromin 59198-70-8, Diflucortolone
 Valerate 59227-89-3, Azone 59277-89-3, Acyclovir 60282-87-3,
 Gestodene 65277-42-1, Ketoconazole 66104-22-1, Pergolide 66734-13-2,
 Alclometasone dipropionate 72522-13-5, Eptazocine 74103-06-3,
 Ketonolac 80214-83-1, Roxithromycin 99011-02-6, Imitiquimod
 99755-59-6, Rotigotine 106685-40-9, Adapalene 113775-47-6,
 Dexmedetomidine 118292-40-3, Tazarotene 119141-88-7, Esomeprazole
 122852-42-0, Alosetron 129722-12-9, Aripiprazole 133099-04-4,
 Darifenacin 137234-62-9, Voriconazole 141563-69-1, OrZel
 143322-58-1, Eletriptan 145158-71-0, Tegaserod 145209-50-3,
 Thiatolserine 145375-43-5, Mitiglinide 147511-69-1,
 Pitavastatin 147657-22-5, Calcipotriol monohydrate 153259-65-5,
 Cilomilast 154189-24-9, Vizcan 159776-70-2, Melagatran 163222-33-1
 167305-00-2, Omapatrilat 178979-85-6, Capravirine 179463-17-3,
 Caspofungin acetate 181695-72-7, Valdecoxib 198470-84-7, Parecoxib
 202409-33-4, Etoricoxib 287714-41-4, Rosuvastatin 552881-25-1,
 Crilanolamer

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (use of immediate-release powder in pharmaceutical and nutraceutical
 compns.)

L9 ANSWER 18 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 138:343864 CA
 TITLE: In vivo delivery methods and compositions
 INVENTOR(S): Kensey, Kenneth
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 45 pp., Cont.-in-part of U.S.
 Ser. No. 819,924.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 8
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|--|----------|-----------------|--------------|
| US 20030078517 | A1 | 20030424 | US 2001-839785 | 20010420 <-- |
| US 6019735 | A | 20000201 | US 1997-919906 | 19970828 <-- |
| CA 2301161 | A1 | 19990304 | CA 1998-2301161 | 19980826 <-- |
| WO 9910724 | A2 | 19990304 | WO 1998-US17657 | 19980826 <-- |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW | | | |
| RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, | | | |

| MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
|---|----|-----------------|-----------------|--------------|
| HU 2001000201 | A2 | 20010528 | HU 2001-201 | 19980826 <-- |
| HU 2001000201 | A3 | 20040329 | | |
| NZ 502905 | A | 20010831 | NZ 1998-502905 | 19980826 <-- |
| JP 2001514384 | T | 20010911 | JP 2000-507994 | 19980826 <-- |
| US 6322524 | B1 | 20011127 | US 1999-439795 | 19991112 <-- |
| US 6322525 | B1 | 20011127 | US 2000-501856 | 20000210 <-- |
| NO 2000000944 | A | 20000225 | NO 2000-944 | 20000225 <-- |
| MX 200002073 | A | 20010821 | MX 2000-2073 | 20000228 <-- |
| US 6428488 | B1 | 20020806 | US 2000-615340 | 20000712 <-- |
| WO 2002009583 | A2 | 20020207 | WO 2001-US23696 | 20010730 <-- |
| WO 2002009583 | A3 | 20020425 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, BE, CY, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| WO 2002043806 | A2 | 20020606 | WO 2001-US44352 | 20011127 <-- |
| WO 2002043806 | A3 | 20030327 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002026986 | A | 20020611 | AU 2002-26986 | 20011127 <-- |
| US 20020088953 | A1 | 20020711 | US 2001-33841 | 20011227 <-- |
| US 6624435 | B2 | 20030923 | | |
| WO 2002079778 | A2 | 20021010 | WO 2002-US3984 | 20020207 <-- |
| WO 2002079778 | A3 | 20030710 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20020184941 | A1 | 20021212 | US 2002-156165 | 20020528 <-- |
| US 6571608 | B2 | 20030603 | | |
| PRIORITY APPLN. INFO.: | | | | |
| | | US 1997-919906 | A2 19970828 | |
| | | US 1999-439795 | A2 19991112 | |
| | | US 2000-501856 | A2 20000210 | |
| | | US 2000-628401 | A2 20000801 | |
| | | US 2000-727950 | B2 20001201 | |
| | | US 2001-819924 | A2 20010328 | |
| | | US 1997-966076 | A 19971107 | |
| | | WO 1998-US17657 | W 19980826 | |

| | | | |
|----|--------------|----|----------|
| US | 2000-615340 | A3 | 20000712 |
| US | 2000-228612P | P | 20000828 |
| US | 2001-789350 | B2 | 20010221 |
| US | 2001-828761 | A | 20010409 |
| US | 2001-839785 | A | 20010420 |
| US | 2001-841389 | A | 20010424 |
| US | 2001-897164 | A3 | 20010702 |
| WO | 2001-US44352 | W | 20011127 |

AB Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least 1 drug. Agents effective to regulate at least 1 of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

PI US 20030078517 A1 20030424

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
|---|--|---------------|-----------------|-----------------|--------------|--------------|
| ----- | ----- | ----- | ----- | ----- | | |
| PI US 20030078517 | A1 | 20030424 | US 2001-839785 | 20010420 <-- | | |
| US 6019735 | A | 20000201 | US 1997-919906 | 19970828 <-- | | |
| CA 2301161 | A1 | 19990304 | CA 1998-2301161 | 19980826 <-- | | |
| WO 9910724 | A2 | 19990304 | WO 1998-US17657 | 19980826 <-- | | |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | HU 2001000201 | A2 | 20010528 | HU 2001-201 | 19980826 <-- |
| HU 2001000201 | A3 | 20040329 | | | | |
| NZ 502905 | A | 20010831 | NZ 1998-502905 | 19980826 <-- | | |
| JP 2001514384 | T | 20010911 | JP 2000-507994 | 19980826 <-- | | |
| US 6322524 | B1 | 20011127 | US 1999-439795 | 19991112 <-- | | |
| US 6322525 | B1 | 20011127 | US 2000-501856 | 20000210 <-- | | |
| NO 200000944 | A | 20000225 | NO 2000-944 | 20000225 <-- | | |
| MX 200002073 | A | 20010821 | MX 2000-2073 | 20000228 <-- | | |
| US 6428488 | B1 | 20020806 | US 2000-615340 | 20000712 <-- | | |
| WO 2002009583 | A2 | 20020207 | WO 2001-US23696 | 20010730 <-- | | |
| WO 2002009583 | A3 | 20020425 | | | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, SZ, BE, CY, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | WO 2002043806 | A2 | 20020606 | WO 2001-US44352 | 20011127 <-- | |
| WO 2002043806 | A3 | 20030327 | | | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, | | | | | | |

| | | |
|--|---|--|
| CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | AU 2002026986 A 20020611 AU 2002-26986 20011127 <-- US 20020088953 A1 20020711 US 2001-33841 20011227 <-- US 6624435 B2 20030923 WO 2002079778 A2 20021010 WO 2002-US3984 20020207 <-- WO 2002079778 A3 20030710 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | US 20020184941 A1 20021212 US 2002-156165 20020528 <-- US 6571608 B2 20030603 |

IT Adrenoceptor antagonists
 Agglutination
 Animal tissue
 Antiarrhythmics
 Anticholesteremic agents
 Anticoagulants
 Antidiabetic agents
 Antihypertensives
 Antioesity agents
 Appetite depressants
 Artery, disease
 Blood
 Blood coagulation
 Calcium channel blockers
 Dietary supplements
 Electrolytes
 Erythrocyte
 Heart
 Human
 Hypolipemic agents
 Lubricants
 Organ, animal
 Platelet aggregation
 Platelet aggregation inhibitors
 Shear
 Shear stress
 Surfactants
 Thixotropy
 Thrombus
 Tobacco products
 Vasodilators

Viscosity

 β -Adrenoceptor antagonists

(in vivo delivery methods and compns.)

IT 50-28-2, Estradiol, biological studies 50-78-2, Aspirin 52-01-7,
 Spironolactone 52-53-9, Verapamil 54-11-5, Nicotine 54-31-9,
 Furosemide 55-63-0, Nitroglycerin 57-63-6, Ethynodiol estradiol
 57-83-0, Progestin, biological studies 58-32-2, Dipyridamole 58-54-8,
 Ethacrynic acid 58-93-5, Hydrochlorothiazide 58-94-6, Chlorothiazide
 59-66-5, Acetazolamide 68-22-4, Norethindrone 69-65-8, Mannitol
 70-51-9 72-33-3, Mestranol 81-81-2, Warfarin 86-54-4, Hydralazine
 87-33-2, Isosorbide dinitrate 94-20-2, Chlorpropamide 122-09-8,
 Phentermine 396-01-0, Triamterene 520-85-4, Medroxyprogesterone
 525-66-6, Propranolol 634-03-7, Phenendimetrazine 637-07-0, Clofibrate
 657-24-9, Metformin 797-63-7, Levonorgestrel 1156-19-0, Tolazamide
 1231-93-2, Ethynodiol 2098-66-0, Cyproterone 3056-17-5, Stavudine
 3930-20-9, Sotalol 4291-63-8, Cladribine 6533-00-2, Norgestrel
 7631-86-9, Silicon dioxide, biological studies 8001-27-2, Hirudin
 9000-69-5, Pectin 9000-94-6, Antithrombin III 9002-01-1, Streptokinase
 9002-18-0, Agar 9002-72-6, Somatotropin 9004-10-8, Insulin, biological
 studies 9004-67-5, Methylcellulose 9005-27-0, Hetastarch 9007-12-9,
 Calcitonin 9039-53-6, Urokinase 10238-21-8, Glyburide 11041-12-6,
 Cholestyramine 12650-69-0, Mupirocin 13523-86-9, Pindolol
 14808-79-8, Sulfate, biological studies 15291-77-7, Ginkgolide B
 15307-86-5, Diclofenac 16051-77-7, Isosorbide mononitrate 17560-51-9,
 Metolazone 18559-94-9, Salbutamol 21256-18-8, Oxaprozin 21829-25-4,
 Nifedipine 24967-94-0, Dermatan sulfate 25322-68-3, Polyethylene
 glycol 25614-03-3, Bromocriptine 25812-30-0, Gemfibrozil 26807-65-8,
 Indapamide 26839-75-8, Timolol 28395-03-1, Bumetanide 28523-86-6,
 Sevoflurane 28721-07-5, Oxcarbazepine 29094-61-9, Glipizide
 29122-68-7, Atenolol 29457-07-6, Ticarcillin disodium 30516-87-1,
 Zidovudine 32222-06-3, Calcium triol 34391-04-3, Levosalbutamol
 34580-13-7, Ketotifen 34911-55-2, Buproprion 35189-28-7, Norgestimate
 38304-91-5, Minoxidil 39562-70-4, Nitrendipine 42200-33-9, Nadolol
 42399-41-7, Diltiazem 42924-53-8, Nabumetone 47141-42-4, Levobunolol
 49562-28-9, Fenofibrate 50925-79-6, Colestipol 51333-22-3, Budesonide
 51384-51-1, Metoprolol 54024-22-5, Desogestrel 55142-85-3, Ticlopidine
 55985-32-5, Nicardipine 56180-94-0, Acarbose 56211-40-6, Torsemide
 56420-45-2, Epirubicin 59122-46-2, Misoprostol 60282-87-3, Gestodene
 62571-86-2, Captopril 63612-50-0, Nilutamide 63675-72-9, Nisoldipine
 64221-86-9, Imipenem 64544-07-6, Cefuroxime axetil 64706-54-3,
 Bepridil 66085-59-4, Nimodipine 66722-44-9, Bisoprolol 67227-56-9,
 Fenoldopam 68252-19-7, Pirtenol 68291-97-4, Zonisamide 69655-05-6,
 Didanosine 71119-11-4, Bucindolol 71486-22-1, Vinorelbine
 72509-76-3, Felodipine 72956-09-3, Carvedilol 73573-87-2, Formoterol
 73963-72-1, Cilostazol 74191-85-8, Doxazosin 74863-84-6, Argatroban
 75330-75-5, Lovastatin 75695-93-1, Isradipine 75847-73-3, Enalapril
 76457-98-3, Lisinopril 77191-36-7, Nefiracetam 78415-72-2, Milrinone
 79350-37-1, Cefixime 79902-63-9, Simvastatin 80474-14-2, Fluticasone
 propionate 81732-65-2, Bamaterol 82410-32-0, Ganciclovir
 83869-56-1, GM-CSF 84057-84-1, Lamotrigine 84057-95-4, Ropivacaine
 84449-90-1, Raloxifene 84625-59-2, Dotarizine 85441-61-8, Quinapril
 86541-75-5, Benazepril 86780-90-7, Aranidipine 87239-81-4, Cefpodoxime
 proxetil 87333-19-5, Ramipril 87679-37-6, Trandolapril 88150-42-9,
 Amlodipine 89565-68-4, Tropisetron 90729-41-2, Oxodipine 92665-29-7,
 Cefprozil 93221-48-8, Levobetaxolol 93479-97-1, Glimepiride
 93957-54-1, Fluvastatin 94535-50-9, Lemakalim 94739-29-4, Lemildipine
 95058-81-4, Gemcitabine 96036-03-2, Meropenem 96125-53-0, Clentiazem

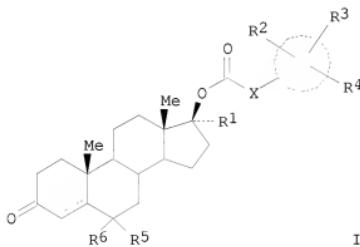
96829-58-2, Orlistat 97240-79-4, Topiramate 97322-87-7, Troglitazone 97682-44-5, Irinotecan 98048-97-6, Fosinopril 99522-79-9, Pranidipine 100427-26-7, Lercanidipine 100986-85-4, Levofloxacin 101526-83-4, Sematilide 103577-45-3, Lansoprazole 103745-39-7, Fasudil 103890-78-4, Lacidipine 104713-75-9, Barnidipine 105816-04-4, Nateglinide 105857-23-6, Alteplase 105979-17-7, Benidipine 106650-56-0, Sibutramine 107452-89-1, Ziconotide 109889-09-0, Granisetron 11025-46-8, Pioglitazone 112809-51-5, Letrozole 113665-84-2, Clopidogrel 113806-05-6, Olopatadine 114432-13-2, Fantofarone 114798-26-4, Losartan 114870-03-0, Fondaparinux sodium 115103-54-3, Tiagabine 115256-11-6, Dofetilide 116308-55-5, Watanidipine 117279-73-9, Israpafant 118457-14-0, Nebivolol 119684-05-8, Mesoglycan 120511-73-1, Anastrozole 120993-53-5, Desirudin 121181-53-1, Filgrastim 121679-13-8, Naratriptan 122647-31-8, Ibutilide 123524-52-7, Azenidipine 123774-72-1, Sargramostim 123948-87-8, Topotecan 124750-99-8, Losartan potassium 124832-26-4, Valacyclovir 124937-51-5, Tolterodine 128270-60-0, Bivalirudin 128470-16-6, Arbutamine 129618-40-2, Nevirapine 130209-82-4, Latanoprost 130636-43-0, Nifekalant 131179-95-8, RSR 13 132579-32-9, Rocepafant 132875-61-7, Remifentanil 133040-01-4, Eprosartan 133242-30-5, Landiolol 133652-38-7, Reteplase 134308-13-7, Tolcapone 134523-00-5, Atorvastatin 134678-17-4, Laminuvidine 134865-37-5, Meluadrine tartrate 135062-02-1, Repaglinide 136468-36-5, Foropafant 137862-53-4, Valsartan 138068-37-8, Lepirudin 138402-11-6, Irbesartan 138661-03-7, Furnidipine 143653-53-6, Abciximab 144494-65-5, Tirofiban 144689-24-7, Olmesartan 144701-48-4, Telmisartan 145040-37-5, Candesartan cilexetil 145375-43-5, Mitiglinide 145599-86-6, Cerivastatin 147059-72-1, Trovafloxacin 147511-69-1, Pitavastatin 148883-56-1, Tifacogin 149908-53-2, Azimilide 150332-35-7, Pamaqueside 154189-24-9, ARC 68397AA 158876-82-5, Rupatadine 159776-70-2, Melagatran 170902-47-3, Roxifiban 173324-94-2, Temivirine 187523-35-9, BMS 204352 187741-48-6, CHF 1521 188627-80-7, Eptifibatide 192939-46-1, H376/95 210101-16-9, Conivaptan
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (in vivo delivery methods and compns.)

L9 ANSWER 19 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 138:281598 CA
 TITLE: Androstanane compounds as androgen receptor (AR) modulators for the treatment of AR-related diseases
 INVENTOR(S): Wang, Jiabing
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 83 pp.
 CODEN: PIXDZ2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|-------------|
| WO 2003026568 | A2 | 20030403 | WO 2002-US29436 | 20020917 <- |
| WO 2003026568 | A3 | 20040226 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, | | | | |

LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
 UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF,
 CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2459943 A1 20030403 CA 2002-2459943 20020917 <--
 AU 2002330031 A1 20030407 AU 2002-330031 20020917 <--
 AU 2002330031 B2 20070705
 EP 1429779 A2 20040623 EP 2002-766288 20020917
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
 JP 2005507886 T 20050324 JP 2003-530207 20020917
 US 20040235808 A1 20041125 US 2004-489072 20040308
 PRIORITY APPLN. INFO.: US 2001-324124P P 20010921
 WO 2002-US29436 W 20020917

OTHER SOURCE(S): MARPAT 138:281598
 GI



AB Compds. of structural formula (I) as herein defined are claimed as useful in a method for modulating a function of the androgen receptor in a tissue selective manner in a patient in need of such modulation, as well as in a method of activating the function of the androgen receptor in a patient, and in particular the method wherein the function of the androgen receptor is blocked in the prostate of a male patient or in the uterus of a female patient and activated in bone and/or muscle tissue. These compds. are useful in the treatment of conditions caused by androgen deficiency or which can be ameliorated by androgen administration, including osteopenia, osteoporosis, periodontal disease, bone fracture, bone damage following bone reconstructive surgery, sarcopenia, frailty, aging skin, male hypogonadism, female sexual dysfunction, postmenopausal symptoms in women, atherosclerosis, hypercholesterolemia, hyperlipidemia, aplastic anemia and other hematopoietic disorders, pancreatic cancer, renal cancer, prostate cancer, inflammatory arthritis and joint repair, alone or in combination with other active agents. Methods for the co-administration of those compds. with bone-strengthening agents are also claimed.

PI WO 2003026568 A2 20030403
 PATENT NO. KIND DATE APPLICATION NO. DATE

| | | | | | |
|----|---|----|----------|-----------------|--------------|
| PI | WO 2003026568 | A2 | 20030403 | WO 2002-US29436 | 20020917 <-- |
| | WO 2003026568 | A3 | 20040226 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA | 2459943 | A1 | 20030403 | CA 2002-2459943 | 20020917 <-- |
| AU | 2002330031 | A1 | 20030407 | AU 2002-330031 | 20020917 <-- |
| AU | 2002330031 | B2 | 20070705 | | |
| EP | 1429779 | A2 | 20040623 | EP 2002-766288 | 20020917 |
| | R: AI, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| JP | 2005507886 | T | 20050324 | JP 2003-530207 | 20020917 |
| US | 20040235808 | A1 | 20041125 | US 2004-489072 | 20040308 |
| IT | Receptors | | | | |
| | RL: BSU (Biological study, unclassified); BIOL (Biological study) (calcium, antagonists; androstanes compds. as androgen receptor (AR) modulators in conjunction with bone-strengthening agents for treatment of AR-related diseases) | | | | |
| IT | 50-28-2, 17 β -Estradiol, biological studies 53-16-7, Estrone, biological studies 57-83-0, Progestin, biological studies 57-83-0D, Progestin, derivs. 64-96-0, U 11555A 67-96-9, Dihydrotestosterone 67-98-1, Mer-25 68-22-4, Norethindrone 71-58-9, Medroxyprogesterone acetate 436-52-2, U 11555A 471-34-1, Calcium carbonate, biological studies 911-45-5, Clomiphene 1406-16-2, Vitamin D 1406-16-2D, Vitamin D, derivs. 1845-11-0, Nafoxidine 2809-21-4 4717-38-8, 17 β -Ethylyn estradiol 5863-35-4, CI-628 7440-70-2, Calcium, biological studies 7440-70-2D, Calcium, salts 7681-49-4, Sodium fluoride, biological studies 7693-13-2, Calcium citrate 9002-64-6, Parathyroid hormone 9002-64-6D, Parathyroid hormone, analogs 9007-12-9, Calcitonin 10540-29-1, Tamoxifen 10596-23-3 12001-79-5, Vitamin K 12001-79-5D, Vitamin K, derivs. 15690-55-8, Zuclopinine 15690-57-0, Enclomiphene 16984-48-8D, Fluoride, salts 19356-17-3 20859-36-3, Monosodium fluorophosphate 32222-06-3 35212-22-7, Ipriflavone 40391-99-9 41294-56-8 47931-85-1, Salmon calcitonin 52232-67-4, Human parathormone 1-34 54573-75-0 56287-31-1, CI-680 57333-95-6 57333-96-7 61912-99-8, Insulin-like growth factor 62031-54-3, Fibroblast growth factor 63132-39-8 66376-36-1 67763-96-6, IGF I 67763-97-7, IGF II 68893-82-3, Human parathormone 1-84 75330-75-5, Lovastatin 75755-07-6 78994-23-7, Levormeloxifene 79778-41-9 79902-63-9, Simvastatin 81093-37-0, Flavastatin 82413-20-5, Droxlofifene 83805-11-2 84449-90-1, Raloxifene 89778-26-7, Toremifene 89987-06-4 93957-54-1, Fluvastatin 103909-75-7, 22-Oxacalcitriol 104121-92-8, ED71 105462-24-6 106096-92-8, Acidic Fibroblast Growth Factor 106096-93-9, Basic fibroblast growth factor 112965-21-6, Calcipotriol 114084-78-5 116057-75-1, Idoxifene 118072-93-8 118694-43-2, Ro 23-7553 121009-77-6 121268-17-5, Alendronate monosodium trihydrate 124351-85-5 125946-91-0 130447-37-9 131875-08-6, KH1060 134404-52-7, EB1089 134523-00-5, Atorvastatin | | | | |

134523-84-5 141750-63-2, Nisvastatin 145599-86-6, Cerivastatin
 147511-69-1, Pitavastatin 180064-38-4 180916-16-9,
 Lasofoxifene 182167-02-8, EM-652 182167-03-9, EM-800 187483-31-4,
 U-100A 193830-08-9, GDF5 198481-33-3, TSE 424 287714-41-4,
 Rosuvastatin
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (androstane compds. as androgen receptor (AR) modulators in conjunction
 with bone-strengthening agents for treatment of AR-related diseases)

L9 ANSWER 20 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 138:231777 CA
 TITLE: Use of statins to inhibit formation of osteoclasts
 INVENTOR(S): Baragi, Vijaykumar M.; Devalaraja, Radhika; Peters,
 Brandon R.; Renkiewicz, Richard Raymond
 PATENT ASSIGNEE(S): Warner-Lambert Company, USA
 SOURCE: Eur. Pat. Appl., 13 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|--------------|
| EP 1291017 | A2 | 20030312 | EP 2002-19026 | 20020827 <-- |
| EP 1291017 | A3 | 20030702 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IB, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CN 1403081 | A | 20030319 | CN 2002-132146 | 20020903 <-- |
| NZ 521188 | A | 20040625 | NZ 2002-521188 | 20020904 |
| TW 226238 | B | 20050111 | TW 2002-91120188 | 20020904 |
| CA 2401319 | A1 | 20030310 | CA 2002-2401319 | 20020905 <-- |
| AU 2002300900 | A1 | 20030612 | AU 2002-300900 | 20020906 <-- |
| BR 2002003656 | A | 20030603 | BR 2002-3656 | 20020909 <-- |
| HU 2002002969 | A2 | 20030728 | HU 2002-2969 | 20020909 <-- |
| HU 2002002969 | A3 | 20040830 | | |
| ZA 2002007233 | A | 20040309 | ZA 2002-7233 | 20020909 |
| US 20030055101 | A1 | 20030320 | US 2002-238266 | 20020910 <-- |
| JP 2003104883 | A | 20030409 | JP 2002-264412 | 20020910 <-- |
| PRIORITY APPLN. INFO.: | | | US 2001-318450P | P 20010910 |
| AB A method for inhibiting the formation of osteoclasts comprising administering a therapeutically effective amount of a statin to a mammal in need thereof as well as pharmaceutical compns., kits for containing such compns. comprising a statin or a method of treating or preventing a disease state selected from the group consisting of: osteoporosis, Paget's disease, osteolysis, hypercalcemia of malignancy, osteogenesis imperfecta, osteoarthritis, alveolar bone loss, side effects of immunosuppressive therapy, and side effects of chronic glucocorticoid use by inhibiting the formation of osteoclasts comprising administering a therapeutically effective amount of a statin to a mammal in need thereof. | | | | |
| PI EP 1291017 A2 20030312 | | | | |
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| PI EP 1291017 | A2 | 20030312 | EP 2002-19026 | 20020827 <-- |
| EP 1291017 | A3 | 20030702 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |

| | | | | |
|--|----|----------|------------------|--------------|
| IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| CN 1403081 | A | 20030319 | CN 2002-132146 | 20020903 <-- |
| NZ 521188 | A | 20040625 | NZ 2002-521188 | 20020904 |
| TW 226238 | B | 20050111 | TW 2002-91120188 | 20020904 |
| CA 2401319 | A1 | 20030310 | CA 2002-2401319 | 20020905 <-- |
| AU 2002300900 | A1 | 20030612 | AU 2002-300900 | 20020906 <-- |
| BR 2002003656 | A | 20030603 | BR 2002-3656 | 20020909 <-- |
| HU 2002002969 | A2 | 20030728 | HU 2002-2969 | 20020909 <-- |
| HU 2002002969 | A3 | 20040830 | | |
| ZA 2002007233 | A | 20040309 | ZA 2002-7233 | 20020909 |
| US 20030055101 | A1 | 20030320 | US 2002-238266 | 20020910 <-- |
| JP 2003104883 | A | 20030409 | JP 2002-264412 | 20020910 <-- |
| IT 7440-70-2, Calcium, biological studies | | | | |
| RL: BSU (Biological study, unclassified); BIOL (Biological study) | | | | |
| (hypercalcemia; use of statins to inhibit formation of osteoclasts) | | | | |
| IT 73573-88-3, Mevastatin 75330-75-5, Lovastatin 79902-63-9, Simvastatin | | | | |
| 81093-37-0, Pravastatin 93957-54-1, Fluvastatin 134523-00-5, | | | | |
| Atorvastatin 134523-03-8, Atorvastatin calcium 145599-86-6, | | | | |
| Cerivastatin 147511-69-1 287714-41-4, Rosuvastatin | | | | |
| 501121-34-2 | | | | |
| RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL | | | | |
| (Biological study); USES (Uses) | | | | |
| (use of statins to inhibit formation of osteoclasts) | | | | |

L9 ANSWER 21 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 138:204870 CA
 TITLE: Processes for preparing calcium salt forms
 of statins
 INVENTOR(S): Niddam-Hildesheim, Valerie; Lifshitz-Liron, Revital;
 Lidor-Hadas, Rami
 PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva
 Pharmaceuticals USA, Inc.
 SOURCE: PCT Int. Appl., 32 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 7
 PATENT INFORMATION:

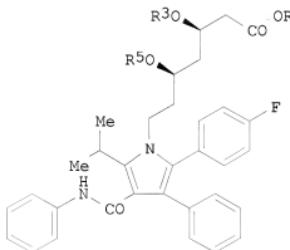
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 2003016317 | A1 | 20030227 | WO 2002-US26012 | 20020816 <-- |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20020099224 | A1 | 20020725 | US 2001-37412 | 20011024 <-- |
| US 6528661 | B2 | 20030304 | | |
| CA 2450820 | A1 | 20030227 | CA 2002-2450820 | 20020816 <-- |
| AU 2002324715 | A1 | 20030303 | AU 2002-324715 | 20020816 <-- |
| US 20030114685 | A1 | 20030619 | US 2002-222556 | 20020816 <-- |

| | | | | |
|-------------------------------|----------------------------|------------------------|-------------------------------|-------------|
| US 6777552 | B2 | 20040817 | | |
| EP 1425287 | A1 | 20040609 | EP 2002-759374 | 20020816 |
| R: AT, BE, CH, IE, SI, LT, | DE, DK, ES, LV, FI, RO, | FR, GB, CY, AL, TR, | GR, IT, LU, BG, CZ, EE, SK | MC, PT, |
| TR 200302281 | T2 | 20040921 | TR 2003-2281 | 20020816 |
| CN 1543468 | A | 20041103 | CN 2002-815999 | 20020816 |
| JP 2005500382 | T | 20050106 | JP 2003-521239 | 20020816 |
| NZ 529913 | A | 20050324 | NZ 2002-529913 | 20020816 |
| HU 2005000616 | A2 | 20051128 | HU 2005-616 | 20020816 |
| ZA 2003009373 | A | 20041202 | ZA 2003-9373 | 20031202 |
| IN 2003MN01112 | A | 20050429 | IN 2003-MN1112 | 20031205 |
| MX 2004PA01451 | A | 20050217 | MX 2004-PA1451 | 20040213 |
| NO 2004001082 | A | 20040315 | NO 2004-1082 | 20040315 |
| US 20040176615 | A1 | 20040909 | US 2004-803414 | 20040318 |
| US 20050197501 | A1 | 20050908 | US 2005-120567 | 20050502 |
| AU 2007205725 | A1 | 20070830 | AU 2007-205725 | 20070809 |
| PRIORITY APPLN. INFO.: | | | US 2001-312812P | P 20010816 |
| | | | US 2001-37412 | A 20011024 |
| | | | US 2000-249319P | P 20001116 |
| | | | US 2001-312144P | P 20010813 |
| | | | US 2001-326529P | P 20011001 |
| | | | AU 2002-17927 | T0 20011129 |
| | | | AU 2002-217927 | A3 20011129 |
| | | | US 2002-222556 | A3 20020816 |
| | | | WO 2002-US26012 | W 20020816 |
| | | | US 2004-803414 | A1 20040318 |

OTHER SOURCE(S):

MARPAT 138:204870

GI



AB Processes for preparing hemicalcium salts of a statins RCH(OH)CH₂CH(OH)CH₂CO₂H (R = statin organic radical selected from pravastatin, fluvastatin, cerivastatin, atorvastatin, rosuvastatin, pitavastatin, simvastatin, or lovastatin) from an ester derivative or protected ester derivative of the statin by using calcium hydroxide are provided. The ester or protected ester derivative is contacted with calcium hydroxide to obtain the calcium salt. Preferred statins are rosuvastatin, pitavastatin and atorvastatin, simvastatin and lovastatin. In processes beginning with a protected statin ester derivative, the protecting group is hydrolyzed during salt formation by contact with

calcium hydroxide, or is contacted with an acid catalyst followed by contact with calcium hydroxide. Thus, diol-protected atorvastatin ester I ($R = \text{CMe}_3$, $\text{R}3\text{R}5 = \text{CMe}_2$) was treated with an 80% aqueous soln of AcOH at rt for 20 h to form the deprotected ester I ($R = \text{CMe}_3$, $\text{R}3 = \text{R}5 = \text{H}$) which was in turn dissolved in EtOH, treated with a saturated soln of $\text{Ca}(\text{OH})_2$ containing $\text{Bu}_4\text{N}^+\text{Br}^-$ and stirred at 45° for 24 h to give atorvastatin hemicalcium salt I ($R = 1/2\text{Ca}$, $\text{R}3 = \text{R}5 = \text{H}$) in 77% yield for the two steps.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

TI Processes for preparing calcium salt forms of statins

PI WO 2003016317 A1 20030227

PATENT NO. KIND DATE APPLICATION NO. DATE

| | | | | | |
|----|---|----|----------|-----------------|--------------|
| PI | WO 2003016317 | A1 | 20030227 | WO 2002-US26012 | 20020816 <-- |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US | 20020099224 | A1 | 20020725 | US 2001-37412 | 20011024 <-- |
| US | 6528661 | B2 | 20030304 | | |
| CA | 2450820 | A1 | 20030227 | CA 2002-2450820 | 20020816 <-- |
| AU | 2002324715 | A1 | 20030303 | AU 2002-324715 | 20020816 <-- |
| US | 20030114685 | A1 | 20030619 | US 2002-222556 | 20020816 <-- |
| US | 6777552 | B2 | 20040817 | | |
| EP | 1425287 | A1 | 20040609 | EP 2002-759374 | 20020816 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| TR | 200302281 | T2 | 20040921 | TR 2003-2281 | 20020816 |
| CN | 1543468 | A | 20041103 | CN 2002-815999 | 20020816 |
| JP | 2005500382 | T | 20050106 | JP 2003-521239 | 20020816 |
| NZ | 529913 | A | 20050324 | NZ 2002-529913 | 20020816 |
| HU | 2005000616 | A2 | 20051128 | HU 2005-616 | 20020816 |
| ZA | 2003009373 | A | 20041202 | ZA 2003-9373 | 20031202 |
| IN | 2003MN01112 | A | 20050429 | IN 2003-MN1112 | 20031205 |
| MX | 2004PA01451 | A | 20050217 | MX 2004-PA1451 | 20040213 |
| NO | 2004001082 | A | 20040315 | NO 2004-1082 | 20040315 |
| US | 20040176615 | A1 | 20040909 | US 2004-803414 | 20040318 |
| US | 20050197501 | A1 | 20050908 | US 2005-120567 | 20050502 |
| AU | 2007205725 | A1 | 20070830 | AU 2007-205725 | 20070809 |

AB . . . cerivastatin, atorvastatin, rosuvastatin, pitavastatin, simvastatin, or lovastatin) from an ester derivative or protected ester derivative

of the statin by using calcium hydroxide are provided. The ester or protected ester derivative is contacted with calcium hydroxide to obtain the calcium salt. Preferred statins are rosuvastatin, pitavastatin and atorvastatin, simvastatin and lovastatin. In processes beginning with a protected statin ester derivative, the protecting group is hydrolyzed during salt formation by contact with calcium hydroxide, or is contacted with an acid catalyst followed by contact with calcium hydroxide. Thus, diol-protected atorvastatin ester I ($R =$

CMe₃, R₃R₅ = CMe₂) was treated with an 80% aqueous soln of. . . = CMe₃, R₃ = R₅ = H) which was in turn dissolved in EtOH, treated with a saturated soln of Ca(OH)₂ containing Bu₄N+Br- and stirred at 45° for 24 h to give atorvastatin hemicalcium salt I (R = 1/2Ca, R₃ = ST statin calcium salt prepn; rosuvastatin hemicalcium salt prepn; pitavastatin hemicalcium salt prepn; atorvastatin hemicalcium salt prepn; simvastatin hemicalcium salt prepn; lovastatin hemicalcium. . . . IT 134395-00-9P RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (processes for preparing calcium salt forms of statins) IT 77550-72-2P, Lovastatin hemicalcium 125995-03-1P, Atorvastatin lactone 134523-00-5P, Atorvastatin 134523-03-8P, Atorvastatin hemicalcium 141750-63-2P, Pitavastatin lactone 147098-20-2P, Rosuvastatin hemicalcium 147526-32-7P, Pitavastatin hemicalcium 151006-06-3P, Pravastatin hemicalcium 151006-18-7P, Simvastatin hemicalcium 500103-16-2P, Fluvastatin hemicalcium 500103-17-3P, Cerivastatin hemicalcium RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (processes for preparing calcium salt forms of statins) IT 125971-95-1 147118-40-9 167073-19-0 RL: RCT (Reactant); RACT (Reactant or reagent) (processes for preparing calcium salt forms of statins) IT 1305-62-0, Calcium hydroxide, reactions RL: RGT (Reagent); RACT (Reactant or reagent) (processes for preparing calcium salt forms of statins)

L9 ANSWER 22 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

138:14048 CA

TITLE:

Preparation of oxazolylethoxyphenylprolines and related compounds as antidiabetic and antiobesity agents.

INVENTOR(S):

Cheng, Peter T.; Jeon, Yoon; Wang, Wei

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

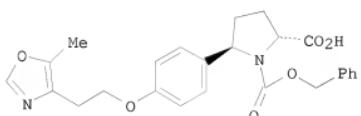
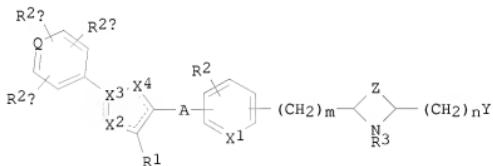
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2002096357 | A2 | 20021205 | WO 2002-US16628 | 20020523 <-- |
| WO 2002096357 | A3 | 20030925 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20030092697 | A1 | 20030515 | US 2002-153342 | 20020522 <-- |

| | | | | |
|---|---|---|-----------------|--------------|
| US 7105556 | B2 | 20060912 | | |
| CA 2449006 | A1 | 20021205 | CA 2002-2449006 | 20020523 <-- |
| AU 2002310141 | A1 | 20021209 | AU 2002-310141 | 20020523 <-- |
| EP 1401433 | A2 | 20040331 | EP 2002-737192 | 20020523 |
| R: AT, BE, CH, IE, SI, LT, JP 2005506954 HU 2006000226 US 20060189598 | DE, DK, ES, FR, LV, FI, RO, MK, T | GB, GR, IT, LI, LU, NL, SE, MC, PT, CY, AL, TR | | |
| PRIORITY APPLN. INFO.: | | | JP 2002-592870 | 20020523 |
| | | | HU 2006-226 | 20020523 |
| | | | US 2006-406799 | 20060419 |
| | | | US 2001-294505P | P 20010530 |
| | | | US 2002-153342 | A3 20020522 |
| | | | WO 2002-US16628 | W 20020523 |

OTHER SOURCE(S): MARPAT 138:14048

GI



AB Title compds. [I; m, n = 0-2; Q = C, N; A = (CH₂)_x, (CH₂)_xl, with an alkenyl or alkynyl bond in the chain, (CH₂)_x20(CH₂)_x3; x = 1-5; xl = 2-5; x₂, x₃ = 0-5; provided that ≥1 of x₂ and x₃ ≠ 0; X₁ = CH, N; X₂ = C, N, O, S; X₃ = C, N; X₄ = C, N, O, S provided that ≥1 of X₂, X₃, X₄ = N; in each of X₁-X₄, C may include CH; R₁ = H, alkyl; R₂ = H, alkyl, alkoxy, halo, (substituted) amino; R_{2a}, R_{2b} R_{2c} = H, alkyl, alkoxy, halo, (substituted) amino; R₃ = H, alkyl, arylalkyl, aryloxycarbonyl, alkyloxycarbonyl, alkynyoxy carbonyl, alkenyloxy carbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, cycloheteroalkyl, heteroarylcarbonyl, heteroaryl heteroarylalkyl, alkylcarbonylamino, arylcarbonylamino, heteroarylcarbonylamino, alkoxycarbonylamino, aryloxycarbonylamino, heteroarylcarbonylamino, heteroaryl heteroarylcarbonyl, alkylsulfonyl, alkenylsulfonyl, heteroaryl oxycarbonyl, cycloheteroalkyl oxycarbonyl, aryloxyheteroarylalkyl, heteroarylalkyl oxycarbonyl, arylarylkyl, arylalkenylarylkyl, arylaminooxylalkyl, etc.; Y = CO₂R₄, 1-tetrazolyl, P(O)(OR_{4a})R₅, P(O)(OR_{4a})₂; R₄ = H, alkyl, prodrug ester; R_{4a} = H, prodrug ester; R₅ = alkyl, aryl; Z = (CH₂)_{x4}, (CH₂)_{x5}, (CH₂)_{x6}O(CH₂)_{x7}; x₄ = 1-5; x₅ = 2-5; x₆, x₇ = 0-4], were prepared as antidiabetic and antiobesity agents (no data). Thus, the title compound (II) was prepared in 6 steps.

PI WO 2002096357 A2 20021205
PATENT NO. **KIND** **DATE** **APPLICATION NO.** **DATE**

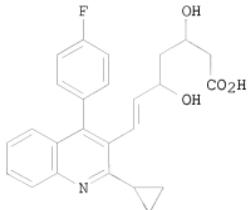
| | | | | | |
|---|---|----------|-----------------|-----------------|--------------|
| PI | WO 2002096357 | A2 | 20021205 | WO 2002-US16628 | 20020523 <-- |
| | WO 2002096357 | A3 | 20030925 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20030092697 | A1 | 20030515 | US 2002-153342 | 20020522 <-- | |
| US 7105556 | B2 | 20060912 | | | |
| CA 2449006 | A1 | 20021205 | CA 2002-2449006 | 20020523 <-- | |
| AU 2002310141 | A1 | 20021209 | AU 2002-310141 | 20020523 <-- | |
| EP 1401433 | A2 | 20040331 | EP 2002-73/192 | 20020523 | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | |
| JP 2005506954 | T | 20050310 | JP 2002-592870 | 20020523 | |
| HU 2006000226 | A2 | 20061128 | HU 2006-226 | 20020523 | |
| US 20060189598 | A1 | 20060824 | US 2006-406799 | 20060419 | |
| IT | Angiotensin receptor antagonists | | | | |
| | Antiosteoporotic agents | | | | |
| | Appetite depressants | | | | |
| | Calcium channel blockers | | | | |
| | Platelet aggregation inhibitors | | | | |
| | β -Adrenoceptor antagonists | | | | |
| | β_3 -Adrenoceptor agonists | | | | |
| | RL: BIOL (Biological study); USES (Uses) | | | | |
| | (coadministration; preparation of oxazolylethoxyphenylprolines and related compds. as antidiabetic and antiobesity agents) | | | | |
| IT | 50-78-2, Aspirin 51-64-9, Dexamphetamine 52-53-9, Verapamil 58-32-2, Dipyridamole 59-67-6, Niacin, biological studies 94-20-2, Chlorpropamide 122-09-8, Phentermine 525-66-6, Propranolol 637-07-0, Clofibrate 657-24-9, Metformin 943-45-3D, Fibric acid, derivs. 4205-91-8, Clonidine hydrochloride 9004-10-8, Insulin, biological studies 10238-21-8, Glyburide 14838-15-4, Phenylpropanolamine 19237-84-4, Prazosin hydrochloride 21187-98-4, Gliclazide 21829-25-4, Nifedipine 22232-71-9, Mazindol 25812-30-0, Gemfibrozil 29094-61-9, Glipizide 42200-33-9, Nadolol 49562-28-9, Fenofibrate 54870-28-9, Meglitinide 55142-85-3, Ticlopidine 56180-94-0, Acarbose 62571-86-2, Captorpril 72432-03-2, Miglitol 72956-09-3, Carvedilol 75330-75-5, Lovastatin 75847-73-3, Enalapril 76547-98-3, Lisinopril 79902-63-9, Simvastatin 80830-42-8, Fentiapril 81093-37-0, Pravastatin 85441-61-8, Quinapril 86541-75-5, Benazepril 87333-19-5, Ramipril 93479-97-1, Glimepiride 93957-54-1, Fluvastatin 96829-58-2, Orlistat 97240-79-4, Topiramate 97322-87-7, Troglitazone 98048-97-6, Fosinopril 103775-10-6, Moexipril 105816-04-4, Nateglinide 106650-56-0, Sibutramine 111025-46-8, Pioglitazone 111470-99-6, Amlodipine besylate 113665-84-2, Clopidogrel 114798-26-4, Losartan 122320-73-4, Rosiglitazone 134523-00-5, Atorvastatin 135062-02-1, Repaglinide 137862-53-4, Valsartan 138402-11-6, Irbesartan 141758-74-9, AC 2993 143443-90-7, Ifetroban 144288-97-1, TS 962 145599-86-6, Cerivastatin 147511-69-1 152755-31-2, LY 295427 159183-92-3, L 750355 160135-92-2, Gemopatrilat 161600-01-7, Isaglitazone 166518-60-1, | | | | |

Avasimibe 167305-00-2, Omapatrilat 169319-62-4, CGS 30440
 170861-63-9, JTT 501 176435-10-2, LY 315902 178759-95-0, MD 700
 182815-44-7, Cholestagel 196808-45-4, GI 262570 199113-98-9, NN 2344
 199914-96-0, YM 440 213252-19-8, KRP 297 244081-42-3, AJ 9677
 251565-85-2, AR-H 039242 251572-86-8, P 32/98 258345-41-4, GW 409544
 282526-98-1, ATL 962 287714-41-4 335149-08-1, L 895645 335149-14-9,
 R 119702 335149-15-0, KAD 1129 335149-23-0, NVP-DPP 728A
 335149-25-2, CP 331648 430433-17-3, Glipyride 444069-80-1, Axokine
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (coadministration; preparation of oxazolylethoxyphenylprolines and related
 compds. as antidiabetic and antioesity agents)

L9 ANSWER 23 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 137:337790 CA
 TITLE: Preparation of 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-
 quinolyl]-3,5-dihydroxy-6-heptenoic acid as remedial
 agent for glomerular disease
 INVENTOR(S): Nakagawa, Takashi; Suda, Makoto; Yamauchi, Youichi
 PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan; Nissan Chemical Industries,
 Ltd.
 SOURCE: PCT Int. Appl., 21 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 2002085363 | A1 | 20021031 | WO 2002-JP3870 | 20020418 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2002251483 | A1 | 20021105 | AU 2002-251483 | 20020418 <-- |
| EP 1386608 | A1 | 20040204 | EP 2002-720493 | 20020418 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 20040116468 | A1 | 20040617 | US 2003-474194 | 20031016 |
| PRIORITY APPLN. INFO.: | | | JP 2001-121058 | A 20010419 |
| | | | JP 2001-361257 | A 20011127 |
| | | | WO 2002-JP3870 | W 20020418 |

GI



AB Disclosed is a preventive or remedy for glomerular diseases which contains as the active ingredient the compound represented by the following formula (I) or a salt of the compound. The preventive or remedy is useful as a preventive or remedy for various glomerular diseases including IgA kidney disease, glomerulosclerosis, membranous nephropathy, membranous proliferative nephritis, and chronic glomerulonephritis. The compound I is known to possess excellent HMG-CoA reductase inhibitory activity (no data). Thus, calcium bis[(3R,5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxy-6-heptenoate] (II) was prepared via conversion of 2-amino-4'-fluorobenzophenone into Me 3-cyclopropyl-4-(4-fluorophenyl)-3-quinolinecarboxylate by the known procedures. II showed IC₅₀ of 22.4 μM for inhibiting the production of phosphatidylinositol 4-phosphate (PIP) in human glomerular interstitial cell CryoNHMC (mesangium cell).

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| PI | WO 2002085363 A1 | 20021031 | KIND | DATE | APPLICATION NO. | DATE |
|-----------|--|----------|----------|----------------|-----------------|------|
| PI | WO 2002085363 | A1 | 20021031 | WO 2002-JP3870 | 20020418 <-- | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| AU | 2002251483 | A1 | 20021105 | AU 2002-251483 | 20020418 <-- | |
| EP | 1386608 | A1 | 20040204 | EP 2002-720493 | 20020418 | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | | |
| US | 20040116468 | A1 | 20040617 | US 2003-474194 | 20031016 | |
| AB | . . . proliferative nephritis, and chronic glomerulonephritis. The compound I is known to possess excellent HMG-CoA reductase inhibitory activity (no data). Thus, calcium bis[(3R,5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxy-6-heptenoate] (II) was prepared via conversion of 2-amino-4'-fluorobenzophenone into Me 3-cyclopropyl-4-(4-fluorophenyl)-3-quinolinecarboxylate by the known procedures. II showed IC ₅₀ of 22.4. . . | | | | | |

IT 121659-03-8P, 7-[2-Cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxy-6-heptenoic acid 147511-69-1P, (+)-(3R,5S,6E)-7-[2-Cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxy-6-heptenoic acid 147526-32-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of [cyclopropyl(fluorophenyl)quinolyl]hydroxyheptenoic acid as remedial agent for glomerular diseases)

L9 ANSWER 24 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 137:299919 CA
 TITLE: Stable pharmaceutical composition containing NK-104
 INVENTOR(S): Muramatsu, Toyojiro; Mashita, Katsumi; Shinoda, Yasuo; Sassa, Hironori; Kawashima, Hiroyuki; Tanizawa, Yoshio; Takeuchi, Hideatsu
 PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan; Nissan Chemical Industries, Ltd.
 SOURCE: U.S., 9 pp., Cont.-in-part of U.S. Ser. No. 894,279, abandoned.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|--------------|
| US 6465477 | B1 | 20021015 | US 1999-436789 | 19991108 <-- |
| PRIORITY APPLN. INFO.: | | | JP 1995-354654 | A 19951222 |
| | | | US 1997-894279 | B2 19970818 |

AB A pharmaceutical composition comprises (E)-3,5-dihydroxy-7-[4'-4"-fluorophenyl-2'-cyclopropylquinolin-3'-yl]-6-heptenoic acid (NK-104) or its salt or ester, of which the aqueous solution or dispersion has a pH of 6.8 to 8. The composition has good time-dependent stability and has no change in its outward appearance even after having been stored long. Tablets contained calcium salt of NK-104 1.0, lactose 101.4, low substituted hydroxypropyl cellulose 12.0, hydroxypropyl Me cellulose-2910 2.0, Mg aluminometasilicate 2.4, and Mg stearate 1.2 mg/tablet.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| PI US 6465477 | B1 | 20021015 | US 1999-436789 | 19991108 <-- |
| AB . . . has good time-dependent stability and has no change in its outward appearance even after having been stored long. Tablets contained calcium salt of NK-104 1.0, lactose 101.4, low substituted hydroxypropyl cellulose 12.0, hydroxypropyl Me cellulose-2910 2.0, Mg aluminometasilicate 2.4, and Mg. . . | | | | |
| IT 147511-69-1, NK 104 468064-55-3 | | | | |
| RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses) | | | | |
| (stable pharmaceutical composition containing NK-104) | | | | |

L9 ANSWER 25 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 137:118852 CA

TITLE: Pitavastatin (NK-104), a new HMG-CoA reductase inhibitor
 AUTHOR(S): Isley, William L.
 CORPORATE SOURCE: Saint Luke's Lipid and Diabetes Research Center,
 University of Missouri, Kansas City, MO, 64111, USA
 SOURCE: Drugs of Today (2001), 37(9), 587-594
 CODEN: MDACAP; ISSN: 0025-7656
 PUBLISHER: Prous Science
 DOCUMENT TYPE: Journal; General Review
 LANGUAGE: English
 AB A review. Pitavastatin calcium (NK-104) is a new synthetic hydroxymethylglutaryl-CoA (HMG-CoA) reductase inhibitor (statin). Animal studies suggest that, in addition to reducing low-d. lipoprotein (LDL) cholesterol, the drug may produce marked redns. in triglyceride-rich particles (very-low-d. [VLDL] and intermediate-d. lipoproteins [IDL]). It is not metabolized by the common cytochrome P 450 3A4 enzyme, possibly reducing the risk for drug interactions. Early studies suggest that it may be quite useful for treating common dyslipidemias (isolated elevations of LDL cholesterol and combined disorders with elevations of LDL cholesterol and triglycerides). Such improvements in lipid profiles are proven to have pos. effects on cardiovascular risk. Human studies are under way to further elucidate the effects of the drug and procure approval by various regulatory bodies.
 REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
 SO Drugs of Today (2001), 37(9), 587-594
 CODEN: MDACAP; ISSN: 0025-7656
 AB A review. Pitavastatin calcium (NK-104) is a new synthetic hydroxymethylglutaryl-CoA (HMG-CoA) reductase inhibitor (statin). Animal studies suggest that, in addition to reducing low-d. lipoprotein. . .
 IT 147526-32-7, NK-104
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pitavastatin is a new HMG-CoA reductase inhibitor)
 L9 ANSWER 26 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 137:109267 CA
 TITLE: Preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors
 INVENTOR(S): Robl, Jeffrey A.; Chen, Bang-chi; Sun, Chong-qing
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 42 pp., Cont.-in-part of U.S. Ser. No. 875,155.
 CODEN: USXKC0
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|------|----------|---|---|
| US 20020094977 | A1 | 20020718 | US 2001-7407 | 20011204 <-- |
| US 6627636 | B2 | 20030930 | | |
| US 20020013334 | A1 | 20020131 | US 2001-875155 US 2000-211595P US 2001-875155 | 20010606 <-- P 20000615 A2 20010606 |

 PRIORITY APPLN. INFO.:
 OTHER SOURCE(S): MARPAT 137:109267

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [X = O, S, SO₂, NR⁷; Z = HOCHCH₂CH(OH)CH₂CO₂R₃, 4-hydroxy-2-oxopyran-6-yl, etc.; n = 0, 1; R₁, R₂ = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R₃ = H, alkyl, metal ion; R⁴ = H, halo, CF₃, etc.; R⁷ = H, alkyl, aryl, alkanoyl, aroyl, alkoxy carbonyl, etc.; R⁹, R¹⁰ = H, alkyl], were prepared as HMG CoA reductase inhibitors active in inhibiting cholesterol biosynthesis, modulating blood serum lipids such as lowering LDL cholesterol and/or increasing HDL cholesterol, and treating hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, and atherosclerosis (no data). A multistep synthesis of II is reported.

PI US 20020094977 A1 20020718

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|------|----------|-----------------|--------------|
| US 20020094977 | A1 | 20020718 | US 2001-7407 | 20011204 <-- |
| US 6627636 | B2 | 20030930 | | |
| US 20020013334 | A1 | 20020131 | US 2001-875155 | 20010606 <-- |

IT Calcium channel

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(T-type, blockers, coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

IT Receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(calcium, antagonists, coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

IT 5-HT reuptake inhibitors

Angiotensin receptor antagonists

Anti-Alzheimer's agents

Anti-infective agents

Anti-inflammatory agents

Antianginal agents

Antiarrhythmics

Antiarthritics

Antidiabetic agents

Antihypertensives

Antibesity agents

Antioxidants

Antitumor agents

Appetite depressants

 Calcium channel blockers

Cardiovascular agents

Diuretics

Hormone replacement therapy

Hypolipemic agents

Immunomodulators

α -Adrenoceptor antagonists

β -Adrenoceptor antagonists

β 3-Adrenoceptor agonists

(coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

IT 50-78-2, Aspirin 51-64-9, Dexamphetamine 52-01-7, Spironolactone 52-53-9, Verapamil 54-31-9, Furosemide 58-32-2, Dipyridamole 58-93-5, Hydrochlorothiazide 59-67-6, Niacin, biological studies 94-20-2, Chlorpropamide 122-09-8, Phentermine 525-66-6, Propranolol 564-25-0, Doxycycline 637-07-0, Clofibrate 657-24-9, Metformin 1684-40-8, Tacrine hydrochloride 3416-24-8, Glucosamine 4205-91-8, Clonidine hydrochloride 9004-61-9, Hyaluronic acid 9007-28-7, Chondroitin sulfate 10118-90-8, Minocycline 10238-21-8, Glyburide 14838-15-4, Phenylpropanolamine 19237-84-4, Prazosin hydrochloride 21187-98-4, Gliclazide 21829-25-4, Nifedipine 22232-71-9, Mazindol 25812-30-0, Gemfibrozil 26807-65-8, Indapamide 29094-61-9, Glipizide 29122-68-7, Atenolol 42200-33-9, Nadolol 49562-28-9, Fenofibrate 55142-85-3, Ticlopidine 56180-94-0, Acarbose 56211-40-6, Torasemide 62571-86-2, Captopril 68475-42-3, Anagrelide 72432-03-2, Miglitol 72956-09-3, Carvedilol 75330-75-5, Lovastatin 75847-73-3, Enalapril 76547-98-3, Lisinopril 79902-63-9, Simvastatin 80830-42-8, Fentipril 81093-37-0, Pravastatin 85441-61-8, Quinapril 86541-75-5, Benazepril 87333-19-5, Ramipril 89750-14-1, Glucagon-like peptide I 93479-97-1, Glimepiride 93957-54-1, Fluvastatin 96829-58-2, Orlistat 97240-79-4, Topiramate 97322-87-7, Troglitazone 98048-97-6, Fosinopril 103775-10-6, Moexipril 105816-04-4, Nateglinide 106650-56-0, Sibutramine 111025-46-8, Pioglitazone 113665-84-2, Clopidogrel 114798-26-4, Losartan 120014-06-4, Donepezil 122320-73-4, Rosiglitazone 134523-00-5, Atorvastatin 135062-02-1, Repaglinide 137862-53-4, Valsartan 138402-11-6, Irbesartan 141758-74-9, AC 2993 143443-90-7, Ifetroban 143653-53-6, Abciximab 144288-97-1, TS 962 144494-65-5, Tirofiban 145599-86-6, Cevastatin 147511-69-1, Pitavastatin 152755-31-2, LY 295427 159183-92-3, L 750355 160135-92-2, Gemopatrilat 161600-01-7, Isaglitazone 162011-90-7, Vioxxx 166518-60-1, Avasimibe 167305-00-2, Omapatrilat 169319-62-4, CGS 30440 169590-42-5, Celebrex 170861-63-9, JTT 501 176435-10-2, LY 315902 178759-95-0, MD 700 182815-44-7, Cholestagel 188627-80-7, Eptifibatide 196808-45-4, GI 262570 199113-98-9, NN 2344 199914-96-0, YM 440 213252-19-8, KRP 297 244081-42-3, AJ 9677 246852-12-0, Amlodipine mesylate 251572-86-8, P 32/98 258345-41-4, GW 409544 282526-98-1, ATL 962 287714-41-4, Rosuvastatin 335149-08-1, L 895645 335149-14-9, R 119702 335149-15-0, KAD 1129 335149-17-2, ARHO 39242 335149-23-0, NVP-DPP 728A 335149-25-2, CP 331648 430433-17-3, Glipyride 430433-43-5, CP 644673 444069-80-1, Axokane
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

L9 ANSWER 27 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 137:24314 CA

TITLE: Methods and apparatus for determining and utilizing the viscosity of circulating blood over a range of shear rates for diagnostics and treatment

INVENTOR(S): Kensey, Kenneth; Hokanson, Charles

PATENT ASSIGNEE(S): Visco Technologies, Inc., USA; Rheologics, Inc.

SOURCE: PCT Int. Appl., 98 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 8

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| WO 2002043806 | A2 | 20020606 | WO 2001-US44352 | 20011127 <-- |
| WO 2002043806 | A3 | 20030327 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2301161 | A1 | 19990304 | CA 1998-2301161 | 19980826 <-- |
| WO 9910724 | A2 | 19990304 | WO 1998-US17657 | 19980826 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| HU 2001000201 | A2 | 20010528 | HU 2001-201 | 19980826 <-- |
| HU 2001000201 | A3 | 20040329 | | |
| NZ 502905 | A | 20010831 | NZ 1998-502905 | 19980826 <-- |
| JP 2001514384 | T | 20010911 | JP 2000-507994 | 19980826 <-- |
| NO 2000000944 | A | 20000225 | NO 2000-944 | 20000225 <-- |
| US 20020061835 | A1 | 20020523 | US 2001-828761 | 20010409 <-- |
| US 20030078517 | A1 | 20030424 | US 2001-839785 | 20010420 <-- |
| AU 2002026986 | A | 20020611 | AU 2002-26986 | 20011127 <-- |
| PRIORITY APPLN. INFO.: | | | | |
| | | | US 1997-966076 | A 19971107 |
| | | | US 2000-727950 | A 20001201 |
| | | | US 2001-819924 | A 20010328 |
| | | | US 2001-828761 | A 20010409 |
| | | | US 2001-839785 | A 20010420 |
| | | | US 1997-919906 | A 19970828 |
| | | | WO 1998-US17657 | W 19980826 |
| | | | US 1999-439795 | A2 19991112 |
| | | | US 2000-501856 | A2 20000210 |
| | | | US 2000-628401 | A2 20000801 |
| | | | WO 2001-US44352 | W 20011127 |

AB Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for

explaining/counteracting endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

| PI | WO 2002043806 A2 | 20020606 | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|----------|------------|-----------------|------|-----------------|--------------|
| PI | WO 2002043806 | A2 | 20020606 | | | WO 2001-US44352 | 20011127 <-- |
| | WO 2002043806 | A3 | 20030327 | | | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | | | |
| | CA 2301161 | A1 | 19990304 | CA 1998-2301161 | | | 19980826 <-- |
| | WO 9910724 | A2 | 19990304 | WO 1998-US17657 | | | 19980826 <-- |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | | | |
| | HU 2001000201 | A2 | 20010528 | HU 2001-201 | | | 19980826 <-- |
| | HU 2001000201 | A3 | 20040329 | | | | |
| | NZ 502905 | A | 20010831 | NZ 1998-502905 | | | 19980826 <-- |
| | JP 2001514384 | T | 20010911 | JP 2000-507994 | | | 19980826 <-- |
| | NO 2000000944 | A | 20000225 | NO 2000-944 | | | 20000225 <-- |
| | US 20020061835 | A1 | 20020523 | US 2001-828761 | | | 20010409 <-- |
| | US 20030078517 | A1 | 20030424 | US 2001-839785 | | | 20010420 <-- |
| | AU 2002026986 | A | 20020611 | AU 2002-26986 | | | 20011127 <-- |
| IT | Adrenoceptor antagonists | | | | | | |
| | Antiarrhythmics | | | | | | |
| | Anticholesteremic agents | | | | | | |
| | Anticoagulants | | | | | | |
| | Antidiabetic agents | | | | | | |
| | Antihypertensives | | | | | | |
| | Antibesity agents | | | | | | |
| | Appetite depressants | | | | | | |
| | Calcium channel blockers | | | | | | |
| | Circulation | | | | | | |
| | Diuretics | | | | | | |
| | Electrolytes | | | | | | |
| | Hypolipemic agents | | | | | | |
| | Platelet aggregation inhibitors | | | | | | |
| | Vasodilators | | | | | | |

(methods and apparatus for determining and utilizing the viscosity of circulating blood over a range of shear rates for diagnostics and treatment)

IT 50-28-2, Estradiol, biological studies 50-78-2, Aspirin 52-01-7, Spironolactone 52-53-9, Verapamil 54-11-5, Nicotine 54-31-9, Furosemide 55-63-0, Nitroglycerin 57-63-6, Ethynodiol 58-32-2, Dipyridamole 58-54-8, Ethacrynic acid 58-93-5, Hydrochlorothiazide 58-94-6, Chlorothiazide 59-66-5, Acetazolamide 68-22-4, Norethindrone 69-65-8, D-Mannitol 70-51-9 72-33-3, Mestranol 81-81-2, Warfarin 86-54-4, Hydralazine 87-33-2, Isosorbide dinitrate 94-20-2, Chlorpropamide 122-09-8, Phenterine 396-01-0, Triamterene 520-85-4, Medroxyprogesterone 525-66-6, Propranolol 634-03-7, Phenidmetrazine 637-07-0, Clofibrate 657-24-9, Metformin 797-63-7, Levonorgestrel 1156-19-0, Tolazamide 1231-93-2, Ethynodiol 2098-66-0, Cyproterone 3056-17-5, Stavudine 3930-20-9, Sotalol 4291-63-8, Cladribine 6533-00-2, Norgestrel 8001-27-2, Hirudin 9000-94-6, Antithrombin 9002-01-1, Streptokinase 9002-72-6, Somatotropin 9004-10-8, Insulin, biological studies 9004-54-0, Dextran, biological studies 9005-27-0, Hetastarch 9007-12-9, Calcitonin 9039-53-6, Urokinase 10238-21-8, Glyburide 11041-12-6, Cholestyramine 12650-69-0, Mupirocin 13523-86-9, Pindolol 15291-77-7, Ginkgolide b 15307-86-5, Diclofenac 16051-77-7, Isosorbide mononitrate 17560-51-9, Metolazone 18559-94-9, Salbutamol 21256-18-8, Oxaprozin 21829-25-4, Nifedipine 25614-03-3, Bromocriptine 25812-30-0, Gemfibrozil 26807-65-8, Indapamide 26839-75-8, Timolol 28395-03-1, Bumetanide 28523-86-6, Sevoflurane 28721-07-5, Oxcarbazepine 29094-61-9, Glipizide 29122-68-7, Atenolol 29457-07-6, Ticarcillin disodium 30516-87-1, Zidovudine 32222-06-3, Caicitriol 34391-04-3, Levosalbutamol 34580-13-7, Ketotifen 34911-55-2, Buproprion 35189-28-7, Norgestimate 38304-91-5, Minoxidil 39562-70-4, Nitrendipine 42200-33-9, Nadolol 42399-41-7, Diltiazem 42924-53-8, Nabumetone 47141-42-4, Levobunolol 49562-28-9, Fenofibrate 50925-79-6, Colestipol 51384-51-1, Metoprolol 54024-22-5, Desogestrel 55142-85-3, Ticlopidine 55985-32-5, Nicardipine 56180-94-0, Acarbose 56211-40-6, Torsemide 56420-45-2, Epirubicin 59122-46-2, Misoprostol 60202-16-6, Blood-coagulation factor XIV 60282-87-3, Gestodene 62571-86-2, Captoril 63612-50-0, Nilutamide 63675-72-9, Nisoldipine 64221-86-9, Imipenem 64544-07-6, Cefuroxime axetil 64706-54-3, Bepridil 66085-59-4, Nimodipine 66722-44-9, Bisoprolol 67227-56-9, Fenoldopan 68252-19-7, Pirimel 68291-97-4, Zonisamide 69655-05-6, Didanosine 71119-11-4, Bucindolol 71486-22-1, Vinorelbine 72509-76-3, Felodipine 72956-09-3, Carvedilol 73573-87-2, Formoterol 73963-72-1, Cilostazol 74191-85-8, Doxazosin 74863-84-6, Argatroban 75330-75-5, Lovastatin 75695-93-1, Isradipine 75847-73-3, Enalapril 76547-98-3, Lisinopril 77191-36-7, Nefiracetam 78415-72-2, Milrinone 79350-37-1, Cefixime 79902-63-9, Simvastatin 80474-14-2, Fluticasone propionate 81732-65-2, Bambuterol 82410-32-0, Ganciclovir 83869-56-1, GM-CSF 84057-84-1, Lamotrigine 84057-95-4, Ropivacaïne 84449-90-1, Raloxifene 84625-59-2, Dotarizine 85441-61-8, Quinapril 86541-75-5, Benazepril 86780-90-7, Arandipine 87239-81-4, Cefpodoxime proxetil 87333-19-5, Ramipril 87679-37-6, Trandolapril 88150-42-9, Amlodipine 89365-50-4, Salmeterol 89565-68-4, Tropisetron 90729-41-2, Oxdipine 92665-29-7, Cefprozil 93221-48-8, Levobetaxolol 93479-97-1, Glimepiride 93957-54-1, Fluvastatin 94535-50-9, Lemakalim 94739-29-4, Lemildipine 95058-81-4, Gemcitabine 96036-03-2, Meropenem 96125-53-0, Clentiazem 96829-58-2, Orlistat 97240-79-4, Tipirimate 97322-87-7, Troglitazone 97682-44-5, Irinotecan 98048-97-6, Fosinopril 99522-79-9, Pranidipine 100427-26-7, Lercanidipine 100986-85-4,

Levofloxacin 101526-83-4, Sematilide 102786-52-7, Blood-coagulation factor VII (human clone λ HVII2463 protein moiety) 103577-45-3,
 Lansoprazole 103745-39-7, Fasudil 103890-78-4, Lacidipine 104713-75-9, Barnidipine 105816-04-4, Nateglinide 105857-23-6,
 Alteplase 105979-17-7, Benidipine 106650-56-0, Sibutramine 107452-89-1, Ziconotide 109889-09-0, Granisetron 111025-46-8,
 Pioglitazone 112809-51-5, Letrozole 113665-84-2, Clopidogrel 113806-05-6, Olopatadine 114432-13-2, Fantofarone 114798-26-4,
 Losartan 114870-03-0, Fondaparinux sodium 115103-54-3, Tiagabine 115256-11-6, Dofetilide 116308-55-5, Watanidipine 117279-73-9,
 Israpafant 118457-14-0, Nebivolol 119684-05-8, Mesoglycan 120511-73-1, Anastrozole 120993-53-5, Desirudin 121181-53-1,
 Filgrastim 121679-13-8, Naratriptan 122647-31-8, Ibutilide 123524-52-7, Azelnidipine 123774-72-1, Leukine 123948-87-8, Topotecan 124750-99-8, Losartan potassium 124832-26-4, Valacyclovir 124937-51-5,
 Tolterodine 128270-60-0, Bivalirudin 128470-16-6, Arbutamine 129618-40-2, Nevirapine 130209-82-4, Latanoprost 130636-43-0,
 Nifekalant 131179-95-8, RSR 13 132579-32-9, Rocepirafant 132875-61-7,
 Remifentanil 133040-01-4, Eprosartan 133242-30-5, Landiolol 133652-38-7, Reteplase 134308-13-7, Tolcapone 134523-00-5,
 Atorvastatin 134678-17-4, Lamivudine 134865-37-5, Meluadrine tartrate 135062-02-1, Repaglinide 136468-36-5, Forapafant 137862-53-4,
 Valsartan 138068-37-8, Lepirudin 138402-11-6, Irbesartan 138661-03-7, Furnidipine 143653-53-6, Abciximab 144494-65-5, Tirofiban 144689-63-4, Olmesartan medoxomil 144701-48-4, Telmisartan 145040-37-5, Candesartan cilexetil 145375-43-5, Metiglinide 145599-86-6, Cerivastatin 147059-72-1, Trovafloxacin 147511-69-1,
 , Pitavastatin 148883-56-1, Tifacogin 149908-53-2, Azimilide 150332-35-7, Pamaqueside 151489-24-9, ARCE68397aa 158876-82-5,
 Rupatadine 159776-70-2, Melagatran 170902-47-3, Roxifiban 173324-94-2, Temiverine 187523-35-9, BMS204352 188627-80-7,
 Eptifibatide 210101-16-9, Conivaptan 679809-58-6, Enoxaparin sodium
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (methods and apparatus for determining and utilizing the viscosity of circulating blood over a range of shear rates for diagnostics and treatment)

L9 ANSWER 28 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 137:11000 CA
 TITLE: Pharmaceutical compositions containing angiotensin receptor blockers for treating sexual dysfunction
 INVENTOR(S): Sahota, Pritam Singh
 PATENT ASSIGNEE(S): Novartis Ag, Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.; Novartis Pharma. GmbH
 SOURCE: PCT Int. Appl., 26 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 2002043807 | A2 | 20020606 | WO 2001-EP13976 | 20011129 <-- |
| WO 2002043807 | A3 | 20030814 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, | | | | |

| | | | | |
|---|----|----------|-----------------|--------------|
| HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, ZW RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| CA 2430924 | A1 | 20020606 | CA 2001-2430924 | 20011129 <-- |
| AU 2002026365 | A5 | 20020611 | AU 2002-26365 | 20011129 <-- |
| EP 1353727 | A2 | 20031022 | EP 2001-995680 | 20011129 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004514703 | T | 20040520 | JP 2002-545776 | 20011129 |
| US 20020107236 | A1 | 20020808 | US 2001-8445 | 20011203 <-- |
| US 20040087484 | A1 | 20040506 | US 2003-433189 | 20030624 |
| PRIORITY APPLN. INFO.: | | | US 2000-250540P | P 20001201 |
| | | | WO 2001-EP13976 | W 20011129 |

AB The present invention relates to methods of treating sexual dysfunction associated with hypertension and another condition by administering a pharmaceutical combination of an angiotensin receptor blocker with either an anti-hypertensive drug or an HMG-CoA reductase inhibitor. A film-coated tablet contained valsartan 8.00, microcryst. cellulose 54.00, crosovidone 20.00, colloidal silica 1.50, magnesium stearate 4.5, and Diolack pale red 00F34899 7.00 mg.

PI WO 2002043807 A2 20020606

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|-------|----------|-----------------|--------------|
| ----- | ----- | ----- | ----- | ----- |
| PI WO 2002043807 | A2 | 20020606 | WO 2001-EP13976 | 20011129 <-- |
| WO 2002043807 | A3 | 20030814 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, ZW RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| CA 2430924 | A1 | 20020606 | CA 2001-2430924 | 20011129 <-- |
| AU 2002026365 | A5 | 20020611 | AU 2002-26365 | 20011129 <-- |
| EP 1353727 | A2 | 20031022 | EP 2001-995680 | 20011129 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2004514703 | T | 20040520 | JP 2002-545776 | 20011129 |
| US 20020107236 | A1 | 20020808 | US 2001-8445 | 20011203 <-- |
| US 20040087484 | A1 | 20040506 | US 2003-433189 | 20030624 |

IT Angiotensin receptor antagonists

Antihypertensives

Calcium channel blockers

Diabetes mellitus

Diuretics

Sexual disorders

α -Adrenoceptor antagonists

β -Adrenoceptor agonists

β -Adrenoceptor antagonists

(pharmaceutical compns. containing angiotensin receptor blockers for
treating sexual dysfunction)

IT 52-53-9, Verapamil 55-63-0, Nitroglycerin 58-93-5, Hydrochlorothiazide
58-94-6D, Thiazide, derivs. 87-33-2, Isosorbide dinitrate 525-66-6
16051-77-7, Isosorbide mononitrate 16662-47-8, Gallopamil 21829-25-4,
Nifedipine 22609-73-0, Niludipine 39562-70-4, Nitrendipine

42399-41-7, Diltiazem 51384-51-1, Metoprolol 55985-32-5, Nicardipine
 57010-31-8, Tiapamil 62571-86-2, Captopril 63675-72-9, Nisoldipine
 66085-59-4, Nimodipine 66722-44-9, Bisoprolol 72509-76-3, Felodipine
 74258-86-9, Alacepril 75330-75-5, Lovastatin 75695-93-1, Isradipine
 75847-73-3, Enalapril 76420-72-9, Enalaprilat 76547-98-3, Lisinopril
 79902-63-9, Simvastatin 81093-37-0, PRAVASTATIN 82834-16-0,
 Perindopril 83435-66-9, Delapril 83647-97-6, Spirapril 85441-61-8,
 Quinapril 85856-54-8, Moveltipril 86541-74-4, Benazepril hydrochloride
 86541-75-5, Benazepril 86541-78-8, Benazeprilat 87333-19-5, Ramipril
 87679-37-6, Trandolapril 88150-42-9, Amlodipine 88768-40-5, Cilazapril
 89371-37-9, Imidapril 89964-00-1, Ryosidine 93957-55-2, Fluvastatin
 sodium 98048-97-6, Fosinopril 103336-05-6, Ditekiren 111223-26-8,
 Ceronapril 111470-99-6, Amlodipine besylate 111902-57-9, Temocapril
 113165-32-5, Niguldipine 114798-26-4, Losartan 119625-78-4, Terlakiren
 133040-01-4, Eprosartan 134523-00-5, Atorvastatin 135015-84-8, Zd 8731
 137862-53-4, Valsartan 138402-11-6, Irbesartan 138742-43-5, Zankiren
 139481-59-7, Candesartan 144701-48-4, Telmisartan 145216-43-9,
 Sc-52458 145599-86-6, Cerivastatin 145733-36-4, Tasosartan
 146623-69-0, Saptisartan 147511-69-1, PITaVASTATIN
 173334-57-1, Aliskiren
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (pharmaceutical compns. containing angiotensin receptor blockers for
 treating sexual dysfunction)

L9 ANSWER 29 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 136:406945 CA
 TITLE: Methods for in vivo drug delivery based on monitoring
 blood flow parameters
 INVENTOR(S): Kensey, Kenneth R.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S.
 Ser. No. 727,950.
 CODEN: USXKC0
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 8
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| US 20020061835 | A1 | 20020523 | US 2001-828761 | 20010409 <-- |
| US 6019735 | A | 20000201 | US 1997-919906 | 19970828 <-- |
| CA 2301161 | A1 | 19990304 | CA 1998-2301161 | 19980826 <-- |
| WO 9910724 | A2 | 19990304 | WO 1998-US17657 | 19980826 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| HU 2001000201 | A2 | 20010528 | HU 2001-201 | 19980826 <-- |
| HU 2001000201 | A3 | 20040329 | | |
| NZ 502905 | A | 20010831 | NZ 1998-502905 | 19980826 <-- |

| | | | | |
|------------------------|--|-----------------|-----------------|----------------|
| JP 2001514384 | T | 20010911 | JP 2000-507994 | 19980826 <-- |
| US 6322524 | B1 | 20011127 | US 1999-439795 | 19991112 <-- |
| US 6322525 | B1 | 20011127 | US 2000-501856 | 20000210 <-- |
| NO 2000000944 | A | 20000225 | NO 2000-944 | 20000225 <-- |
| MX 200002073 | A | 20010821 | MX 2000-2073 | 20000228 <-- |
| US 6428488 | B1 | 20020806 | US 2000-615340 | 20000712 <-- |
| WO 2002009583 | A2 | 20020207 | WO 2001-US23696 | 20010730 <-- |
| WO 2002009583 | A3 | 20020425 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, SZ, BE, CY, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| WO 2002043806 | A2 | 20020606 | WO 2001-US44352 | 20011127 <-- |
| WO 2002043806 | A3 | 20030327 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| AU 2002026986 | A | 20020611 | AU 2002-26986 | 20011127 <-- |
| US 20020088953 | A1 | 20020711 | US 2001-33841 | 20011227 <-- |
| US 6624435 | B2 | 20030923 | | |
| WO 2002079778 | A2 | 20021010 | WO 2002-US3984 | 20020207 <-- |
| WO 2002079778 | A3 | 20030710 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| US 20020184941 | A1 | 20021212 | US 2002-156165 | 2002020528 <-- |
| US 6571608 | B2 | 20030603 | | |
| PRIORITY APPLN. INFO.: | | | | |
| | | US 1997-919906 | A2 19970828 | |
| | | US 1999-439795 | A2 19991112 | |
| | | US 2000-501856 | A2 20000210 | |
| | | US 2000-628401 | A2 20000801 | |
| | | US 2000-727950 | A2 20001201 | |
| | | US 1997-966076 | A 19971107 | |
| | | WO 1998-US17657 | W 19980826 | |
| | | US 2000-615340 | A3 20000712 | |
| | | US 2000-228612P | P 20000828 | |
| | | US 2001-789350 | B2 20010221 | |
| | | US 2001-819924 | A 20010328 | |
| | | US 2001-828761 | A 20010409 | |
| | | US 2001-839785 | A 20010420 | |

US 2001-841389 A 20010424
 US 2001-897164 A3 20010702
 WO 2001-US44352 W 20011127

AB Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream.

PI US 20020061835 A1 20020523

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| US 20020061835 | A1 | 20020523 | US 2001-828761 | 20010409 <-- |
| US 6019735 | A | 20000201 | US 1997-919906 | 19970828 <-- |
| CA 2301161 | A1 | 19990304 | CA 1998-2301161 | 19980826 <-- |
| WO 9910724 | A2 | 19990304 | WO 1998-US17657 | 19980826 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, Tj, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| HU 2001000201 | A2 | 20010528 | HU 2001-201 | 19980826 <-- |
| HU 2001000201 | A3 | 20040329 | | |
| NZ 502905 | A | 20010831 | NZ 1998-502905 | 19980826 <-- |
| JP 2001514384 | T | 20010911 | JP 2000-507994 | 19980826 <-- |
| US 6322524 | B1 | 20011127 | US 1999-439795 | 19991112 <-- |
| US 6322525 | B1 | 20011127 | US 2000-501856 | 20000210 <-- |
| NO 2000000944 | A | 20000225 | NO 2000-944 | 20000225 <-- |
| MX 2000002073 | A | 20010821 | MX 2000-2073 | 20000228 <-- |
| US 6428488 | B1 | 20020806 | US 2000-615340 | 20000712 <-- |
| WO 2002009583 | A2 | 20020207 | WO 2001-US23696 | 20010730 <-- |
| WO 2002009583 | A3 | 20020425 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, SZ, BE, CY, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG | | | | |
| WO 2002043806 | A2 | 20020606 | WO 2001-US44352 | 20011127 <-- |
| WO 2002043806 | A3 | 20030327 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, | | | | |

UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
 KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR,
 IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
 GQ, GW, ML, MR, NE, SN, TD, TG
 AU 2002026986 A 20020611 AU 2002-26986 20011127 <--
 US 20020088953 A1 20020711 US 2001-33841 20011227 <--
 US 6624435 B2 20030923
 WO 2002079778 A2 20021010 WO 2002-US3984 20020207 <--
 WO 2002079778 A3 20030710
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
 LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
 PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
 UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG,
 KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR,
 IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
 GQ, GW, ML, MR, NE, SN, TD, TG
 US 20020184941 A1 20021212 US 2002-156165 20020528 <--
 US 6571608 B2 20030603

IT Adrenoceptor antagonists
 Agglutination
 Antiarrhythmics
 Anticholesteremic agents
 Anticoagulants
 Antidiabetic agents
 Antihypertensives
 Antioesity agents
 Appetite depressants
 Blood coagulation
 Calcium channel blockers
 Cardiac contraction
 Circulation
 Diagnostic agents
 Dietary supplements
 Drug delivery systems
 Drug dependence
 Electrolytes, biological
 Human
 Hypolipemic agents
 Platelet aggregation
 Platelet aggregation inhibitors
 Psychotropics
 Surfactants
 Thixotropy
 Vasodilators
β-Adrenoceptor antagonists
 (methods for in vivo drug delivery based on monitoring blood flow
 parameters)
IT 50-28-2, Estradiol, biological studies 50-78-2, Aspirin 52-01-7,
 Spironolactone 52-53-9, Verapamil 54-11-5, Nicotine 54-31-9,
 Furosemide 55-63-0, Nitroglycerin 57-63-6, Ethynodiol
 58-32-2, Dipyridamole 58-54-8, Ethacrynic acid 58-93-5,
 Hydrochlorothiazide 58-94-6, Chlorothiazide 59-66-5, Acetazolamide

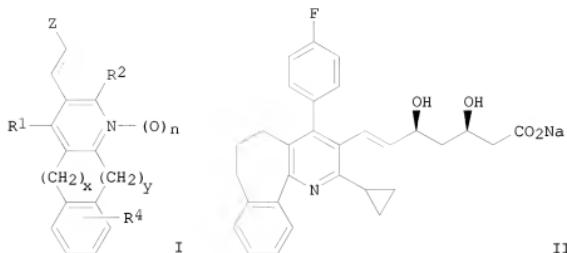
68-22-4, Norethindrone 69-65-8, D-Mannitol 70-51-9 72-33-3,
 Mestranol 81-81-2, Warfarin 86-54-4, Hydralazine 87-33-2, Isosorbide
 dinitrate 94-20-2, Chlorpropamide 122-09-8, Phentermine 396-01-0,
 Triamterene 520-85-4, Medroxyprogesterone 525-66-6, Propranolol
 634-03-7, Phendimetrazine 637-07-0, Clofibrate 657-24-9, Metformin
 797-63-7, Levonorgestrel 1156-19-0, Tolazamide 1231-93-2, Ethynodiol
 2098-66-0, Cyproterone 3056-17-5, Stavudine 3930-20-9, Sotalol
 4291-63-8, Cladribine 6533-00-2, Norgestrel 8001-27-2, Hirudin
 9000-94-6, Antithrombin III 9002-01-1, Streptokinase 9002-72-6,
 Somatotropin 9004-10-8, Insulin, biological studies 9004-54-0,
 Dextran, biological studies 9005-27-0, Heta starch 9007-12-9,
 Calcitonin 9039-53-6, Urokinase 9041-08-1, OP 2000 10238-21-8,
 Glyburide 11041-12-6, Cholesteramine 12650-69-0, Mupirocin
 13523-86-9, Pindolol 15291-77-7, Ginkgolide B 15307-86-5, Diclofenac
 16051-77-7, Isosorbide mononitrate 17560-51-9, Metolazone 18559-94-9,
 Salbutamol 21256-18-8, Oxaprozin 21829-25-4, Nifedipine 24967-94-0,
 Dermatan sulfate 25614-03-3, Bromocriptine 25812-30-0, Gemfibrozil
 26807-65-8, Indapamide 26839-75-8, Timolol 28395-03-1, Bumetanide
 28523-86-6, Sevorflurane 28721-07-5, Oxcarbazepine 29094-61-9,
 Glipizide 29122-68-7, Atenolol 29457-07-6, Ticarcillin disodium
 30516-87-1, Zidovudine 32222-06-3, Calcitriol 34391-04-3,
 Levosalbutamol 34580-13-7, Ketotifen 34911-55-2, Bupropion
 35189-28-7, Norgestimate 38304-91-5, Minoxidil 42200-33-9, Nadolol
 42399-41-7, Diltiazem 42924-53-8, Nabumetone 47141-42-4, Levobunolol
 49562-28-9, Fenofibrate 50925-79-6, Colestipol 51333-22-3, Budesone
 51384-51-1, Metoprolol 54024-22-5, Desogestrel 55142-85-3, Ticlopidine
 55985-32-5, Nicardipine 56180-94-0, Acarbose 56211-40-6, Torsemide
 56420-45-2, Epirubicin 59122-46-2, Misoprostol 60282-87-3, Gestodene
 62571-86-2, Captoril 63612-50-0, Nilutamide 63675-72-9, Nisoldipine
 64221-86-9, Imipenem 64544-07-6, Cefuroxime axetil 64706-54-3,
 Bepridil 66085-59-4, Nimodipine 66722-44-9, Bisoprolol 67227-56-9,
 Fenoldopan 68252-19-7, Pirenadol 68291-97-4, Zonisamide 69655-05-6,
 Didanosine 71119-11-4, Bucindolol 71486-22-1, Vinorelbine
 72509-76-3, Felodipine 72956-09-3, Carvedilol 73573-87-2, Formoterol
 73963-72-1, Cilostazol 74191-85-8, Doxazosin 74863-84-6, Argatroban
 75330-75-5, Lovastatin 75695-93-1, Isradipine 75847-73-3, Enalapril
 76547-98-3, Lisinopril 77191-36-7, Neferacetam 78415-72-2, Milrinone
 79350-37-1, Cefixime 79902-63-9, Simvastatin 80474-14-2, Fluticasone
 propionate 81732-65-2, Bamabuterol 82410-32-0, Ganciclovir
 83869-56-1, Granulocyte-macrophage colony-stimulating factor 84057-84-1,
 Lamotrigine 84057-95-4, Ropivacaïne 84449-90-1, Raloxifene
 84625-59-2, Dotarizine 85441-61-8, Quinapril 86541-75-5, Benazepril
 86780-90-7, Aranidipine 87239-81-4, Cefpodoxime proxetil 87333-19-5,
 Ranipril 87679-37-6, Trandolapril 88150-42-9, Amlodipine 89365-50-4,
 Salmeterol 89565-68-4, Tropisetron 90729-41-2, Oxodipine 92665-29-7,
 Cefprozil 93221-48-8, Levobetaxolol 93479-97-1, Glimepiride
 93957-54-1, Fluvastatin 94535-50-9, Lemakalim 94739-29-4, Lemildipine
 95058-81-4, Gemcitabine 96036-03-2, Meropenem 96125-53-0, Clentiazem
 96829-58-2, Orlistat 97240-79-4, Topiramate 97322-87-7, Troglitazone
 97682-44-5, Irinotecan 98048-97-6, Fosinopril 99522-79-9, Pranidipine
 100427-26-7, Lercanidipine 100986-85-4, Levofloxacin 101526-83-4,
 Sematilide 102786-52-7, Blood-coagulation factor VII (human clone
 λHVII2463 protein moiety) 103577-45-3, Lansoprazole
 103745-39-7, Fasudil 103890-78-4, Lacidipine 104713-75-9, Barnidipine
 105816-04-4, Nateglinide 105857-23-6, Alteplase 105979-17-7,
 Benidipine 106650-56-0, Sibutramine 107452-89-1, Ziconotide
 109889-09-0, Granisetron 111025-46-8, Pioglitazone 112809-51-5,

Letrozole 113665-84-2, Clopidogrel 113806-05-6, Olopatadine
 114432-13-2, Fantofarone 114798-26-4, Losartan 114870-03-0,
 Fondaparinux sodium 115103-54-3, Tiagabine 115256-11-6, Dofetilide
 116308-55-5 117279-73-9, Israpafant 118457-14-0, Nebivolol
 119684-05-8, Mesoglycan 120511-73-1, Anastrozole 120993-53-5,
 Desirudin 121181-53-1, Filgrastim 121679-13-8, Naratriptan
 122647-31-8, Ibutilide 123524-52-7, Azeclidipine 123774-72-1, Leukine
 123948-87-8, Topotecan 124750-99-8, Losartan potassium 124832-26-4,
 Valacyclovir 124937-51-5, Tolterodine 125670-52-2 128270-60-0,
 Bivalirudin 128470-16-6, Arbutamine 129618-40-2, Nevirapine
 130209-82-4, Latanoprost 130636-43-0, Nifekalant 131179-95-8, RSR13
 132579-32-9, Rocepfant 132875-61-7, Remifentanil 133040-01-4,
 Eprosartan 133242-30-5, Landiolol 133652-38-7, Reteplase
 134308-13-7, Tolcapone 134523-00-5, Atorvastatin 134678-17-4,
 Laniuvudine 134865-37-5, Meluadrine tartrate 135062-02-1, Repaglinide
 136468-36-5, Forapafant 137862-53-4, Valsartan 138068-37-8, Lepirudin
 138402-11-6, Irbesartan 138661-03-7, Furnidipine 143653-53-6,
 Abciximab 144494-65-5, Tirofiban 144689-63-4, Olmesartan
 144701-48-4, Telmisartan 145040-37-5, Candesartan cilexetil
 145375-43-5, Mitiglinide 145599-86-6, Cerivastatin 147059-72-1,
 Trovaloxacin 147511-69-1, Pitavastatin 148883-56-1, Tifacogin
 149908-53-2, Azinilide 150332-35-7, Pamaqueside 154189-24-9,
 ARC68397AA 158876-82-5, Rupatadine 159776-70-2, Melagatran
 170902-47-3, Roxifiban 173324-94-2, Temiverine 186615-83-8
 187523-35-9, BMS204352 187741-48-6, CHF 1521 188627-80-7, Eptifibatide
 210101-16-9, Conivaptan 679809-58-6, Enoxaparin sodium
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (methods for in vivo drug delivery based on monitoring blood flow
 parameters)

L9 ANSWER 30 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 136:401651 CA
 TITLE: Preparation of fused pyridine derivatives as HMG-CoA
 reductase inhibitors
 INVENTOR(S): Robl, Jeffrey A.; Chen, Bang-Chi; Sun, Chong-Qing
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S.
 Ser. No. 875,218.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|--------------|
| US 20020061901 | A1 | 20020523 | US 2001-8154 | 20011204 <-- |
| US 6620821 | B2 | 20030916 | | |
| US 20020028826 | A1 | 20020307 | US 2001-875218 | 20010606 <-- |
| US 20040024216 | A1 | 20040205 | US 2003-602753 | 20030624 |
| PRIORITY APPLN. INFO.: | | | US 2000-211594P | P 20000615 |
| | | | US 2001-875218 | A2 20010606 |
| | | | US 2001-8154 | A3 20011204 |

OTHER SOURCE(S): MARPAT 136:401651
 GI



A8 The title compds. I and their pharmaceutically acceptable salts, esters, prodrug esters, and stereoisomers are claimed [wherein: Z = CH(OH)CH₂CR⁷(OH)CH₂CO₂R³ or corresponding pyranone lactone derivs.; n = 0, 1; x = 0, 1, 2, 3, or 4; y = 0, 1, 2, 3 or 4, provided that at least one of x and y is other than 0; and optionally one or more carbons of (CH₂)^x and/or (CH₂)^y together with addnl. carbons form a 3 to 7 membered spirocyclic ring; R₁, R₂ = alkyl, arylalkyl, cycloalkyl, alkenyl, cycloalkenyl, aryl, heteroaryl, cycloheteroalkyl; R₃ = H or lower alkyl; R₄ = H, halo, CF₃, OH, alkyl, alkoxy, CO₂H, (un)substituted NH₂, cyano, (un)substituted CONH₂, etc.; R⁷ = H, alkyl]. The compds. are HMG-CoA reductase inhibitors, and are active in inhibiting cholesterol biosynthesis and modulating blood serum lipids, for example, lowering LDL cholesterol and/or increasing HDL cholesterol (no data). I are thus useful in treating hyperlipidemia and dyslipidemia, in hormone replacement therapy, and in treating hypercholesterolemia, hypertriglyceridemia and atherosclerosis, as well as Alzheimer's disease and osteoporosis. Preps. of several compds. are described. For instance, a multistep synthesis of fused pyridine derivative II is reported. Compds. I may be used in a manner similar to atorvastatin, pravastatin, simvastatin, etc. Combinations of compds. I with various other drugs are claimed, the latter being specified as certain pharmacol. classes, as inhibitors of specific enzymes, as (anti)agonists of specific receptors, and as numerous named drugs.

PT US 20020061901 A1 20020523

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|----------------|------|----------|-----------------|--------------|
| PI | US 20020061901 | A1 | 20020523 | US 2001-8154 | 20011204 <-- |
| | US 6620821 | B2 | 20030916 | | |
| | US 20020028826 | A1 | 20020307 | US 2001-875218 | 20010606 <-- |
| | US 20040024216 | A1 | 20040205 | US 2003-602753 | 20030624 |

IT Calcium channel blockers
(T-channel, therapeutic compns. containing; preparation of fused pyridine derivs. as HMG-CoA reductase inhibitors)

IT Calcium channel
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(T-type, blockers, therapeutic compns. containing; preparation of fused pyridine derivatives as HMG CoA reductase inhibitors)

derivs
IT Receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (calcium, agonists, therapeutic compns. containing; preparation of
 fused pyridine derivs. as HMG-CoA reductase inhibitors)

IT 5-HT reuptake inhibitors
 Angiotensin receptor antagonists
 Anti-infective agents
 Anti-inflammatory agents
 Antiarrhythmics
 Antiarthritis
 Antioxidants
 Appetite depressants
 Calcium channel blockers
 Diuretics
 Immunomodulators
 Immunosuppressants
 α -Adrenoceptor antagonists
 β -Adrenoceptor antagonists
 β_3 -Adrenoceptor agonists
 (therapeutic compns. containing; preparation of fused pyridine derivs. as
 HMG-CoA reductase inhibitors)

IT 50-78-2, Aspirin 51-64-9, Dexamphetamine 52-01-7, Spironolactone
 52-53-9, Verapamil 54-31-9, Furosemide 58-32-2, Dipyridamole
 58-93-5, Hydrochlorothiazide 59-67-6, Nicotinic acid, biological studies
 59-67-6D, Nicotinic acid, derivs. 94-20-2, Chlorpropamide 122-09-8,
 Phentermine 303-98-0, Coenzyme Q10 525-66-6, Propranolol 564-25-0,
 Doxycycline 637-07-0, Clofibrate 657-24-9, Metformin 943-45-3D,
 Fibric acid, derivs. 1684-40-8, Tacrine hydrochloride 3416-24-8,
 Glucosamine 4205-91-8, Clonidine hydrochloride 9002-64-6, Parathyroid
 hormone 9004-10-8, Insulin, biological studies 9004-61-9, Hyaluronic
 acid 9007-28-7, Chondroitin sulfate 10118-90-8, Minocycline
 10238-21-8, Glyburide 14838-15-4, Phenylpropanolamine 19237-84-4,
 Prazosin hydrochloride 21187-98-4, Gliclazide 21829-25-4, Nifedipine
 22232-71-9, Mazindol 25812-30-0, Gemfibrozil 26807-65-8, Indapamide
 29094-61-9, Glipizide 29122-68-7, Atenolol 42200-33-9, Nadolol
 49562-28-9, Fenofibrate 55142-85-3, Ticlopidine 56180-94-0, Acarbose
 56211-40-6, Torasemide 62571-86-2, Captopril 66376-36-1, Alendronate
 68475-42-3, Anagrelide 72432-03-2, Miglitol 72956-09-3, Carvedilol
 75330-75-5, Lovastatin 75847-73-3, Enalapril 76547-98-3, Lisinopril
 79902-63-9, Simvastatin 80830-42-8, Fentiapril 81093-37-0, Pravastatin
 85441-61-8, Quinapril 86541-75-5, Benazepril 87333-19-5, Ramipril
 89750-14-1, Glucagon-like peptide I 89750-14-1D, Glucagon-like peptide
 I, mimetics 93479-97-1, Glimepiride 93957-54-1, Fluvastatin
 96829-58-2, Orlistat 97240-79-4, Topiramate 97322-87-7, Troglitazone
 98048-97-6, Fosinopril 103775-10-6, Moexipril 105816-04-4, Nateglinide
 106650-56-0, Sibutramine 111025-46-8, Pioglitazone 111470-99-6,
 Amlodipine besylate 113665-84-2, Clopidogrel 114798-26-4, Losartan
 120014-06-4, Donepezil 122320-73-4, Rosiglitazone 134523-00-5,
 Atorvastatin 135062-02-1, Repaglinide 137862-53-4, Valsartan
 138402-11-6, Irbesartan 141758-74-9, AC2993 143443-90-7, Ifetroban
 143653-53-6, Abciximab 144288-97-1, TS 962 144494-65-5, Tirofiban
 145599-86-6, Cerivastatin 147511-69-1, Pitavastatin
 152755-31-2, LY295427 159183-92-3, L750355 160135-92-2, Gemopatrilat
 161600-01-7 162011-90-7, Vioxz 166518-60-1, Avasimibe 167305-00-2,
 Omapatrilat 169319-62-4, CGS 30440 169590-42-5, Celebrex
 170861-63-9, JTT-501 176435-10-2, LY315902 178759-95-0, MD 700
 182815-44-7, Cholestagel 188627-80-7, Eptifibatide 196808-45-4
 199113-98-9, NN-2344 199914-96-0, YM-440 213252-19-8, KRP297

244081-42-3, AJ9677 251572-86-8, P32/98 258345-41-4, GW-409544
 282526-98-1, ATL-962 287714-41-4, Rosuvastatin 335149-08-1, L895645
 335149-14-9, R-119702 335149-15-0, KAD1129 335149-17-2, AR-H039242
 335149-23-0, NVP-DPP-728A 335149-25-2, CP331648 430433-17-3, Glipyride
 430433-43-5, CP 644673 440469-80-1, Axokine
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (therapeutic compns. containing; preparation of fused pyridine derivs. as
 HMG-CoA reductase inhibitors)

L9 ANSWER 31 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 136:252567 CA
 TITLE: Methods for drug administration and distribution based
 on monitoring blood viscosity and other parameters for
 diagnostics and treatment
 INVENTOR(S): Kensey, Kenneth
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 46 pp., Cont.-in-part of U.S.
 Ser. No. 819,924.
 CODEN: USXKC0
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 8
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|--------------|
| US 20020032149 | A1 | 20020314 | US 2001-841389 | 20010424 <-- |
| US 6019735 | A | 20000201 | US 1997-919906 | 19970828 <-- |
| CA 2301161 | A1 | 19990304 | CA 1998-2301161 | 19980826 <-- |
| WO 9910724 | A2 | 19990304 | WO 1998-US17657 | 19980826 <-- |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| HU 2001000201 | A2 | 20010528 | HU 2001-201 | 19980826 <-- |
| HU 2001000201 | A3 | 20040329 | | |
| NZ 502905 | A | 20010831 | NZ 1998-502905 | 19980826 <-- |
| JP 2001514384 | T | 20010911 | JP 2000-507994 | 19980826 <-- |
| US 6322524 | B1 | 20011127 | US 1999-439795 | 19991112 <-- |
| US 6322525 | B1 | 20011127 | US 2000-501856 | 20000210 <-- |
| NO 2000000944 | A | 20000225 | NO 2000-944 | 20000225 <-- |
| MX 200002073 | A | 20010821 | MX 2000-2073 | 20000228 <-- |
| US 6428488 | B1 | 20020806 | US 2000-615340 | 20000712 <-- |
| WO 2002009583 | A2 | 20020207 | WO 2001-US23696 | 20010730 <-- |
| WO 2002009583 | A3 | 20020425 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, SZ, BE, CY, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

| | | | | |
|----------------|----|----------|----------------|--------------|
| US 20020088953 | A1 | 20020711 | US 2001-33841 | 20011227 <-- |
| US 6624435 | B2 | 20030923 | | |
| WO 2002079778 | A2 | 20021010 | WO 2002-US3984 | 20020207 <-- |
| WO 2002079778 | A3 | 20030710 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|----------------|----|----------|----------------|--------------|
| US 20020184941 | A1 | 20021212 | US 2002-156165 | 20020528 <-- |
| US 6571608 | B2 | 20030603 | | |

PRIORITY APPLN. INFO.:

| | | |
|-----------------|----|----------|
| US 1997-919906 | A2 | 19970828 |
| US 1999-439795 | A2 | 19991112 |
| US 2000-501856 | A2 | 20000210 |
| US 2000-628401 | A2 | 20000801 |
| US 2000-727950 | A2 | 20001201 |
| US 2001-819924 | A2 | 20010328 |
| US 1997-966076 | A | 19971107 |
| WO 1998-US17657 | W | 19980826 |
| US 2000-615340 | A3 | 20000712 |
| US 2000-228612P | P | 20000828 |
| US 2001-789350 | B2 | 20010221 |
| US 2001-828761 | A | 20010409 |
| US 2001-839785 | A | 20010420 |
| US 2001-841389 | A | 20010424 |
| US 2001-897164 | A3 | 20010702 |

AB Various methods are provided for determining and utilizing the viscosity of the circulating blood of a living being, i.e., a human, over a range of shear rates for diagnostics and treatment, such as detecting/reducing blood viscosity, work of the heart, contractility of the heart, for detecting/reducing the surface tension of the blood, for detecting plasma viscosity, for explaining/countering endothelial cell dysfunction, for providing high and low blood vessel wall shear stress data, red blood cell deformability data, lubricity of blood, and for treating different ailments such as peripheral arterial disease in combination with administering to a living being at least one pharmaceutically acceptable agent. Agents pharmaceutically effective to regulate at least one of the aforementioned blood parameters are used to adjust distribution of a substance through the bloodstream. For example, when blood viscosity is a blood flow parameter monitored, an agent is selected from i.v. diluents, red blood cell deformability agents, antiurea agents, oral contraceptives, antidiabetic agents, antiarrhythmics, antihypertensives, antihyperlipidemics, antiplatelet agents, appetite suppressants, antiobesity agents, blood modifiers, smoking deterrent agents, and nutritional supplements.

| | | | | | | | |
|----|----------------|----|----------|-------|-------|-----------------|--------------|
| PI | US 20020032149 | A1 | 20020314 | KIND | DATE | APPLICATION NO. | DATE |
| PI | US 20020032149 | A1 | 20020314 | ----- | ----- | US 2001-841389 | 20010424 <-- |
| PI | US 6019735 | A | 20000201 | ----- | ----- | US 1997-919906 | 19970828 <-- |
| CA | 2301161 | A1 | 19990304 | ----- | ----- | CA 1998-2301161 | 19980826 <-- |
| WO | 9910724 | A2 | 19990304 | ----- | ----- | WO 1998-US17657 | 19980826 <-- |

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|----|----------|-----------------|--------------|
| HU 2001000201 | A2 | 20010528 | HU 2001-201 | 19980826 <-- |
| HU 2001000201 | A3 | 20040329 | | |
| NZ 502905 | A | 20010831 | NZ 1998-502905 | 19980826 <-- |
| JP 2001514384 | T | 20010911 | JP 2000-507994 | 19980826 <-- |
| US 6322524 | B1 | 20011127 | US 1999-439795 | 19991112 <-- |
| US 6322525 | B1 | 20011127 | US 2000-501856 | 20000210 <-- |
| NO 2000000944 | A | 20000225 | NO 2000-944 | 20000225 <-- |
| MX 200002073 | A | 20010821 | MX 2000-2073 | 20000228 <-- |
| US 6428488 | B1 | 20020806 | US 2000-615340 | 20000712 <-- |
| WO 2002009583 | A2 | 20020207 | WO 2001-US23696 | 20010730 <-- |
| WO 2002009583 | A3 | 20020425 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, SZ, BE, CY, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20020088953 | A1 | 20020711 | US 2001-33841 | 20011227 <-- |
| US 6624435 | B2 | 20030923 | | |
| WO 2002079778 | A2 | 20021010 | WO 2002-US3984 | 20020207 <-- |
| WO 2002079778 | A3 | 20030710 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 20020184941 | A1 | 20021212 | US 2002-156165 | 20020528 <-- |
| US 6571608 | B2 | 20030603 | | |
| IT Adrenoceptor antagonists | | | | |
| Agglutination | | | | |
| Antiarhythmics | | | | |
| Anticholesteremic agents | | | | |
| Anticoagulants | | | | |
| Antidiabetic agents | | | | |
| Antihypertensives | | | | |
| Antiobesity agents | | | | |
| Appetite depressants | | | | |
| Blood analysis | | | | |
| Blood coagulation | | | | |
| Calcium channel blockers | | | | |
| Cardiac contraction | | | | |
| Circulation | | | | |

Diagnosis
 Dietary supplements
 Drug delivery systems
 Drug delivery systems
 Drug dependence
 Electrolytes, biological
 Human
 Hypolipemic agents
 Platelet aggregation
 Platelet aggregation
 Platelet aggregation inhibitors
 Sedimentation (separation)
 Surfactants
 Therapy
 Thixotropy
 Tobacco products
 Vasodilators
 β -Adrenoceptor antagonists
 (apparatus and methods for monitoring blood viscosity and other parameters
 in drug delivery for diagnostics and treatment)
 IT 50-28-2, Estradiol, biological studies 50-78-2, Aspirin 52-01-7,
 Spirostanolactone 52-53-9, Verapamil 54-11-5, Nicotine 54-31-9,
 Furosemide 55-63-0, Nitroglycerin 57-63-6, Ethinyl estradiol
 58-32-2, Dipyridamole 58-54-8, Ethacrynic acid 58-93-5,
 Hydrochlorothiazide 58-94-6, Chlorothiazide 59-66-5, Acetazolamide
 68-22-4, Norethindrone 69-65-8, Mannitol 70-51-9 72-33-3, Mestranol
 81-81-2, Warfarin 86-54-4, Hydralazine 87-33-2, Isosorbide dinitrate
 94-20-2, Chloropropamide 122-09-8, Phentermine 396-01-0, Triamterene
 520-85-4, Medroxyprogesterone 525-66-8, Propranolol 634-03-7,
 Phenindimethazine 637-07-0, Clofibrate 657-24-9, Metformin 797-63-7,
 Levonorgestrel 1156-19-0, Tolazamide 1231-93-2, Ethynodiol
 2098-66-0, Cyproterone 3056-17-5, Stavudine 3930-20-9, Sotalol
 4291-63-8, Cladribine 6533-00-2, Norgestrel 8001-27-2, Hirudin
 9000-69-5, Pectin 9000-94-6, Antithrombin III 9002-01-1, Streptokinase
 9002-18-0, Agar 9002-72-6, Somatotropin 9004-10-8, Insulin, biological
 studies 9004-54-0, Dextran, biological studies 9004-67-5, Methyl
 cellulose 9005-27-0, Hestastarch 9007-12-9, Calcitonin 9039-53-6,
 Urokinase 9041-08-1, OP 2000 10238-21-8, Glyburide 11041-12-6,
 Cholestyramine 12650-69-0, Mupirocin 13523-86-9, Pindolol
 15291-77-7, Ginkgolide B 15307-86-5, Diclofenac 16051-77-7, Isosorbide
 mononitrate 17560-51-9, Metolazone 18559-94-9, Salbutamol
 21256-18-8, Oxaprozin 21829-25-4, Nifedipine 24967-94-0, Dermatan
 sulfate 25232-68-3, Polyethylene glycol 25614-03-3, Bromocriptine
 25812-30-0, Gemfibrozil 26807-65-8, Indapamide 26839-75-8, Timolol
 28395-03-1, Bumetanide 28523-86-6, Sevoflurane 28721-07-5,
 Oxcarbazepine 29094-61-9, Glipizide 29122-68-7, Atenolol 29457-07-6,
 Ticarcillin disodium 30516-87-1, Zidovudine 32222-06-3, Calcitriol
 34391-04-3, Levosalbutamol 34580-13-7, Ketotifen 34911-55-2, Bupropion
 35189-28-7, Norgestimate 38304-91-5, Minoxidil 39562-70-4,
 Nitrendipine 42200-33-9, Nadolol 42399-41-7, Diltiazem 42924-53-8,
 Nabumetone 47141-42-4, Levobunolol 49562-28-9, Fenofibrate
 50925-79-6, Colestipol 51333-22-3, Budesonide 51384-51-1, Metoprolol
 54024-22-5, Desogestrel 55142-85-3, Ticlopidine 55985-32-5,
 Nicardipine 56180-94-0, Acarbose 56211-40-6, Torsemide 56420-45-2,
 Epirubicin 59122-46-2, Misoprostol 60202-16-6, Blood-coagulation
 factor XIV 60282-87-3, Gestodene 62571-86-2, Captopril 63612-50-0,
 Nilutamide 63675-72-9, Nisoldipine 64221-86-9, Imipenem 64544-07-6,

Cefuroxime axetil 64706-54-3, Bepridil 66085-59-4, Nimodipine 66722-44-9, Bisoprolol 67227-56-9, Fenoldopam 68252-19-7, Pirmenol 68291-97-4, Zonisamide 69655-05-6, Didanosine 71119-11-4, Bucindolol 71486-22-1, Vinorelbine 72509-76-3, Felodipine 72956-09-3, Carvedilol 73573-87-2, Formoterol 73963-72-1, Cilostazol 74191-85-8, Doxazosin 74863-84-6, Argatroban 75330-75-5, Lovastatin 75695-93-1, Isradipine 75847-73-3, Enalapril 76547-98-3, Lisinopril 77191-36-7, Nefiracetam 78415-72-2, Milrinone 79350-37-1, Cefixime 79902-63-9, Simvastatin 80474-14-2, Fluticasone propionate 81732-65-2, Bambuterol 82410-32-0, Ganciclovir 82834-16-0, Perindopril 83869-56-1, Granulocyte-macrophage colony-stimulating factor 84057-84-1, Lamotrigine 84057-95-4, Ropivacaïne 84449-90-1, Raloxifene 84625-59-2, Dotarizine 85441-61-8, Quinapril 86541-75-5, Benazepril 86780-90-7, Aranidipine 87239-81-4, Cefpodoxime proxetil 87333-19-5, Ramipril 87679-37-6, Trandolapril 88150-42-9, Amlodipine 89565-68-4, Tropisetron 90729-41-2, Oxodipine 91161-71-6, Terbinafine 92665-29-7, Cefprozil 93221-48-8, Levobetaxolol 93479-97-1, Glimepiride 93957-54-1, Fluvastatin 94535-50-9, Lemakalim 94739-29-4, Lemildipine 95058-81-4, Gemcitabine 96036-03-2, Meropenem 96125-53-0, Clentiazem 96829-58-2, Orlistat 97240-79-4, Topiramate 97322-87-7, Troglitazone 97682-44-5, Irinotecan 98048-97-6, Fosinopril 99522-79-9, Pranidipine 100427-26-7, Lercanidipine 100986-85-4, Levofloxacin 101526-83-4, Sematilide 102786-61-8, Blood-coagulation factor VIIa (human clone λ HVIIc463 protein moiety) 103577-45-3, Lansoprazole 103628-46-2, Sumatriptan 103745-39-7, Fasudil 103890-78-4, Lacidipine 104713-75-9, Barnidipine 105816-04-4, Nateglinide 105857-23-6, Alteplase 105979-17-7, Benidipine 106650-56-0, Sibutramine 107452-89-1, Ziconotide 109889-09-0, Granisetron 111025-46-8, Pioglitazone 112809-51-5, Letrozole 113665-84-2, Clopidogrel 113806-05-6, Olopatadine 114432-13-2, Fantofarone 114798-26-4, Losartan 114870-03-0, Fondaparinux sodium 115103-54-3, Tiagabine 115256-11-6, Dofetilide 116308-55-5, Watanidipine 117279-73-9, Israpafant 118457-14-0, Nebivolol 119684-05-8, Mesoglycan 120511-73-1, Anastrozole 120993-53-5, Desirudin 121181-53-1, Filgrastim 121679-13-8, Naratriptan 122647-31-8, Ibutilide 123524-52-7, Azelnidipine 123774-72-1, Sargramostim 123948-87-8, Topotecan 124750-99-8, Losartan potassium 124832-26-4, Valacyclovir 124937-51-5, Tolterodine 128270-60-0, Bivalirudin 128470-16-6, Arbutamine 129618-40-2, Nevirapine 130209-82-4, Latanoprost 130636-43-0, Nifekalant 131779-95-8, RSR 13 132579-32-9, Rocepfant 132875-61-7, Remifentanil 133040-01-4, Eprosartan 133242-30-5, Landiolol 133652-38-7, Reteplase 134308-13-7, Tolcapone 134523-00-5, Atorvastatin 134678-17-4, Lamivudine 134865-37-5, Meluadrine tartrate 135062-02-1, Repaglinide 136468-36-5, Foropafant 137862-53-4, Valsartan 138068-37-8, Lepirudin 138402-11-6, Irbesartan 138661-03-7, Furnidipine 143653-53-6, Abciximab 144494-65-5, Tirofiban 144689-63-4, Olmesartan medoxomil 144701-48-4, Telmisartan 145040-37-5, Candesartan cilexetil 145375-43-5, Metiglinide 145599-86-6, Cerivastatin 147059-72-1, Trovafloxacin 147511-69-1, Pitavastatin 148883-56-1, Tifacogin 149908-53-2, Azimilide 150332-35-7, Pamaqueside 154189-24-9, ARCC68397AA 158876-82-5, Rupatadine 159776-70-2, Melagatran 170902-47-3, Roxifiban 173324-94-2, Temiverine 187523-35-9, BMS204352

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (apparatus and methods for monitoring blood viscosity and other parameters in drug delivery for diagnostics and treatment)

L9 ANSWER 32 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 136:205466 CA
 TITLE: Medicinal compositions containing HMG-CoA reductase inhibitors and angiotensin II receptor antagonists for preventing or treating heart failure
 INVENTOR(S): Lee, Tsung Ming; Lee, Bai-Ching; Su, Shen-Fang; Hsiao, Chia-Ling; Chu, Chia-Wei
 PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
 SOURCE: PCT Int. Appl., 35 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 2002017913 | A1 | 20020307 | WO 2001-JP7437 | 20010829 <-- |
| W: AU, BR, CA, CN, CO, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PH, PL, RU, SG, SK, US, ZA | | | | |
| RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| AU 2001084413 | A | 20020313 | AU 2001-84413 | 20010829 <-- |
| JP 2002145770 | A | 20020522 | JP 2001-259399 | 20010829 <-- |
| CA 2420844 | A1 | 20030228 | CA 2001-2420844 | 20010829 <-- |
| EP 1314425 | A1 | 20030528 | EP 2001-963398 | 20010829 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR | | | | |
| US 20030181500 | A1 | 20030925 | US 2003-374171 | 20030226 <-- |
| US 20050059720 | A1 | 20050317 | US 2004-977645 | 20041029 |
| PRIORITY APPLN. INFO.: | | | JP 2000-260949 | A 20000830 |
| | | | WO 2001-JP7437 | W 20010829 |
| | | | US 2003-374171 | A3 20030226 |

AB Disclosed are medicinal compns. comprising an HMG-CoA reductase inhibitor selected from the group consisting of pravastatin, simvastatin, lovastatin, pitavastatin and ZD-4522, and an angiotensin II receptor antagonist optionally together with a calcium channel blocker. The preventive effect of administration of pravastatin 10, losartan 50, and amlodipine 5 mg/day for 6 mo on left ventricle hypertrophy in patients was examined

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| PI | WO 2002017913 A1 | 20020307 | | | |
|----|--|----------|----------|-----------------|--------------|
| PI | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| PI | WO 2002017913 | A1 | 20020307 | WO 2001-JP7437 | 20010829 <-- |
| | W: AU, BR, CA, CN, CO, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PH, PL, RU, SG, SK, US, ZA | | | | |
| | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR | | | | |
| | AU 2001084413 | A | 20020313 | AU 2001-84413 | 20010829 <-- |
| | JP 2002145770 | A | 20020522 | JP 2001-259399 | 20010829 <-- |
| | CA 2420844 | A1 | 20030228 | CA 2001-2420844 | 20010829 <-- |
| | EP 1314425 | A1 | 20030528 | EP 2001-963398 | 20010829 <-- |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR | | | | |
| | US 20030181500 | A1 | 20030925 | US 2003-374171 | 20030226 <-- |

US 20050059720 A1 20050317 US 2004-977645 20041029
 AB . . . the group consisting of pravastatin, simvastatin, lovastatin, pitavastatin and ZD-4522, and an angiotensin II receptor antagonist optionally together with a calcium channel blocker. The preventive effect of administration of pravastatin 10, losartan 50, and amlodipine 5 mg/day for 6 mo on. . .
 IT Calcium channel blockers
 (medicinal compns. containing HMG-CoA reductase inhibitors, angiotensin II receptor antagonists, and calcium blockers for preventing or treating heart failure)
 IT 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81131-70-6, Pravastatin sodium salt 147098-20-2, ZD-4522 147511-69-1, Pitavastatin
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (medicinal compns. containing HMG-CoA reductase inhibitors and angiotensin II receptor antagonists for preventing or treating heart failure)
 IT 88150-42-9, Amlodipine
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (medicinal compns. containing HMG-CoA reductase inhibitors, angiotensin II receptor antagonists, and calcium blockers for preventing or treating heart failure)

L9 ANSWER 33 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 136:112193 CA
 TITLE: Synthesis and biological evaluations of quinoline-based HMG-CoA reductase inhibitors
 AUTHOR(S): Suzuki, M.; Iwasaki, H.; Fujikawa, Y.; Kitahara, M.; Sakashita, M.; Sakoda, R.
 CORPORATE SOURCE: Central Research Laboratories, Nissan Chemical Industries, Ltd., Funabashi, Chiba, 274-8507, Japan
 SOURCE: Bioorganic & Medicinal Chemistry (2001), 9(10), 2727-2743
 CODEN: BMECEP; ISSN: 0968-0896
 PUBLISHER: Elsevier Science Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 136:112193
 AB A series of quinoline-based 3,5-dihydroxyheptenoic acid derivs. were synthesized from quinolinicarboxylic acid esters by homologation, aldol condensation with Et acetoacetate dianion, and reduction of 3-hydroxyketone to evaluate their ability to inhibit the enzyme HMG-CoA reductase in vitro. In agreement with previous literature, a strict structural requirement exists on the external ring, and 4-fluorophenyl is the most active in this system. For the central ring, substitution on positions 6, 7, and 8 of the central quinoline nucleus moderately affected the potency, whereas the alkyl side chain on the 2-position had a more pronounced influence on activity. Among the derivs., NK-104 (pitavastatin calcium), which has a cyclopropyl group as the alkyl side chain, showed the greatest potency. We found that further modulation and improvement in potency at inhibiting HMG-CoA reductase was obtained by having the optimal substituents flanking the desmethylmevalonic acid portion, i.e., 4-fluorophenyl and cyclopropyl, instead of the usual iso-Pr group.
 REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
 SO Bioorganic & Medicinal Chemistry (2001), 9(10), 2727-2743
 CODEN: BMECEP; ISSN: 0968-0896
 AB . . . whereas the alkyl side chain on the 2-position had a more

pronounced influence on activity. Among the derivs., NK-104 (pitavastatin calcium), which has a cyclopropyl group as the alkyl side chain, showed the greatest potency. We found that further modulation and . . .

| | | | | |
|----|--------------|--------------|--------------|--------------|
| IT | 118175-21-6P | 118175-23-8P | 130048-17-8P | 207976-70-3P |
| | 391681-56-4P | 391681-57-5P | 391681-58-6P | 391681-59-7P |
| | 391681-60-0P | 391681-61-1P | 391681-62-2P | 391681-63-3P |
| | 391681-65-5P | 391681-66-6P | 391681-67-7P | 391681-68-8P |
| | 391681-70-2P | 391681-71-3P | 391681-72-4P | 391681-73-5P |
| | 391681-75-7P | 391681-76-8P | 391681-77-9P | 391681-78-0P |
| | 391681-80-4P | 391681-81-5P | 391681-82-6P | 391681-83-7P |
| | 391681-85-9P | 391681-86-0P | | 391681-84-8P |

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and biol. evaluations of quinoline-based HMG-CoA reductase inhibitors)

| | |
|----|---------------------|
| IT | 147526-32-7, NK-104 |
|----|---------------------|

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(synthesis and biol. evaluations of quinoline-based HMG-CoA reductase inhibitors)

| | | | | | |
|----|--------------|--------------|--------------|--------------|--------------|
| IT | 121659-86-7P | 121660-11-5P | 121660-37-5P | 130048-08-7P | 130048-09-8P |
| | 130048-10-1P | 130048-11-2P | 130954-99-3P | 147008-20-6P | |
| | 148516-11-4P | 148901-68-2P | 148901-69-3P | 256431-72-8P | 391681-87-1P |
| | 391681-88-2P | 391681-89-3P | 391681-90-6P | 391681-91-7P | 391681-92-8P |
| | 391681-93-9P | 391681-94-0P | 391681-95-1P | | |

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and biol. evaluations of quinoline-based HMG-CoA reductase inhibitors)

L9 ANSWER 34 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 136:96068 CA
 TITLE: SREBP-2 gene expression promoters as hypolipidemics
 INVENTOR(S): Kodama, Tatsuhiko; Hamakubo, Takao; Murakami, Takeshi;
 Saito, Yasushi; Morikawa, Shigeru; Kitahara, Masaki;
 Tamaki, Taro
 PATENT ASSIGNEE(S): Kowa Co., Ltd., Japan; Nissan Chemical Industries,
 Ltd.
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|---|-----------|-----------------|--------------|
| JP 2002003374 | A | 20020109 | JP 2000-189161 | 20000623 <-- |
| PRIORITY APPLN. INFO.: | | | JP 2000-189161 | 20000623 |
| OTHER SOURCE(S): | MARPAT | 136:96068 | | |
| AB | SREBP-2 (sterol regulatory element-binding protein) gene expression promoters RXCH(OH)CH2CH(OH)CH2CO2M (I; R = organic base; X = -CH2CH2-, -CH=CH-; M = H, alkyl, physiol. acceptable cation), including (+)-bis{(3R,5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxy-6-heptenoic acid} calcium, are claimed as hypolipidemics. | | | |

| PI | JP 2002003374 A | 20020109 | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------------|---|----------|---------------------------|-----------------|------|-----------------|------|
| PI | JP 2002003374 | A | 20020109 | JP 2000-189161 | | 20000623 <- | |
| AB | . . . RXCH(OH)CH2CH(OH)CH2CO2M (I; R = organic base; X = -CH2CH2-, -CH=CH-; M = H, alkyl, physiol. acceptable cation), including (+)-bis{3(3S,5S,6E)-7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-dihydroxy-6-heptenoic acid} calcium, are claimed as hypolipidemics. | | | | | | |
| IT | 147526-32-7 | | | | | | |
| | RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) | | | | | | |
| | (SREBP-2 (sterol regulatory element-binding protein) gene expression promoters as hypolipidemics) | | | | | | |
| L9 | ANSWER 35 OF 38 | CA | COPYRIGHT 2008 ACS on STN | | | | |
| ACCESSION NUMBER: | 135:10035 | CA | | | | | |
| TITLE: | HMG-CoA reductase inhibitors for ameliorating abnormal bone states | | | | | | |
| INVENTOR(S): | Bagi, Cedo M. | | | | | | |
| PATENT ASSIGNEE(S): | Bayer Aktiengesellschaft, Germany | | | | | | |
| SOURCE: | PCT Int. Appl., 39 pp. | | | | | | |
| DOCUMENT TYPE: | Patent | | | | | | |
| LANGUAGE: | English | | | | | | |
| FAMILY ACC. NUM. COUNT: | 1 | | | | | | |
| PATENT INFORMATION: | | | | | | | |
| PI | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
| WO | 2001037876 | A2 | 20010531 | WO 2000-EP11466 | | 20001117 <- | |
| WO | 2001037876 | A3 | 20020321 | | | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | | | |
| PRIORITY APPLN. INFO.: | | | US 1999-167267P | | P | 19991124 | |
| AB | This application relates to methods of using HMG-CoA reductase inhibitors for the prevention and for the treatment of abnormal conditions ameliorated by concurrent decrease in bone resorption and stimulation of bone formation. This invention also relates to methods of using HMG-CoA reductase inhibitors for the prevention and for the treatment of conditions ameliorated by a decrease in plasma calcium levels. Thus, tablets contained cerivastatin 25, microcryst. cellulose 200, colloidal SiO2 10, and stearic acid 5 mg/tablet. | | | | | | |
| PI | WO 2001037876 A2 | 20010531 | | | | | |
| PI | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | |
| PI | WO 2001037876 | A2 | 20010531 | WO 2000-EP11466 | | 20001117 <- | |
| WO | 2001037876 | A3 | 20020321 | | | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, | | | | | | |

HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
 LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
 SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
 YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AB . . . of using HMG-CoA reductase inhibitors for the prevention and for
 the treatment of conditions ameliorated by a decrease in plasma
 calcium levels. Thus, tablets contained cerivastatin 25,
 microcryst. cellulose 200, colloidal SiO₂ 10, and stearic acid 5
 mg/tablet.

IT 75330-75-5, Lovastatin 79902-63-9, Simvastatin 81093-37-0, Pravastatin
 93957-54-1, Fluvastatin 134523-00-5, Atorvastatin 145599-86-6,
 Cerivastatin 147511-69-1, Itavastatin 287714-41-4
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological
 study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
 (Uses)

(HMG-CoA reductase inhibitors for ameliorating abnormal bone states)
 IT 7440-70-2, Calcium, biological studies
 RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
 (hypercalcemia, inhibitors; HMG-CoA reductase inhibitors for
 ameliorating abnormal bone states)

L9 ANSWER 36 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER:

1343:311218 CA

TITLE:

Synthesis and use of heterocyclic sodium/proton
 exchange inhibitors

INVENTOR(S):

Ahmad, Saleem; Wu, Shung C.; O'Neil, Steven V.; Ngu,
 Khehyong; Atwal, Karnail S.

PATENT ASSIGNEE(S):

Bristol-Myers Squibb Company, USA

SOURCE:

PCT Int. Appl., 221 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|-------------|
| WO 2001027107 | A2 | 20010419 | WO 2000-US27461 | 20001002 << |
| WO 2001027107 | A3 | 20020124 | | |
| W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 6887870 | B1 | 20050503 | US 2000-669298 | 20000925 |
| CA 2388813 | A1 | 20010419 | CA 2000-2388813 | 20001002 << |
| EP 1224183 | A2 | 20020724 | EP 2000-968723 | 20001002 << |
| EP 1224183 | B1 | 20051228 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | | | | |

| | | | | |
|----------------|----|----------|----------------|--------------|
| BR 2000014725 | A | 20030617 | BR 2000-14725 | 20001002 <-- |
| HU 2003000195 | A2 | 20030728 | HU 2003-195 | 20001002 <-- |
| HU 2003000195 | A3 | 20030929 | | |
| JP 2003527331 | T | 20030916 | JP 2001-530325 | 20001002 <-- |
| NZ 517668 | A | 20040924 | NZ 2000-517668 | 20001002 |
| AT 314364 | T | 20060115 | AT 2000-968723 | 20001002 |
| ES 2254236 | T3 | 20060616 | ES 2000-968723 | 20001002 |
| IN 2002MN00354 | A | 20050318 | IN 2002-MN354 | 20020322 |
| ZA 2002002479 | A | 20040727 | ZA 2002-2479 | 20020327 |
| MX 2002PA03626 | A | 20030922 | MX 2002-PA3626 | 20020410 <-- |
| NO 2002001717 | A | 20020610 | NO 2002-1717 | 20020411 <-- |
| US 20050137216 | A1 | 20050623 | US 2005-46993 | 20050131 |
| US 7326705 | B2 | 20080205 | | |

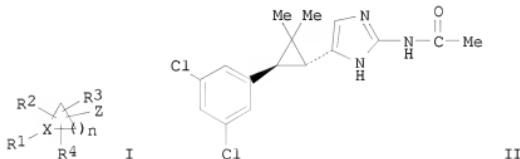
PRIORITY APPLN. INFO.:

| | | |
|-----------------|----|----------|
| US 1999-158755P | P | 19991012 |
| US 2000-669298 | A3 | 20000925 |
| WO 2000-US27461 | W | 20001002 |

OTHER SOURCE(S):

MARPAT 134:311218

GI



AB Compds. of formula I [wherein; n is 1-5; X is N or CR₅, where R₅ is H, halo, alkenyl, alkynyl, alkoxy, alkyl, aryl or heteroaryl; Z is a heteroaryl group; R₁ is H, alk(en)(yn)yl, alk(enyl)(ynyl)oxy, (aryl or alkyl)S(=O)(=O)R, cycloalk(en)yl, (aryl)amino, aryl(alkyl), cycloheteroaryl, etc.; R₂, R₃ and R₄ are any of the groups set out for R₁ and optionally substituted with 1 to 5 substituents which may be the same or different and when X is N, R₁ is preferably aryl or heteroaryl] are claimed. Several hundred examples are disclosed. Synthesis of II proceeds via cyclopropanation of the cinnamate derived from the olefination between 3,5-dichlorobenzaldehyde and t-butyl diethylphosphonoacetate. The intermediate tert-Bu ester is converted to the corresponding α-chloroketone and reacted with acetyl guanidine to provide II in a total of 5 steps. Compds. I are said to be sodium/proton exchange inhibitors (NHE). Pharmaceutical combinations are claimed using I and certain antihypertensive agents, β-adrenergic agonists, hypolipidemic agents, antidiabetic agents, antiobesity agents, etc. Compds. I are useful as antianginal and cardioprotective agents and provide a method for preventing or treating angina pectoris, cardiac dysfunction, myocardial necrosis, and arrhythmia.

PI WO 2001027107 A2 20010419

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------|-------|----------|-----------------|--------------|
| ----- | ----- | ----- | ----- | ----- |
| PI WO 2001027107 | A2 | 20010419 | WO 2000-US27461 | 20001002 <-- |
| WO 2001027107 | A3 | 20020124 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

| | |
|---|--|
| CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | |
| US 6887870 B1 20050503 US 2000-669298 20000925 | |
| CA 2388813 A1 20010419 CA 2000-2388813 20001002 <-- | |
| EP 1224183 A2 20020724 EP 2000-968723 20001002 <-- | |
| EP 1224183 B1 20051228 | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL | |
| BR 2000014725 A 20030617 BR 2000-14725 20001002 <-- | |
| HU 2003000195 A2 20030728 HU 2003-195 20001002 <-- | |
| HU 2003000195 A3 20030929 | |
| JP 2003527331 T 20030916 JP 2001-530325 20001002 <-- | |
| NZ 517668 A 20040924 NZ 2000-517668 20001002 | |
| AT 314364 T 20060115 AT 2000-968723 20001002 | |
| ES 2254236 T3 20060616 ES 2000-968723 20001002 | |
| IN 2002MN00354 A 20050318 IN 2002-MN354 20020322 | |
| ZA 2002002479 A 20040727 ZA 2002-2479 20020327 | |
| MX 2002PA03626 A 20030922 MX 2002-PA3626 20020410 <-- | |
| NO 2002001717 A 20020610 NO 2002-1717 20020411 <-- | |
| US 20050137216 A1 20050623 US 2005-46993 20050131 | |
| US 7326705 B2 20080205 | |
| IT Ion channel blockers (calcium, pharmaceuticals containing; synthesis and use of heterocyclic sodium/proton exchange inhibitors) | |
| IT 50-02-2, Dexamethasone 50-78-2, Aspirin 51-64-9, Dexamphetamine 52-53-9, Verapamil 56-03-1d, Biguanide, derivs. 58-32-2, Dipryridamole 58-55-9, Theophylline, biological studies 59-67-6, Niacin, biological studies 94-20-2, Chlorpropamide 122-09-8, Phentermine 124-94-7, Triamcinolone 525-66-6, Propranolol 637-07-0, Clofibrate 657-24-9, Metformin 943-45-3d, Fibric acid, derivs. 3385-03-3, Flunisolide 4205-91-8, Clonidine hydrochloride 4419-39-0, Beclomethasone 9002-01-1, Streptokinase 9039-53-6, Urokinase 10238-21-8, Glyburide 13392-18-2, Fenoterol 14838-15-4, Phenylpropanolamine 16110-51-3, Cromolyn 18559-94-9, Albuterol 19237-84-4, Prazosin hydrochloride 21187-98-4, Gliclazide 21829-25-4, Nifedipine 22232-71-9, Mazindol 23031-25-6, Terbutaline 25812-30-0, Gemfibrozil 29094-61-9, Glipizide 30392-40-6, Bitolterol 37250-24-1, HMG CoA reductase 38677-81-5, Pirbuterol 42200-33-9, Nadolol 49562-28-9, Fenofibrate 51333-22-3, Budesonide 54870-28-9, Meglitinide 55142-85-3, Ticlopidine 56180-94-0, Acarbose 62571-86-2, Captopril 69049-73-6, Nedocromil 72432-03-2, Miglitol 72956-09-3, Carvedilol 73573-87-2, Formoterol 75847-73-3, Enalapril 76547-98-3, Lisinopril 79902-63-9, Simvastatin 80830-42-8, Fentriparil 81093-37-0, Pravastatin 85441-61-8, Quinapril 86541-75-5, Benazepril 87333-19-5, Ramipril 89365-50-4, Salmeterol 89750-14-1, Glucagon-like peptide I 90566-53-3, Fluticasone 93479-97-1, Glucemipride 93957-54-1, Fluvastatin 97240-79-4, Topiramate 97322-87-7, Troglitazone 98048-97-6, Fosinopril 103177-37-3, Pranlukast 103775-10-6, Moexipril 105816-04-4, Nateglinide 105857-23-6, Activase 105913-11-9d, Plasminogen activator, complex 106650-56-0, Sibutramine 107753-78-6, Zafirlukast 111025-46-8, Pioglitazone 111406-87-2, Zileuton 111470-99-6, Amlodipine besylate | |

113665-84-2, Clopidogrel 114798-26-4, Losartan 122320-73-4,
 Rosiglitazone 133652-38-7, Reteplase 134523-00-5, Atorvastatin
 135062-02-1, Repaglinide 137862-53-4, Valsartan 138402-11-6,
 Irbesartan 139639-23-9, Tissue plasminogen activator 141758-74-9, AC
 2993 143443-90-7, Ifetroban 144288-97-1, TS 962 145599-86-6,
 Cerivastatin 147511-69-1, Itavastatin 150322-43-3, CS 747
 152755-31-2, LY 295427 158966-92-8, Montelukast 159183-92-3, L 750355
 160135-92-2 166518-60-1, Avasimibe 167305-00-2, Omapatrilat
 169319-62-4, CGS 30440 170861-63-9, JTT 501 171870-23-8, Lanoteplase
 176435-10-2, LY 315902 178759-95-0, MD 700 182815-44-7, Cholestagel
 196808-45-4, GI 262570 199113-98-9, NN 2344 199914-96-0 213252-19-8,
 KRP 297 244081-42-3, AJ 9677 251572-86-8 258345-41-4, GW 409544
 335149-05-8, AZ 4522 335149-08-1, L 895645 335149-14-9, R 119702
 335149-15-0, KAD 1129 335149-17-2, ARHO 39242 335149-23-0, NVP-DPP
 728A 335149-25-2, CP 331648

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceuticals containing; synthesis and use of heterocyclic sodium/proton exchange inhibitors)

L9 ANSWER 37 OF 38 CA COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 127:113387 CA

ORIGINAL REFERENCE NO.: 127:21777a,21780a

TITLE: Pharmaceutical composition containing quinolinheptenoic acid derivatives stabilized with a basic agent

INVENTOR(S): Muramatsu, Toyojiro; Mashita, Katsumi; Shinoda, Yasuo; Sassa, Hironori; Kawashima, Hiroyuki; Tanizawa, Yoshio; Takeuchi, Hideatsu

PATENT ASSIGNEE(S): Kowa Company, Ltd., Japan; Nissan Chemical Industries, Ltd.

SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|--------------|
| WO 9723200 | A1 | 19970703 | WO 1996-JP3722 | 19961220 <-- |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN | | | | |
| RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2213608 | A1 | 19970703 | CA 1996-2213608 | 19961220 <-- |
| CA 2213608 | C | 20030708 | | |
| ZA 9610792 | A | 19970709 | ZA 1996-10792 | 19961220 <-- |
| AU 9711715 | A | 19970717 | AU 1997-11715 | 19961220 <-- |
| AU 725622 | B2 | 20001019 | | |
| EP 814782 | A1 | 19980107 | EP 1996-942588 | 19961220 <-- |
| EP 814782 | B1 | 20021127 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |

| IE, SI, FI, RO | | | | |
|--|--|----------|------------------|--------------|
| CN 1189098 | A | 19980729 | CN 1996-192065 | 19961220 <-- |
| JP 11503763 | T | 19990330 | JP 1997-523500 | 19961220 <-- |
| JP 3276962 | B2 | 20020422 | | |
| RU 2142790 | C1 | 19991220 | RU 1997-114095 | 19961220 <-- |
| HU 9903536 | A2 | 20000328 | HU 1999-3536 | 19961220 <-- |
| HU 9903536 | A3 | 20010628 | | |
| CZ 288545 | B6 | 20010711 | CZ 1997-2681 | 19961220 <-- |
| IL 121565 | A | 20020210 | IL 1996-121565 | 19961220 <-- |
| AT 228354 | T | 20021215 | AT 1996-942588 | 19961220 <-- |
| SK 282991 | B6 | 20030109 | SK 1997-1160 | 19961220 <-- |
| ES 2183023 | T3 | 20030316 | ES 1996-942588 | 19961220 <-- |
| PT 814782 | T | 20030430 | PT 1996-942588 | 19961220 <-- |
| PL 186907 | B1 | 20040331 | PL 1996-321868 | 19961220 |
| TW 436294 | B | 20010528 | TW 1996-85115860 | 19961221 <-- |
| NO 9703814 | A | 19971013 | NO 1997-3814 | 19970819 <-- |
| NO 316724 | B1 | 20040419 | | |
| PRIORITY APPLN. INFO.: | | | JP 1995-354654 | A 19951222 |
| | | | WO 1996-JP3722 | W 19961220 |
| AB | Disclosed is a pharmaceutical composition comprising (E)-3,5-dihydroxy-7-[4'-4"-fluorophenyl-2"-cyclopropyl-quinolin-3"-yl]-6-heptenoic acid (NK-104), or its salt or ester, of which the aqueous solution or dispersion has a pH of from 7 to 8. The composition has good time-dependent stability and has no change in its outward appearance even after having been stored long. A pharmaceutical tablet contained calcium salt of NK-104 1.0, lactose 101.4, low substituted hydroxypropyl cellulose 12.0, hydroxypropylmethyl cellulose 2.0, magnesium metasilicate aluminate 2.4, and magnesium stearate 1.2 mg. | | | |
| PI | WO 9723200 A1 | 19970703 | | |
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
| ----- | ----- | ----- | ----- | ----- |
| PI WO 9723200 | A1 | 19970703 | WO 1996-JP3722 | 19961220 <-- |
| W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2213608 | A1 | 19970703 | CA 1996-2213608 | 19961220 <-- |
| CA 2213608 | C | 20030708 | | |
| ZA 9610792 | A | 19970709 | ZA 1996-10792 | 19961220 <-- |
| AU 9711715 | A | 19970717 | AU 1997-11715 | 19961220 <-- |
| AU 725622 | B2 | 20001019 | | |
| EP 814782 | A1 | 19980107 | EP 1996-942588 | 19961220 <-- |
| EP 814782 | B1 | 20021127 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO | | | | |
| CN 1189098 | A | 19980729 | CN 1996-192065 | 19961220 <-- |
| JP 11503763 | T | 19990330 | JP 1997-523500 | 19961220 <-- |
| JP 3276962 | B2 | 20020422 | | |
| RU 2142790 | C1 | 19991220 | RU 1997-114095 | 19961220 <-- |
| HU 9903536 | A2 | 20000328 | HU 1999-3536 | 19961220 <-- |
| HU 9903536 | A3 | 20010628 | | |
| CZ 288545 | B6 | 20010711 | CZ 1997-2681 | 19961220 <-- |
| IL 121565 | A | 20020210 | IL 1996-121565 | 19961220 <-- |
| AT 228354 | T | 20021215 | AT 1996-942588 | 19961220 <-- |

| | | | | |
|------------|----|----------|------------------|--------------|
| SK 282991 | B6 | 20030109 | SK 1997-1160 | 19961220 <-- |
| ES 2183023 | T3 | 20030316 | ES 1996-942588 | 19961220 <-- |
| PT 814782 | T | 20030430 | PT 1996-942588 | 19961220 <-- |
| PL 186907 | B1 | 20040331 | PL 1996-321868 | 19961220 |
| TW 436294 | B | 20010528 | TW 1996-85115860 | 19961221 <-- |
| NO 9703814 | A | 19971013 | NO 1997-3814 | 19970819 <-- |
| NO 316724 | B1 | 20040419 | | |

AB . . . time-dependent stability and has no change in its outward appearance even after having been stored long. A pharmaceutical tablet contained calcium salt of NK-104 1.0, lactose 101.4, low substituted hydroxypropyl cellulose 12.0, hydroxypropylmethyl cellulose 2.0, magnesium metasilicate aluminate 2.4, and magnesium. . .

IT 147511-69-1
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceutical composition containing quinolinheptenoic acid derivs.
 stabilized
 with basic agent)
 IT 74-79-3, L-Arginine, biological studies 7758-11-4, Dipotassium hydrogen phosphate 9004-64-2, Hydroxypropyl cellulose 9004-65-3, Hydroxypropylmethyl cellulose 15551-62-9, Aluminum magnesium metasilicate 147526-32-7 192565-91-6
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pharmaceutical composition containing quinolinheptenoic acid derivs.
 stabilized
 with basic agent)

L9 ANSWER 38 OF 38 CA COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 122:38847 CA
 ORIGINAL REFERENCE NO.: 122:7395a, 7398a
 TITLE: Stabilized pharmaceutical compositions comprising an HMG-CoA reductase inhibitor compound
 INVENTOR(S): Kabadi, Mohan B.; Vivilecchia, Richard V.
 PATENT ASSIGNEE(S): Sandoz Ltd., Switz.
 SOURCE: U.S., 9 pp. Cont.-in-part of U.S. Ser. No. 805,667,
 abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|--------------|
| US 5356896 | A | 19941018 | US 1992-995252 | 19921222 <-- |
| HU 63328 | A2 | 19930830 | HU 1992-3780 | 19921130 <-- |
| HU 217629 | B | 20000328 | | |
| HU 221849 | B1 | 20030228 | HU 2000-790 | 19921130 <-- |
| DE 4240430 | A1 | 19930617 | DE 1992-4240430 | 19921202 <-- |
| DE 4240430 | B4 | 20071227 | | |
| CH 684309 | A5 | 19940831 | CH 1992-3751 | 19921207 <-- |
| GB 2262229 | A | 19930616 | GB 1992-25659 | 19921208 <-- |
| GB 2262229 | B | 19951101 | | |
| ES 2142819 | T3 | 20000501 | ES 1992-810962 | 19921208 <-- |
| PT 547000 | T | 20000630 | PT 1992-810962 | 19921208 <-- |
| CA 2085037 | A1 | 19930613 | CA 1992-2085037 | 19921210 <-- |

| | | | | |
|------------------------|----|----------|-----------------|--------------|
| CA 2085037 | C | 20001128 | | |
| NO 9204768 | A | 19930614 | NO 1992-4768 | 19921210 <-- |
| NO 302099 | B1 | 19980126 | | |
| AU 9230069 | A | 19930617 | AU 1992-30069 | 19921210 <-- |
| AU 661075 | B2 | 19950713 | | |
| JP 05246844 | A | 19930924 | JP 1992-352222 | 19921210 <-- |
| RO 111542 | B1 | 19961129 | RO 1992-1545 | 19921210 <-- |
| IL 104041 | A | 19981227 | IL 1992-104041 | 19921210 <-- |
| CZ 287776 | B6 | 20010117 | CZ 1992-3633 | 19921210 <-- |
| SK 281710 | B6 | 20010710 | SK 1992-3633 | 19921210 <-- |
| FI 114284 | B1 | 20040930 | FI 1992-5615 | 19921210 |
| ZA 9209642 | A | 19940613 | ZA 1992-9642 | 19921211 <-- |
| AT 9202449 | A | 19960515 | AT 1992-2449 | 19921211 <-- |
| AT 401870 | B | 19961227 | | |
| RU 2121835 | C1 | 19981120 | RU 1992-4564 | 19921211 <-- |
| FR 2684876 | A1 | 19930618 | FR 1992-15142 | 19921214 <-- |
| FR 2684876 | B1 | 19950505 | | |
| CN 1091634 | A | 19940907 | CN 1993-100650 | 19930130 <-- |
| CN 1041794 | C | 19990127 | | |
| AT 9501905 | A | 19960515 | AT 1995-1905 | 19951123 <-- |
| AT 401872 | B | 19961227 | | |
| GR 3032929 | T3 | 20000731 | GR 2000-400625 | 20000310 <-- |
| PRIORITY APPLN. INFO.: | | | US 1991-805667 | B2 19911212 |
| | | | DE 1992-4245089 | A 19921202 |
| | | | CS 1992-3633 | A 19921210 |
| | | | AT 1992-2449 | A 19921211 |
| | | | US 1992-995252 | 19921222 |

OTHER SOURCE(S): MARPAT 122:38847

AB A pharmaceutical dosage form comprising an HMG-CoA reductase inhibitor compound, e.g., fluvastatin sodium, is disclosed which is stabilized against pH-related degradation by an alkaline stabilizing medium capable of imparting a pH

of at least 8 to an aqueous solution or dispersion of the composition

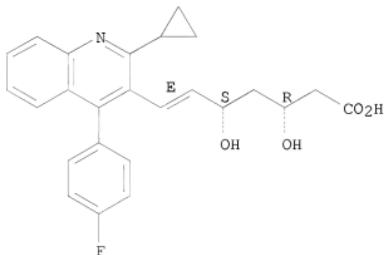
| PI | US 5356896 A | 19941018 | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--------------|----------|------------|------|----------|-----------------|--------------|
| PI | US 5356896 | | | A | 19941018 | US 1992-995252 | 19921222 <-- |
| | HU 63328 | | | A2 | 19930830 | HU 1992-3780 | 19921130 <-- |
| | HU 217629 | | | B | 20000328 | | |
| | HU 221849 | | | B1 | 20030228 | HU 2000-790 | 19921130 <-- |
| | DE 4240430 | | | A1 | 19930617 | DE 1992-4240430 | 19921202 <-- |
| | DE 4240430 | | | B4 | 20071227 | | |
| | CH 684309 | | | A5 | 19940831 | CH 1992-3751 | 19921207 <-- |
| | GB 2262229 | | | A | 19930616 | GB 1992-25659 | 19921208 <-- |
| | GB 2262229 | | | B | 19951101 | | |
| | ES 2142819 | | | T3 | 20000501 | ES 1992-810962 | 19921208 <-- |
| | PT 547000 | | | T | 20000630 | PT 1992-810962 | 19921208 <-- |
| | CA 2085037 | | | A1 | 19930613 | CA 1992-2085037 | 19921210 <-- |
| | CA 2085037 | | | C | 20001128 | | |
| | NO 9204768 | | | A | 19930614 | NO 1992-4768 | 19921210 <-- |
| | NO 302099 | | | B1 | 19980126 | | |
| | AU 9230069 | | | A | 19930617 | AU 1992-30069 | 19921210 <-- |
| | AU 661075 | | | B2 | 19950713 | | |
| | JP 05246844 | | | A | 19930924 | JP 1992-352222 | 19921210 <-- |
| | RO 111542 | | | B1 | 19961129 | RO 1992-1545 | 19921210 <-- |
| | IL 104041 | | | A | 19981227 | IL 1992-104041 | 19921210 <-- |
| | CZ 287776 | | | B6 | 20010117 | CZ 1992-3633 | 19921210 <-- |

| | | | | |
|---|----|-------------------------------------|----------------|--------------|
| SK 281710 | B6 | 20010710 | SK 1992-3633 | 19921210 <-- |
| FI 114284 | B1 | 20040930 | FI 1992-5615 | 19921210 |
| ZA 9209642 | A | 19940613 | ZA 1992-9642 | 19921211 <-- |
| AT 9202449 | A | 19960515 | AT 1992-2449 | 19921211 <-- |
| AT 401870 | B | 19961227 | | |
| RU 2121835 | C1 | 19981120 | RU 1992-4564 | 19921211 <-- |
| FR 2684876 | A1 | 19930618 | FR 1992-15142 | 19921214 <-- |
| FR 2684876 | B1 | 19950505 | | |
| CN 1091634 | A | 19940907 | CN 1993-100650 | 19930130 <-- |
| CN 1041794 | C | 19990127 | | |
| AT 9501905 | A | 19960515 | AT 1995-1905 | 19951123 <-- |
| AT 401872 | B | 19961227 | | |
| GR 3032929 | T3 | 20000731 | GR 2000-400625 | 20000310 <-- |
| IT 144-55-8, Sodium bicarbonate, biological studies | | 471-34-1, | | |
| Calcium carbonate, biological studies | | 93957-55-2, Fluvastatin | | |
| sodium 94061-80-0 | | 118312-81-5 145599-86-6 147008-21-7 | | |
| 159736-87-5 159736-89-7 159768-15-7 | | 159813-76-0 159813-77-1 | | |
| 159813-78-2 159839-30-2 | | | | |
| RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) | | | | |
| (stabilized pharmaceutical compns. containing an HMG-CoA reductase inhibitor) | | | | |

=> d fhistr 1-38

| | |
|-----|---|
| L9 | ANSWER 1 OF 38 CA COPYRIGHT 2008 ACS on STN |
| IT | 147511-69-1, Pitavastatin |
| RL: | THU (Therapeutic use); BIOL (Biological study); USES (Uses) |
| | (nanoparticulate fibrate formulations) |
| RN | 147511-69-1 CA |
| CN | 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME) |

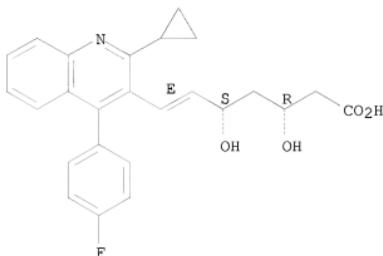
Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



| | |
|-----|---|
| L9 | ANSWER 2 OF 38 CA COPYRIGHT 2008 ACS on STN |
| IT | 147511-69-1, Pitavastatin |
| RL: | PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) |

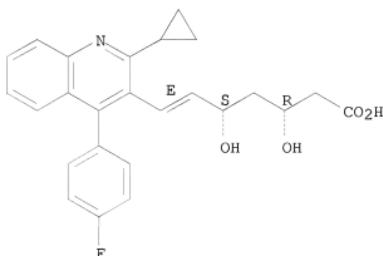
(bile preps. for colorectal disorders)
 RN 147511-69-1 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



L9 ANSWER 3 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147511-69-1, Pitavastatin
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (dual controlled-release osmotic device comprising two different active
 agents)
 RN 147511-69-1 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.

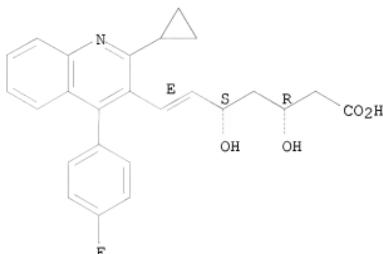


L9 ANSWER 4 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147511-69-1, Pitavastatin
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)
 (orally administered small peptides synergize statin activity, and
 therapeutic uses)

RN 147511-69-1 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



L9 ANSWER 5 OF 38 CA COPYRIGHT 2008 ACS on STN

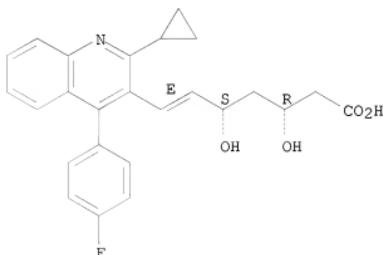
IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

RN 147511-69-1 CA

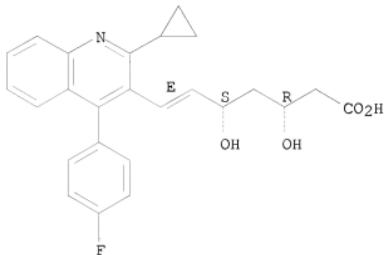
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



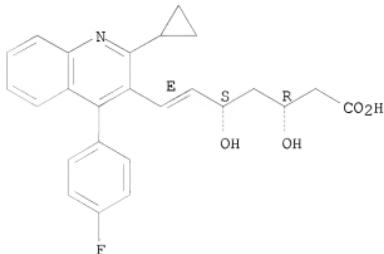
L9 ANSWER 6 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147511-69-1, Pitavastatin
 RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (controlled-release pitavastatin compns. containing enteric layers)
 RN 147511-69-1 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



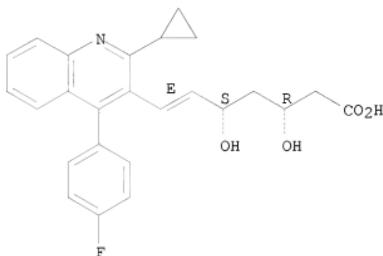
L9 ANSWER 7 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147511-69-1, Pitavastatin
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (medical goods comprising a heparin-based hemocompatible coating)
 RN 147511-69-1 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



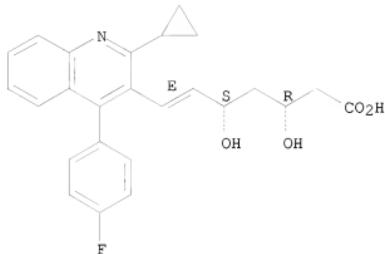
L9 ANSWER 8 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147511-69-1, Pitavastatin
 RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (pitavastatin inhibits upregulation of intermediate conductance calcium-activated potassium channels and coronary arteriolar remodeling induced by long-term blockade of nitric oxide synthesis)
 RN 147511-69-1 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



L9 ANSWER 9 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147511-69-1, Pitavastatin
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (in addition to SARMs treatment; synthesis and uses of 4-azasteroid derivs. as selective androgen receptor modulators (SARMs) in the treatment of androgen deficiency-related diseases)
 RN 147511-69-1 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



L9 ANSWER 10 OF 38 CA COPYRIGHT 2008 ACS on STN

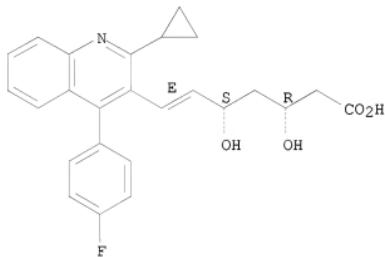
IT 147526-32-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (remedies for glomerular diseases containing antiplatelet agents and HMG-CoA reductase inhibitors)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



●1/2 Ca

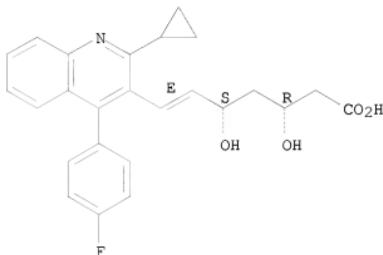
L9 ANSWER 11 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (bone strengthening agents as adjuvant therapeutics; preparation of fluorinated 4-aza-androstan-3-one-17β-carboxamide derivs. as

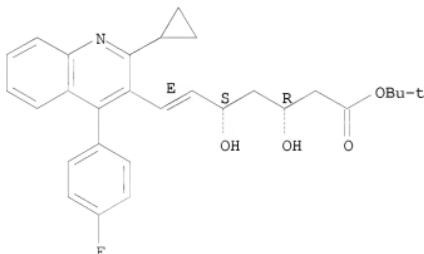
androgen receptor modulators and their therapeutic uses)
 RN 147511-69-1 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



L9 ANSWER 12 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 586966-54-3P
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (process for the manufacture of HMG-CoA reductase inhibitory mevalonic acid derivs.)
 RN 586966-54-3 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, 1,1-dimethylethyl ester, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



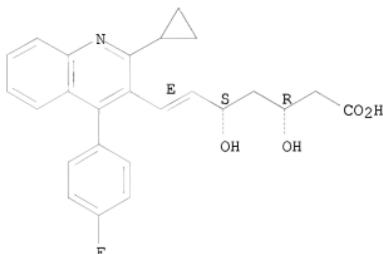
L9 ANSWER 13 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147511-69-1, Pitavastatin

RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (lipid-lowering drug; cyclooxygenase-1 inhibitor for treating or preventing cardiovascular conditions)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



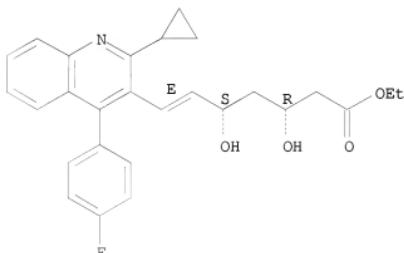
L9 ANSWER 14 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 167073-19-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (asym. titanium mediated disilyloxydiene/aldehyde addition process for preparation of δ -hydroxy- β -ketoesters)

RN 167073-19-0 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, ethyl ester, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



L9 ANSWER 15 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147511-69-1

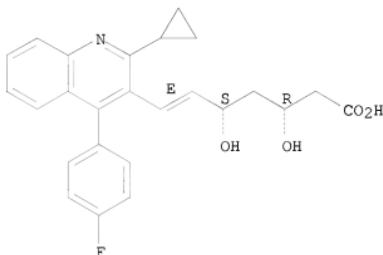
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (combined with cycloalkyl inhibitors of potassium channel function for
 preventing/treating arrhythmia and IKur-associated conditions)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-
 dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



L9 ANSWER 16 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147526-32-7P, Pitavastatin hemicalcium

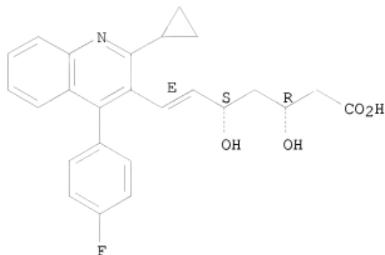
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
 (Preparation)
 (preparation of an asym. β,δ -dihydroxycarboxylic acid side chain
 used for manufacture of a HMG-CoA reductase inhibitors)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-
 dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



●1/2 Ca

L9 ANSWER 17 OF 38 CA COPYRIGHT 2008 ACS on STN

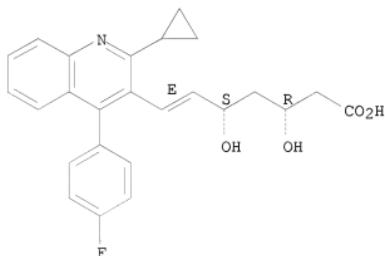
IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (use of immediate-release powder in pharmaceutical and nutraceutical
 compns.)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-
 dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



L9 ANSWER 18 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

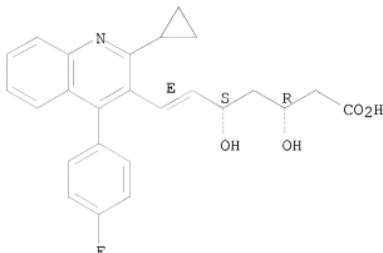
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (in vivo delivery methods and compns.)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-

dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



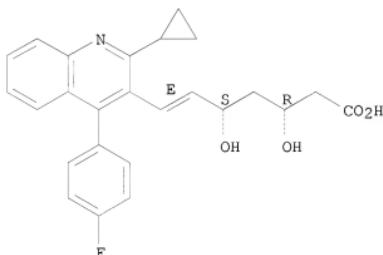
L9 ANSWER 19 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147511-69-1, Pitavastatin

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (androstane compds. as androgen receptor (AR) modulators in conjunction
 with bone-strengthening agents for treatment of AR-related diseases)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.

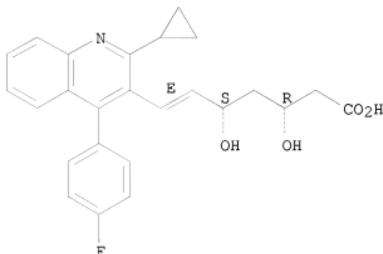


L9 ANSWER 20 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147511-69-1

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 (use of statins to inhibit formation of osteoclasts)

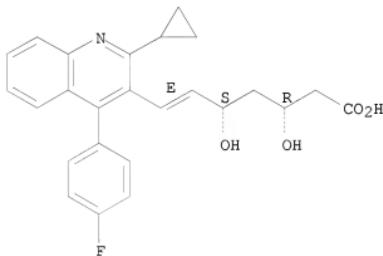
RN 147511-69-1 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



L9 ANSWER 21 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147526-32-7P, Pitavastatin hemicalcium
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (processes for preparing calcium salt forms of statins)
 RN 147526-32-7 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



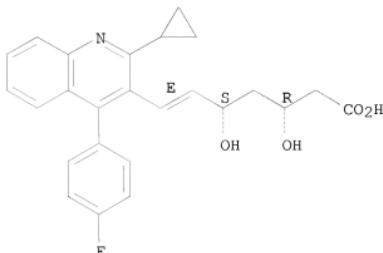
● 1/2 Ca

L9 ANSWER 22 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147511-69-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (coadministration; preparation of oxazolylethoxyphenylprolines and related
 compds. as antidiabetic and antiobesity agents)

RN 147511-69-1 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-
 dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



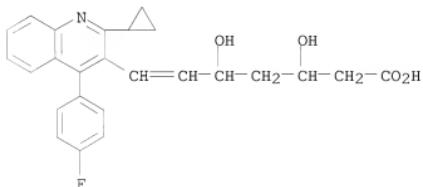
L9 ANSWER 23 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 121659-03-8P, 7-[2-Cyclopropyl-4-(4-fluorophenyl)-3-quinolyl]-3,5-
 dihydroxy-6-heptenoic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of [cyclopropyl(fluorophenyl)quinolyl]hydroxyheptenoic acid as
 remedial agent for glomerular diseases)

RN 121659-03-8 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-
 dihydroxy- (CA INDEX NAME)



L9 ANSWER 24 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147511-69-1, NK 104

RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

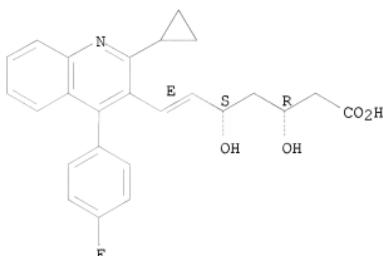
(stable pharmaceutical composition containing NK-104)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



L9 ANSWER 25 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147526-32-7, NK-104

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

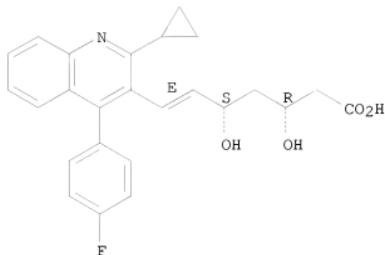
(pitavastatin is a new HMG-CoA reductase inhibitor)

RN 147526-32-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



● 1/2 Ca

L9 ANSWER 26 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

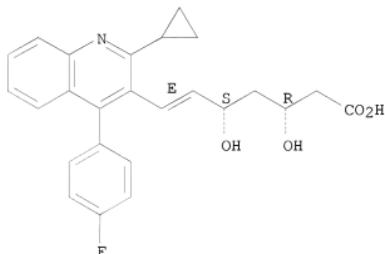
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (coadministered agents; preparation of benzoxepinopyridines as HMG-CoA reductase inhibitors for treatment of hyperlipidemia, hypercholesterolemia, hypertriglyceridemia, atherosclerosis, and other disorders)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



L9 ANSWER 27 OF 38 CA COPYRIGHT 2008 ACS on STN

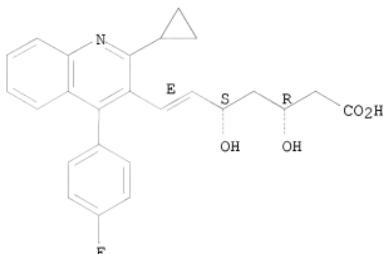
IT 147511-69-1, Pitavastatin

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods and apparatus for determining and utilizing the viscosity of circulating blood over a range of shear rates for diagnostics and treatment)

RN 147511-69-1 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L9 ANSWER 28 OF 38 CA COPYRIGHT 2008 ACS on STN

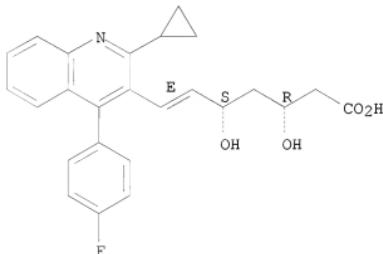
IT 147511-69-1, PITAVASTATIN

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing angiotensin receptor blockers for treating sexual dysfunction)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L9 ANSWER 29 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

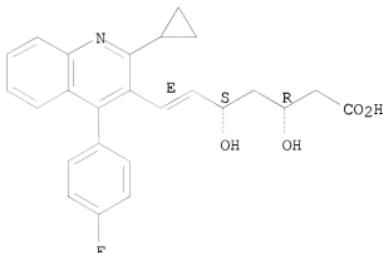
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(methods for in vivo drug delivery based on monitoring blood flow parameters)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



L9 ANSWER 30 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Pitavastatin

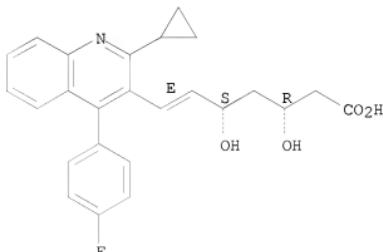
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(therapeutic compns. containing; preparation of fused pyridine derivs. as HMG-CoA reductase inhibitors)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

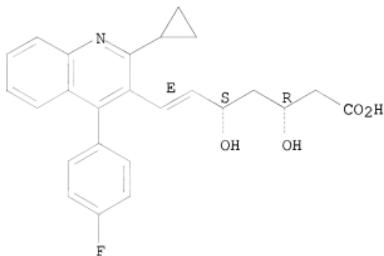
Absolute stereochemistry. Rotation (+).

Double bond geometry as shown.



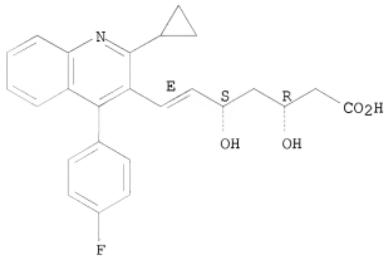
L9 ANSWER 31 OF 38 CA COPYRIGHT 2008 ACS on STN
IT 147511-69-1, Pitavastatin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(apparatus and methods for monitoring blood viscosity and other parameters
in drug delivery for diagnostics and treatment)
RN 147511-69-1 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-
dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



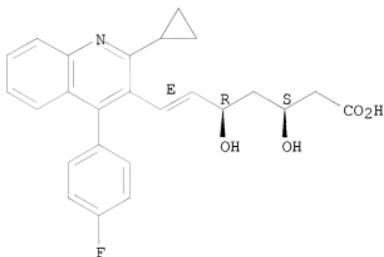
L9 ANSWER 32 OF 38 CA COPYRIGHT 2008 ACS on STN
IT 147511-69-1, Pitavastatin
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(medicinal compns. containing HMG-CoA reductase inhibitors and angiotensin
II receptor antagonists for preventing or treating heart failure)
RN 147511-69-1 CA
CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-
dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L9 ANSWER 33 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 391681-56-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (synthesis and biol. evaluations of quinoline-based HMG-CoA reductase inhibitors)
 RN 391681-56-4 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, sodium salt (1:1), (3R,5S,6E)-rel- (CA INDEX NAME)

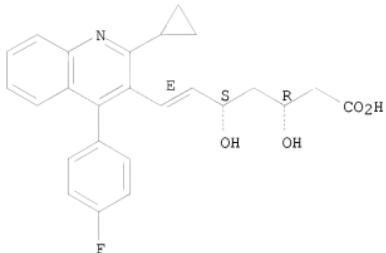
Relative stereochemistry.
 Double bond geometry as shown.



● Na

L9 ANSWER 34 OF 38 CA COPYRIGHT 2008 ACS on STN
 IT 147526-32-7
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (SREBP-2 (sterol regulatory element-binding protein) gene expression promoters as hypolipidemics)
 RN 147526-32-7 CA
 CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, calcium salt (2:1), (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
 Double bond geometry as shown.



● 1/2 Ca

L9 ANSWER 35 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Itavastatin

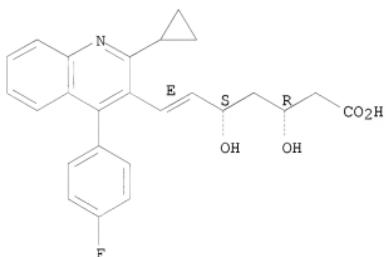
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(HMG-CoA reductase inhibitors for ameliorating abnormal bone states)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L9 ANSWER 36 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1, Itavastatin

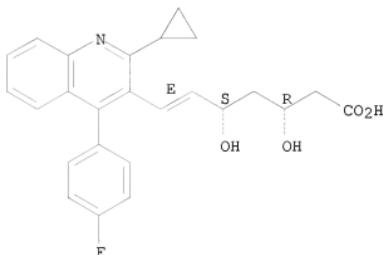
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceuticals containing; synthesis and use of heterocyclic
sodium/proton exchange inhibitors)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-
dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



L9 ANSWER 37 OF 38 CA COPYRIGHT 2008 ACS on STN

IT 147511-69-1

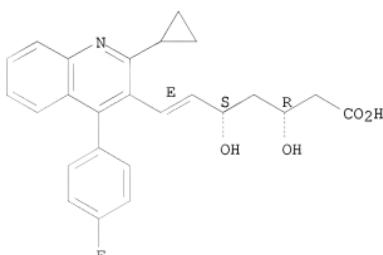
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(pharmaceutical composition containing quinolinheptenoic acid derivs.
stabilized
with basic agent)

RN 147511-69-1 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-
dihydroxy-, (3R,5S,6E)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).
Double bond geometry as shown.



10/584208

L9 ANSWER 38 OF 38 CA COPYRIGHT 2008 ACS on STN
IT 147008-21-7

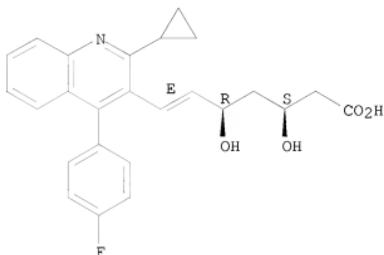
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stabilized pharmaceutical compns. containing an HMG-CoA reductase inhibitor)

RN 147008-21-7 CA

CN 6-Heptenoic acid, 7-[2-cyclopropyl-4-(4-fluorophenyl)-3-quinolinyl]-3,5-dihydroxy-, (3R,5S,6E)-rel- (CA INDEX NAME)

Relative stereochemistry.

Double bond geometry as shown.



=> d his

(FILE 'HOME' ENTERED AT 10:48:00 ON 08 SEP 2008)

FILE 'REGISTRY' ENTERED AT 10:48:22 ON 08 SEP 2008

FILE 'REGISTRY' ENTERED AT 10:48:39 ON 08 SEP 2008

L1 STRUCTURE uploaded
L2 5 S L1 SAM

L3 73 S L1 FULL

FILE 'CA' ENTERED AT 10:50:20 ON 08 SEP 2008

L4 720 S L3
L5 8 S CRYSTAL AND L4
L6 215 S L4 AND PY<2004
L7 S L6 AND (SOLID OR CRYST?)
L8 209 S L6 NOT L7
L9 38 S L8 AND (CA OR CALCIUM)

=>

---Logging off of STN---

=>

10/584208

Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 10:54:03 ON 08 SEP 2008